Art Unit: 1/026 Phone Number: 2-8782 Location (Bldg/Room# B29 Kom. (Mailbox #): 5C18

Requester's Full Name:

Results Format Preferred (circle): PAPER DISK

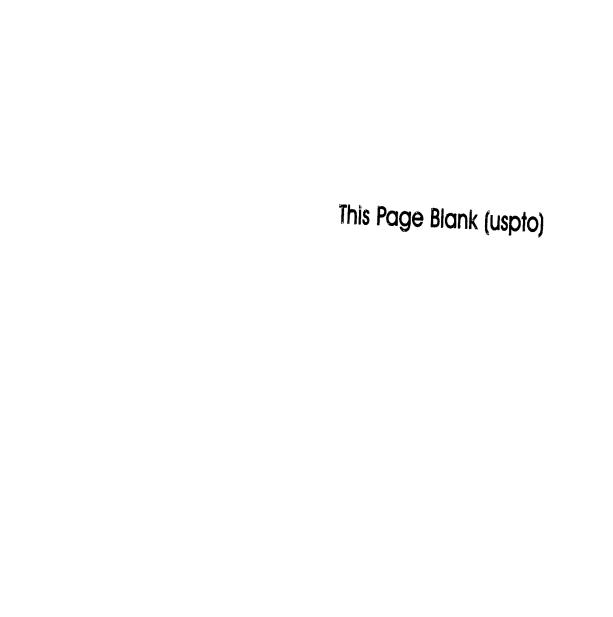
Scientific and Technical Information Center

SEARCH REQUEST FORM

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

veenah (orazie Examiner #: 81002 Date: one Number: 2-8782 Serial Number: 10/509

Inventors (please provide full names): Jean Clauds Arrand Earliest Priority Date: 4/89/04 Search Topic: Please provide detailed national of the search logic, and describe as specifically as possible the subject master to be asserbed. Include the detected species are arranged, symmyone, acromyone, and regions jumbers, and combine with the concept or willing of the invention. Buffee any terms that may have a special meaning. Give examples or relevant clinicisms, enthurs, etc. if known. **Pro Sequence Search Only? Please include all perinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number. **Pro Sequence Search Quite Compound** **Chaip **A **Experiment of the concept of the invention of the concept of the invention.** **Pro Chaip **A **Experiment of the concept of the invention.** **Pro Chaip **A **Experiment of the invention of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the invention of the invention.** **Pro Chaip **A **Experiment of the in	Title of Invention: Chemical Compounds	
Search Typic Means powise a distillat stational of the sporch spir, and describe as specifically as possible the subject matter to be searched. Include the elected greets or structure, known, searches, and registry numbers, and embine with the cancegor willing of the invention. Define any terms that may have a specific grow complete or reterms claiming, anthon, etc. if known. *For Sequence Searches Only* Please include all pertinent biformation (parent, cluit, divisional, or issued patent numbers) along with the appropriate seried number. **Compared Search Charles **Starfer Use Only **Type of Search **Na Sequence (0) **Starfer Picked Up: **Bibliographie **Disolate **Bibliographie **Disolate **Bibliographie **Disolate **Bibliographie **Disolate **Di		_
Search Typic **Rease sporting of detailed sessimant of the search apin, and describe as specifically as possible the subject matter to be searched. Include the elected general contentions, described prices or structures, known, as express, and registry numbers, and embine with the cancept or willing of the invention. Define any terms than myn have as specifically grown accepts or reference claiming, anthers, act. If known. *For Sequence Searches Only? Please include all pertinent information (parent, claids, divisional, or issued patent numbers) along with the appropriate seried number. **Chair 7) **Chair 7) **Chair 8 **Chair 7) **Chair 8 **Chair 7) **Chair 9 **Chair 7) **Chair 7) **Chair 7) **Chair 8 **Chair 7) **Chair 9 **Chair 7) **Chair 7) **Chair 9 **Chair 7) **Chair 9 **Chair 7) **Chair 7) **Chair 7) **Chair 8 **Chair 7) **Chair 8 **Ch		
Please provide a deadled statement of the search topic, and describe as precifically as penaltic the embject matter to be searched. Include the described pecies or statements, because you promote when the may have a special meaning. Give example or relevant claimlons, such or, see . I haven. **Per Sequence Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial number. **Per Sequence Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial number. **Per Sequence Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial number. **Per Sequence Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate serial numbers along with the appropriate serial numbers. **Per Sacrator Only** Please include all pertinent information (perent, child, divisional, or issued patent numbers) along with the appropriate		_
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Chair 7) Chair	*For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.	
X=0,8,50,50, P=0-1 Litigation N=0,8,50,50,50,50,50,50,50,50,50,50,50,50,50,	Please Search the compound	
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R5= H, QK, Or \$(CH2)	X= 0, s, so, so ₂	CEIV 129
No. 1	P=0-1	
Y = NH or 0 Z = NH, 0, C(0) - or about 18 = H, ak, alkay, Aryl, Helerocycle (5-6 nember) STAFF USE ONLY Type of Search NA Sequence (#) STN	Rz=H,ak	9,5 *
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Searcher:	Z=NH, O, C(0) - or about , R8=H, ak, alkoxy, Aryl, H	elerocycle (5-6 member)
Searcher Phone #: AA Sequence (#) Questel/Orbit Lexis/Nexis Searcher Location: Structure (#) Westlaw WWW/Internet Date Searcher Picked Up: Bibliographic In-house sequence systems Commercial Interference SPDI Encode/Trans! Other (specify)	vendors and cost where applicable	5-6 heteroary
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STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 172660

TO: Nyeemah Grazier Location: rem/5B29/5C18

Art Unit: 1626 December 8, 2005

Case Serial Number: 10/509633

From: P. Sheppard

Location: Remsen Building

Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes		territoria. Territoria
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Grazier 10 509633- - History

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	FILE	'REGI	STRY' ENTERED AT 16:13:41 ON 09 DEC 2005
L3			STR
L5			STR
L7			STR
L9			STR
L11		49	SEA SSS SAM L3 OR L5 OR L7 OR L9
L12			SEA SSS FUL L3 OR L5 OR L7 OR L9
L13			STR
L14		7996	SEA SUB=L12 SSS FUL L13
	FILE	'HCAP	LUS' ENTERED AT 16:19:52 ON 09 DEC 2005
L15		1916	SEA ABB=ON PLU=ON L14
L16		30199	SEA ABB=ON PLU=ON ANGIOGENESIS/CV OR ?ANGIOGENE?
L17			SEA ABB=ON PLU=ON L15 AND L16
L18		37	SEA ABB=ON PLU=ON L17 AND PD= <september 2004<="" 29,="" td=""></september>
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L19		41	SEA ABB=ON PLU=ON ("ARNOULD J"/AU OR "ARNOULD J C"/AU) OR
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			CLAUDE"/AU)
L20		3	SEA ABB=ON PLU=ON L19 AND L15
L21		0	SEA ABB=ON PLU=ON L20 NOT L18
L22		38	SEA ABB=ON PLU=ON L19 NOT L18
L23		38	SEA ABB=ON PLU=ON L21 OR L22
			D STAT QUE L23
			D IBIB ABS HITSTR L23 1-38

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 DEC 2005 HIGHEST RN 869627-02-1 DICTIONARY FILE UPDATES: 8 DEC 2005 HIGHEST RN 869627-02-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See ${\tt HELP\ SLIMITS}$ for details.



Grazier 10_509633- - History

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS

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FILE COVERS 1907 - 9 Dec 2005 VOL 143 ISS 25 FILE LAST UPDATED: 8 Dec 2005 (20051208/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE COVERS 1907 - 9 Dec 2005 VOL 143 ISS 25 FILE LAST UPDATED: 8 Dec 2005 (20051208/ED)

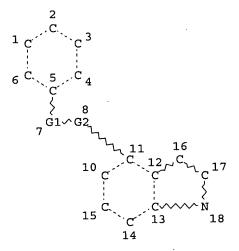
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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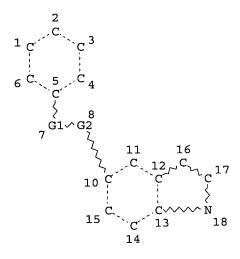


REP G1=(0-1) CH2 VAR G2=O/S NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

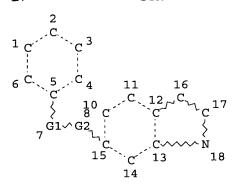
L5 STR



REP G1=(0-1) CH2 VAR G2=O/S NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

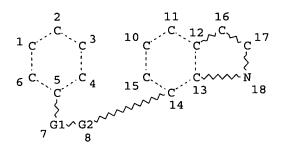
STEREO ATTRIBUTES: NONE



REP G1=(0-1) CH2 VAR G2=O/S NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE L9 STR



REP G1=(0-1) CH2 VAR G2=O/S NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

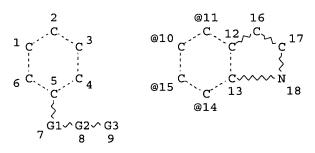
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L12 11678 SEA FILE=REGISTRY SSS FUL L3 OR L5 OR L7 OR L9

L13 STI



REP G1=(0-1) CH2 VAR G2=O/S VAR G3=10/11/14/15 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L14 7996 SEA FILE=REGISTRY SUB=L12 SSS FUL L13 L15 1916 SEA FILE=HCAPLUS ABB=ON PLU=ON L14

L16 30199 SEA FILE=HCAPLUS ABB=ON PLU=ON ANGIOGENESIS/CV OR ?ANGIOGENE?

L17 44 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16

L18 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND PD=<SEPTEMBER 29,

2004

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L18 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:569863 HCAPLUS

DOCUMENT NUMBER: 141:123559

TITLE: A preparation of indole derivatives, useful as

integrin inhibitors

INVENTOR(S): Wiesner, Matthias; Goodman, Simon; Gottschlich, Rudolf

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S.

Ser. No. 203,406. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
US 2004138284 DE 10006139	A1 20040715 A1 20010816					
	A2 20010816	20010816 WO 2001-EP84 2001010				
W: AE, AG, AL, CR, CU, CZ, HU, ID, IL, LU, LV, MA,	AM, AT, AU, AZ, I DE, DK, DM, DZ, I IN, IS, JP, KE, I MD, MG, MK, MN, I	BA, BB, BG, BR, BY, B EE, ES, FI, GB, GD, G KG, KP, KR, KZ, LC, L MW, MX, MZ, NO, NZ, P	SE, GH, GM, HR, LK, LR, LS, LT, PL, PT, RO, RU,			
YU, ZA, ZW RW: GH, GM, KE, DE, DK, ES,	LS, MW, MZ, SD, S	TM, TR, TT, TZ, UA, U SL, SZ, TZ, UG, ZW, A IE, IT, LU, MC, NL, P	AT, BE, CH, CY, PT, SE, TR, BF,			
US 2003045728		GW, ML, MR, NE, SN, T US 2002-203406 DE 2000-10006139	20020809 <			
OTHER SOURCE(S):	MARPAT 141:12355	WO 2001-EP84 US 2002-203406	W 20010105			

The invention relates to a preparation of indole derivs. of formula I [wherein: A and B are independently selected from O, S, NH, NH, C(O), or C(O)NH, etc.; X is (un)substituted alkylene; R1 is H, C1-6alkyl, or (CH2)0-2-aryl; R2 is H, (cyclo)alkyl, or -C(O)-alkyl; R3 is NH2, -NHC(O)-alkyl,

I

-NH(CO)-aryl, etc.; R4 and R5 are independently selected from H, oxo, (cyclo)alkyl, C(0)NH2, or NH-heterocycle, etc.], useful as integrin inhibitors (no biol. data). Compds. of formula I can be employed for combating thromboses, cardiac infarction, coronary heart diseases, arteriosclerosis, inflammations, tumors, osteoporosis, rheumatic arthritis, macular degenerative disease, and diabetic retinopathy, etc. The invention compds. act as integrin inhibitors, inhibiting, in particular, the interaction of the αv -, $\beta 3$ - and $\beta 5$ -integrin receptors with ligands (no biol. data).

IT 15903-94-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of indole derivs., useful as integrin inhibitors)

RN 15903-94-3 HCAPLUS

CN 1H-Indole, 6-(phenylmethoxy) - (9CI) (CA INDEX NAME)

IT 354822-51-8P 354822-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole derivs., useful as integrin inhibitors)

RN 354822-51-8 HCAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[phenyl[6-(phenylmethoxy)-1H-indol-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 354822-52-9 HCAPLUS

CN 1H-Indole-3-propanoic acid, β-phenyl-6-(phenylmethoxy)-, ethyl ester
(9CI) (CA INDEX NAME)

L18 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:546484 HCAPLUS

DOCUMENT NUMBER: 141:106462

TITLE: Preparation of pyrazoles as inhibitors of HSP90

Beswick, Mandy Christine; Drysdale, Martin James; INVENTOR(S):

Dymock, Brian William; McDonald, Edward

PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK; Cancer Research

Technology Ltd.; The Institute of Cancer Research

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: בא יינאים אור

PAT	PATENT NO.						KIND DATE				ICAT:	ION 1	DATE				
WO	WO 2004056782					A1 20040708			WO 2003-GB5501					20031218 <			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE, GH			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,
		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,
		TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD, TG
CA	2509	403			AA		2004	0708	(CA 2	003-	25094	403		2	0031	218 <
EP	EP 1572664 A1 2005091						0914]	EP 2	003-	7680	07		2	0031	218	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
PRIORITY	PRIORITY APPLN. INFO.:								(GB 2002-29618				A 20021219			
									WO 2003-GB5501				W 20031218				

OTHER SOURCE(S):

MARPAT 141:106462

The title compds. [I or II; Ar = (un) substituted aryl, arylalkyl, AΒ heteroaryl, heteroarylalkyl; R1 = H, alkyl; R2 = H, (un)substituted cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide or carboxyl ester group; A = non-aromatic carbocyclic or heterocyclic ring wherein (i) a ring carbon is optionally substituted, and/or (ii) a ring nitrogen is optionally substituted by a group of formula -(Alk1)p(Cyc)n(Alk3)m(Z)r(Alk2)sQ where Alk1, Alk2 and Alk3 = alkyl; Cyc = carbocyclic or heterocyclic radical; m, n, p, r and s = 0-1; Z = 0, S, CO, S02, etc.; Q = H, (un) substituted carbocyclic or heterocyclic radical] which are inhibitors of HSP90, and are of value in the treatment of diseases responsive to HSP90 inhibition such as cancer, were prepared E.g., a multi-step synthesis of 4-chloro-6-(4-piperazin-1-yl-1H-pyrazol-3yl)benzene-1,3-diol which showed IC50 of <50 µM in the malachite green ATPase assay, was given.

719288-34-3P 719288-35-4P 719288-36-5P TΤ 719288-37-6P 719288-38-7P 719288-39-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazoles as inhibitors of HSP90)

RN 719288-34-3 HCAPLUS

CN 1H-Indole, 1,5-diacetyl-2-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$Ph-CH_2-O$$
AC
 $Ph-CH_2-O$
AC
 $Ph-CH_2-O$

RN 719288-35-4 HCAPLUS

CN 1H-Indole, 1-acetyl-5-(dibromoacetyl)-2-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 719288-36-5 HCAPLUS

CN 1H-Indole, 1-acetyl-5-(bromoacetyl)-2-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ BrCH_2 - C \\ Ph - CH_2 - O \end{array}$$

RN 719288-37-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[1-acetyl-2-methyl-6-(phenylmethoxy)-1H-indol-5-yl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{Ph-CH}_2-\text{O-C} & & & \\ & & \\ & &$$

RN 719288-38-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[[1-acetyl-2-methyl-6-(phenylmethoxy)-1H-indol-5-yl]carbonyl]-2-(dimethylamino)ethenyl]-, phenylmethyl ester (9CI)

(CA INDEX NAME)

RN 719288-39-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[2-methyl-6-(phenylmethoxy)-1H-indol-5-yl]-1H-pyrazol-4-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \circ \\ \mid \\ \mathsf{C-O-CH_2-Ph} \\ \downarrow \\ \mathsf{N} \\ \mathsf$$

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:493561 HCAPLUS

DOCUMENT NUMBER: 141:54365

TITLE: Preparation of 1,3,5-triazines as kinase inhibitors

for treatment of angiogenesis or

vasculogenesis

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John

L.; Dipietro, Lucian V.; Elbaum, Daniel; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia M.; Zhu,

Xiaotian

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 300 pp., Cont. of U.S. Ser. No.

85,053, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2004116388

PRIORITY APPLN. INFO.:

A1 20040617 US 2003-699518 US 2000-685053

20031031 <--B1 20001006

OTHER SOURCE(S):

MARPAT 141:54365

GT

Title compds. I [wherein R1 and R2 = independently R3, R8, NHR3, NHR5, AB NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, (un) substituted heterocyclyl, alkyl; R3 = independently aryl, (un)substituted Ph, heteroaryl; R5 = independently H, alkynyl, cycloalkenyl, aryl, R9, (un) substituted (cyclo) alkyl, alkenyl; R6 = independently COR5, CO2R5, CONR5R5, C(=NR5)NR5R5, SO1-2R5; R8 = independently (un)substituted (hetero)monocyclyl, (hetero)bicyclyl, (hetero)tricyclyl] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. Examples include a number of general synthetic methods, specific exptl. details for the preparation of selected invention compds., and phys. and bioassay data. For instance, 2,4-dichloro-1,3,5-triazine was coupled with 3,4,5-trimethoxyaniline in the presence of diisopropylethylamine in DMF to give the triazinamine (37%). Subsequent reaction with 4-aminoveratrole using diisopropylethylamine in EtOH provided II (66%). The latter was one of over 950 invention compds. tested for activity against the EGFR-1, IGFR-1, Akt3-1, Met-1, KDR-1, Zap-1, Lck-1, Itk-1, PDGFRB-1, Tek-1, ErbB2-2, EPHB4-1, ErbB4-1, FGFR1-1, Flt-1, Fyn-1, Hck-1, Lyn-1, Ret-1, and/or Src-1 receptors with IC50 values in ranges from <0.4 μg/mL to $>4.5 \mu q/mL$. Thus, I and their compns. are useful for the treatment of diseases or conditions involving angiogenesis or vasculogenesis (no data).

333727-64-3P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(kinase inhibitor; preparation of triazines as kinase inhibitors for treatment of angiogenesis or vasculogenesis)

333727-64-3 HCAPLUS RΝ

1,3,5-Triazin-2-amine, 4-[5-(phenylmethoxy)-1H-indol-1-yl]-N-(3,4,5-CN trimethoxyphenyl) - (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN 2004:430796 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:7139

TITLE: Preparation of indolylquinoxalinones for treating

hyperproliferative disorders and diseases associated

with angiogenesis

INVENTOR(S): Ladouceur, Gaetan H.; Bear, Brian; Bi, Cheng;

Brittelli, David R.; Burke, Michael J.; Chen, Gang;

Cook, James; Dumas, Jacques; Sibley, Robert; Turner,

Michael R.

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
		WO 2003-US36003	
W: AE, AG,	AL, AM, AT, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE, DK, DM,	DZ, EC, EE, EG, ES,	FI, GB, GD, GE,
GH, GM,	HR, HU, ID, IL, IN,	IS, JP, KE, KG, KP,	KR, KZ, LC, LK,
LR, LS,	LT, LU, LV, MA, MD,	MG, MK, MN, MW, MX,	MZ, NI, NO, NZ,
		SC, SD, SE, SG, SK,	
		UZ, VC, VN, YU, ZA,	
RW: BW, GH,	GM, KE, LS, MW, MZ,	SD, SL, SZ, TZ, UG,	ZM, ZW, AM, AZ,
		AT, BE, BG, CH, CY,	
		IT, LU, MC, NL, PT,	
		GA, GN, GQ, GW, ML,	
		CA 2003-2505819	
		EP 2003-783328	
		GB, GR, IT, LI, LU,	
		CY, AL, TR, BG, CZ,	the state of the s
		BR 2003-16169	
		NO 2005-2796	
PRIORITY APPLN. INFO.		US 2002-425490P	
	•	US 2003-460915P	
		US 2003-484202P	
		WO 2003-US36003	
OTHER SOURCE(S):	MARPAT 141:7139	NO 2003-0336003	W 20031110
GI	PIANEAT 141:/139		

AB The invention relates to title compds. I [wherein Ar = 6-membered aromatic

ring containing 0-2 N atoms; R1 and R2 = independently H, halo, CF3, acyl, piperidinyl, piperazinyl, morpholinyl, or (un)substituted alkyl, alkoxy, amino, pyrrolidinyl, Ph, etc.; R3 = H, alkyl, OH, NO2, NH2, alkylamino, alkoxyamino, or (un) substituted benzoylamino; R4 = H, OH, halo, CN, acyl, sulfamoyl, trialkylsiloxy, tetrazolyl, thienyl, pyrrolyl, pyrimidinyl, oxazolyl, furanyl, or (un) substituted alkyl, alkenyl, alkynyl, alkoxy, amino, oxadiazolyl, Ph, pyridyl(oxy), carbamoyl; R11 and R12 = independently H, F, or Cl with the proviso that when one of R11 and R12 = F or Cl, the other must be H; and pharmaceutically acceptable salts and esters thereof]. The invention also relates to the use of I and their pharmaceutical compns. for treating hyperproliferative disorders and diseases associated with angiogenesis (no data). Examples include representative syntheses for compds. of the invention, pharmaceutical compns. comprising them, and tumor model assays (no specific data given). For instance, N-Boc-indole was coupled with di-Me oxalate using t-BuLi to give tert-Bu 2-[methoxy(oxo)acetyl]-1H-indole-1-carboxylate (72%). Cyclization of the dione with 1,2-phenylenediamine in AcOH afforded the quinoxalinone II (77%).

IT 170147-29-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of indolylquinoxalinones for treating hyperproliferative disorders and diseases associated with angiogenesis)

RN 170147-29-2 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 5-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203828 HCAPLUS

DOCUMENT NUMBER: 140:253450

OCCUMENT NOMBER. 140.200400

TITLE: Preparation of azaarene derivatives as

neovascularization inhibitors

INVENTOR(S): Tsuruoka, Akihiko; Matsushima, Tomohiro; Matsukura,

Masayuki; Miyazaki, Kazuki; Takahashi, Keiko; Kamata,

Junichi; Fukuda, Yoshio

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan SOURCE: PCT Int. Appl., 347 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004020434 A1 20040311 WO 2003-JP10964 20030828 <-W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2488739
                          AA
                                20040311
                                             CA 2003-2488739
                                                                    20030828 <--
    EP 1522540
                          A1
                                20050413
                                             EP 2003-791389
                                                                     20030828
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
    BR 2003013871
                                20050719
                                             BR 2003-13871
                                                                     20030828
                          Α
    US 2005187236
                          A1
                                20050825
                                             US 2003-651496
                                                                     20030829
                                20050527
                                             NO 2005-1577
                                                                     20050329
    NO 2005001577
PRIORITY APPLN. INFO.:
                                             JP 2002-253123
                                                                    20020830
                                             US 2003-464690P
                                                                 Ρ
                                                                    20030422
                                             WO 2003-JP10964
                                                                 W
                                                                    20030828
```

OTHER SOURCE(S): MARPAT 140:253450

$$\begin{array}{c|c}
R^4 & O \\
N & R^9 \\
R^5 & R^6 & R^7
\end{array}$$

$$\begin{array}{c|c}
R^8 & R^8 \\
R^7 & R^8 \\
R^7 & R^8 \\
R^8 & R^8
\end{array}$$

The title compds. I [X1 is nitrogen or a group represented by the general formula CR10; X2 is nitrogen or a group represented by the general formula CR11; Y is oxygen or the like; R1 is C1-6 alkoxy, optionally substituted C6-10 aryloxy, a group represented by the general formula NR12aR12b, or the like; R2 is hydrogen, optionally substituted C1-6 alkyl, or the like; R3 - R8, R10, and R11 are each independently hydrogen, halogeno, optionally substituted C1-6 alkyl, or the like; R9 is a group represented by the general formula NR16aR16b, or the like; and R12a, R12b, R16a, and R16b are each independently hydrogen, optionally substituted C1-6 alkyl, or the like] are prepared Compds. of this invention showed IC50 values of 3 nM to 40 nM against VEGFR2 kinase.

Ι

IT 1215-59-4, 5-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of azaarene derivs. as neovascularization inhibitors)

RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

IT 670252-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaarene derivs. as neovascularization inhibitors)

RN 670252-55-8 HCAPLUS

CN 1H-Indole-1-carboxamide, N-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Ph-CH}_2\text{--O} \\ \\ \text{C--NHMe} \\ \\ \text{O} \end{array}$$

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:80847 HCAPLUS

DOCUMENT NUMBER:

140:124558

TITLE:

Pyrrolotriazine inhibitors of kinases for use in treatment of diseases associated with growth factor

receptor signal transduction

INVENTOR(S):

Bhide, Rajeev; Cai, Zhen-wei; Qian, Ligang; Barbosa,

Stephanie

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 84 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009784	A2	20040129	WO 2003-US22826	20030718 <
WO 2004009784	A3	20040422		
W: AE, AG,	AL, AM, AT	, AU, AZ,	BA, BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE	, DK, DM,	DZ, EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR,	HU, ID, IL	, IN, IS,	JP, KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, LT,	LU, LV, MA	, MD, MG,	MK, MN, MW, MX, MZ,	NI, NO, NZ, OM,
PG, PH,	PL, PT, RO	, RU, SC,	SD, SE, SG, SK, SL,	SY, TJ, TM, TN,
TR, TT,	TZ, UA, UG	, US, UZ,	VC, VN, YU, ZA, ZM,	ZW
RW: GH, GM,	KE, LS, MW	, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AM, AZ, BY,
KG, KZ,	MD, RU, TJ	, TM, AT,	BE, BG, CH, CY, CZ,	DE, DK, EE, ES,
FI, FR,	GB, GR, HU	, IE, IT,	LU, MC, NL, PT, RO,	SE, SI, SK, TR,
BF, BJ,	CF, CG, CI	, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG
CA 2492804	AA	20040129	CA 2003-2492804	20030718 <

US	2004	0637	07		A1	2004	0401	US 2	2003-6225	93		2	0030	718	<
US	6969	717			B2	2005	1129								
US	2004	0728	32		A1	2004	0415	US 2	2003-6231	71		2	0030	718	<
US	6869	952			В2	2005	0322								
EP	1534	290			A2	2005	0601	EP 2	2003-7658	81		2	0030	718	
	R:	ΑT,	BE,	CH,	DE,	DK, ES,	FR,	GB, GR,	, IT, LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI, RO,	MK,	CY, AL,	TR, BG,	CZ,	EE,	HU,	SK		
BR	2003	0129	40		Α	2005	0621	BR 2	2003-1294	0		2	0030	718	
NO	2005	0000	72		Α	2005	0203	NO 2	2005-72			2	0050	106	
US	2005	1246	21		A1	2005	0609	US 2	2005-3524	8		2	0050	113	
PRIORIT	Y APP	LN.	INFO	. :				US 2	2002-3972	56P		P 2	0020	719	
								US 2	2003-4472	13P		P 2	0030	213	
								US 2	2003-6231	71		A1 2	0030	718	
								WO 2	2003-US22	826		W 2	0030	718	

OTHER SOURCE(S):

MARPAT 140:124558

GI

$$R^3Y$$
 R^4
 R^5
 R^5
 R^2X
 R^6

Ι

The present invention provides pyrrolo[2,1-f][1,2,4]triazine compds. I(Z = 1)AB O, S, N, OH, Cl; when Z = O or S, R4 is absent; when Z = OH or Cl, both R4 and R5 are absent; when Z = N, R4 = H; X,Y = O, OCO, S, SO, SO2, CO, CO2, halo, NO2, CN, etc., or X and Y are absent; R1 = H, Me, OH, OMe, SH, SMe, halo, NO2, CN, etc.; R2, R3 = H, (substituted) alkyl, (substituted) alkenyl, (substituted)alkynyl, (substituted)aryl, (substituted)heterocyclo, etc.; when X = halo, NO2, or CN, R2 is absent; when Y = halo, NO2, or CN, R3 is absent; R5 = (unsubstituted)indole; R6 = H, (substituted)alkyl, (substituted) aryl, (substituted) heterocyclo, halo, etc.), and pharmaceutically acceptable salts thereof. I compds. inhibit the tyrosine kinase activity of growth factor receptors such as VEGFR-2 and FGFR-1 (no data), thereby making them useful as anti-cancer agents. I compds. are also useful for the treatment of other diseases associated with signal transduction pathways operating through growth factor receptors. Thus, many I (R1,R4,R6 absent; R3Y = Me; Z = O; R5 = 2-methyl-4-fluoro-1H-indol-5-yl; X = O; R2 = (substituted)alkyl, arylalkyl, etc.) were synthesized. IT 649736-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(pyrrolotriazine inhibitors of kinases for use in treatment of diseases associated with growth factor receptor signal transduction)

RN649736-47-0 HCAPLUS

1H-Indole, 4-fluoro-2-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME) CN

$$Ph-CH_2-O$$
 F
 H
 N
 Me

L18 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2004:80644 HCAPLUS

DOCUMENT NUMBER:

140:146018

TITLE:

Process for preparation of indolyloxypyrrolotriazines

and their use as drugs.

INVENTOR(S):

Bhide, Rajeev; Fan, Junying; Parlanti, Luca; Barbosa,

Stephanie; Qian, Ligang; Cai, Zhen-wei; Gibson,

Francis S.

3

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.									APPLICATION NO.						DATE		
	2004	0095	42		A2					WO 2	003-1	US22		20030721 <				
,,,	W :	AE, CO, GM, LS, PG, TR, GH, KG,	AG, CR, HR, LT, PH, TT, GM, KZ,	AL, CU, HU, LU, PL, TZ, KE, MD,	AM, CZ, ID, LV, PT, UA, LS, RU,	AT, DE, IL, MA, RO, UG, MW, TJ,	AU, DK, IN, MD, RU, US, MZ, TM,	AZ, DM, IS, MG, SC, UZ, SD, AT,	DZ, JP, MK, SD, VC, SL, BE,	EC, KE, MN, SE, VN, SZ, BG,	EE, KG, MW, SG, YU, TZ, CH,	ES, KP, MX, SK, ZA, UG, CY,	FI, KR, MZ, SL, ZM, ZM, CZ,	GB, KZ, NI, SY, ZW, ZW, DE,	GD, LC, NO, TJ, AM, DK,	GE, LK, NZ, TM,	GH, LR, OM, TN, ES,	
		BF,	ВJ,	CF,	CG,	CI,	IE, CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
US CA	2004 6933 2492 1554	386 861			B2 AA		2005 2004	0823 0129		CA 2	003-	2492	861		2	0030	721	
BR	R: 2003 2005	AT, IE, 0126 1246	BE, SI, 48 21	CH, LT,	DE, LV, A	DK, FI,	ES, RO, 2005	FR, MK, 0802	GB, CY,	GR, AL, BR 2 US 2 US 2 US 2	IT, TR, 003-	LI, BG, 1264: 3524: 3972:	LU, CZ, 8 8 56P 13P	NL, EE,	SE, HU, 2 P 2 P 2	MC, SK 0030 0050 0020	PT, 721 113 719 213	
OTHER SO	OURCE	(S):			MAR	PAT	140:	1460		US 2	003- 003-	6231	71	1	A1 2	0030 0030	718	

GI

Ι

$$R50O_2C$$

Me
 X^1
 N
 N
 N

AB Title compds. [I; X, Y = O, O2C, S, SO, SO2, CO, CO2, NR10, NR11CO, NR12CONR13, NR14CO2, NR15SO2, NR16SO2NR17, SO2NR18, CONR19, halo, NO2, cyano, null; R1, R6 = H; R2, R3 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, aralkyl, heteroaryl, heterocycloalkyl; R7-R19 = H, (substituted) alkyl, aryl, heteroaryl, heterocyclyl; R43 = H, F, Cl, Me; n = 0-2; R44 = H, Me; with provisos], were prepared in a 6-step procedure starting from pyrrolotriazinecarboxylates (II; R50 = alkyl, aryl; X1 = halo). Thus, Et 4-chloro-5-methylpyrrolo[2,1-f][1,2,4]triazine-6-carboxylate (preparation given) was stirred with NaOEt in EtOH at 0° for 1 h to give 98% Et 4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazine-6carboxylate. The latter was stirred with MeMqBr in THF/Et20 at 0° for 4 h to give 100% 2-(4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazin-6yl)propan-2-ol. This was stirred with H2O2/BF3.Et2O in CH2Cl2 at -3° to -40° to give 76% 4-ethoxy-5-methylpyrrolo[2,1f][1,2,4]triazin-6-ol. Benzylation of the latter with PhCH2Br/K2CO3 in DMF gave 6-benzyloxy-4-ethoxy-5-methylpyrrolo[2,1-f][1,2,4]triazine. Reflux of this with 1N HCl in EtOH gave 6-benzyloxy-4-chloro-5methylpyrrolo[2,1-f][1,2,4]triazine. This was added to a mixture prepared from 4-fluoro-2-methyl-1H-indol-5-ol and NaH in DMF at -20° followed by warming to room temperature to give 95% 6-benzyloxy-4-(4-fluoro-2methyl-1H-indol-5-yloxy)-5-methylpyrrolo[2,1f][1,2,4]triazine. Stirring of the latter with ammonium formate and Pd/C in DMF at room temperature for 2 h gave 64% 4-(4-fluoro-2-methyl-1H-indol-5-yloxy)-5-methylpyrrolo[2,1f][1,2,4]triazin-6-ol.

IT 649736-47-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of indolyloxypyrrolotriazines and their use as drugs)

RN 649736-47-0 HCAPLUS

CN 1H-Indole, 4-fluoro-2-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & H & Me \\ \hline Ph-CH_2-O & & F & \end{array}$$

L18 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:818147 HCAPLUS

DOCUMENT NUMBER: 139:323432

TITLE: Preparation of indole compounds for treating an

angiogenesis-related disorders

INVENTOR(S): Hsieh, Hsing-pang; Liou, Jing-ping; Chang, Jang-yang;

Chang, Chun-wei

PATENT ASSIGNEE(S): National Health Research Institutes, Taiwan

SOURCE: U.S. Pat. Appl. Publ., 31 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003195244	A1	20031016	US 2002-318337	20021212 <
US 6933316	B2	20050823		
EP 1506960	A1	20050216	EP 2003-254909	20030807
R: AT, BE, CH	, DE, DK	, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, LT	, LV, FI	, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK
CA 2437104	AA	20050213	CA 2003-2437104	20030813
US 2005267194	A1	20051201	US 2005-195524	20050801
US 2005267108	A1	20051201	US 2005-195531	20050801
PRIORITY APPLN. INFO.:			US 2001-340317P	P 20011213
			US 2002-318337	A2 20021212

OTHER SOURCE(S): MARPAT 139:323432

GΙ

h

$$R^4$$
 R^3
 L^{1-R^1}
 R^5
 R^5
 R^6
 L^{2-R^2}
 R^6
 L^{2-R^2}
 R^6
 R^6

AB The title compds. [I; L1 = CO; L2 = a bond; R1 = (hetero)aryl; R2 = H, aryl, heteroaryl, halo, etc.; R3-R6 = halo, nitro, nitroso, CN, etc.; or R4 and R5, R3 and R4, or R5 and R6 taken together are O(CH2)nO; R5 = H, alkyl, alkenyl, alkynyl, etc.; n = 1-5], were prepared Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 in CH2Cl2 followed by addition of solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1

AlCl3 afforded 72% II. When tested in cell growth inhibition assay, at least 28 compds. I had IC50 values of at least 5 μM and, unexpectedly, some of the test compds. had IC50 values as low as <10 nM. The compds. I were tested in tubulin polymerization assay and results showed that a test indole

compound of 2 µM inhibited tubulin polymerization

IT 613679-40-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole compds. for treating an angiogenesis -related disorders)

RN 613679-40-6 HCAPLUS

CN 1H-Indole, 5,6-bis(phenylmethoxy)-1-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

L18 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:796476 HCAPLUS

DOCUMENT NUMBER: 139:307677

TITLE: Preparation of indole derivatives for use as

angiogenesis inhibitors

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE			APPLICATION NO.						DATE		
					A2 20031009 A3 20040325			WO 2003-GB1405					20030331 <				
							AU,			BB	BG	BB	BV	B7	$C\Delta$	CH	CN
	** .						DK,										
			•	•	•		IN,										
		•	•	•	•	,	MD,	•	•	•	•	•	•	•	•	•	•
		•	•	•			SC,		-	-	-	-				-	-
			•	•	-	-	VC,			-	-		10,	111,	114,	110,	11,
	₽W•	•	•			-	MZ,			•			7.M	7.W	ΔM	Δ7.	RV
	1077 .	•	•	•			TM,			•		•	•				•
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US	2005	•		•													331
	2005																
PRIORIT												2908:					
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OTHER S	OTHER SOURCE(S):			MAR	PAT	139:	3076										

Ι

$$(R^{1}) q \longrightarrow (CH_{2})_{p} - X \longrightarrow R^{3}$$

$$R^{2} \longrightarrow R^{4}$$

The invention relates to the use of a compound of formula (I) [R1 = AB independently halo, HO or its ester, (un) substituted NH2, alkanoylamino, OPO3H2, C1-4 alkoxy; X = O, S, SO, SO2; R2 = H, C1-4 alkyl, C1-4 alkoxy; R3, R4 = H, C1-4 alkyl, C1-4 alkanoyl, C1-4 alkoxycarbonyl, C1-4 alkoxycarbonyl-C1-4 alkyl, C1-4 alkoxycarbonylamino, optionally alkylated amino, amino-C1-4 alkyl, CONH2, carbamoyl-C1-4 alkyl, cyano, cyano-C1-4 alkyl, HO, hydroxy-C1-4 alkyl; R5 = H, C1-4 alkyl, a group of formula (CH2)tCO-Y-(CH2)r-Z-R8 (wherein Y = NH, O or a bond; Z = NH, O, CO, a bond; r = an integer from 0 to 4; t = 0, 1; R8 = H, C1-4 alkyl, C1-4 alkoxy, each (un) substituted aryl, 5 or 6 membered heterocyclyl, 5- or 6-membered heteroaryl); p = 0, 1; q = an integer from 0 to 3; with the proviso that: (i) when R3 is cyano then R4 cannot be an (un)substituted amino, and (ii) when q is 0, R3 is cyano and X is S then R4 is other than amino] or a salt, prodrug or solvate thereof, for the manufacture of a medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. The invention also relates to use of compds. I as medicaments and also to novel compds. I. The invention further provides pharmaceutical compns. of compds. I and processes for the synthesis of compds. I. A subset of the compds. I, e.g. 3-cyano-5-phenylsulfanyl-1Hindole, 3-cyano-5-phenoxy-1H-indole, 3-cyano-5-(4-hydroxyphenoxy)-1Hindole, 2-cyano-5-benzyloxy-1H-indole, 1-methyl-3-cyano-5-(4-hydroxy-3,5dimethoxyphenoxy)-1H-indole, and 1-methyl-3-cyano-5-(4-phosphonoxy-3,5dimethoxyphenoxy)-1H-indole, are also claimed.

IT 611228-61-6P 611228-64-9P 611228-65-0P 611228-66-1P 611228-67-2P 611228-68-3P 611228-70-7P 611228-71-8P 611228-72-9P 611228-73-0P 611228-74-1P 611228-75-2P 611228-76-3P 611228-77-4P 611228-79-6P 611228-80-9P 611228-83-2P 611228-87-6P 611228-88-7P 611228-91-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 611228-61-6 HCAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-5-[(3-nitrophenyl)methoxy]- (9CI) (CF INDEX NAME)

$$O_2N$$
 CH_2-O
 N
 Me

RN 611228-64-9 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{O}_2\mathsf{N} & & & \mathsf{O} \\ & & & \\ \mathsf{CH}_2-\mathsf{O} & & & \mathsf{NH} \end{array}$$

RN 611228-65-0 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611228-66-1 HCAPLUS

CN Pentanoic acid, 5-[[3-[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611228-67-2 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611228-68-3 HCAPLUS

CN 1H-Indole-3-acetamide, 5-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ H_2N-C-CH_2 \\ \\ O_2N \\ \end{array}$$

RN 611228-70-7 HCAPLUS

CN 1H-Indole, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 611228-71-8 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-[4-(phosphonooxy)phenoxy]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 611228-72-9 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-[4-(phenylmethoxy)phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 611228-73-0 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-(4-hydroxyphenoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 611228-74-1 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-(aminocarbonyl)-5-[4-[[bis(phenylmethoxy)phosphinyl]oxy]phenoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 611228-75-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 611228-76-3 HCAPLUS

CN 1H-Indole-3-carboxamide, 1-methyl-5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 611228-77-4 HCAPLUS

CN 1H-Indole-3-carbonitrile, 1-methyl-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 611228-79-6 HCAPLUS

CN 1H-Indole, 5-(3,4,5-trimethoxyphenoxy) - (9CI) (CA INDEX NAME)

RN 611228-80-9 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 611228-83-2 HCAPLUS

CN 1H-Indole, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

RN 611228-87-6 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Ph}-\mathsf{CH}_2-\mathsf{O} & & & \mathsf{C}-\mathsf{NH}_2 \\ \hline & & & & \mathsf{C}-\mathsf{NH}_2 \\ \hline & & & & \mathsf{NH} \\ \end{array}$$

RN 611228-88-7 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 611228-91-2 HCAPLUS

CN Pentanoic acid, 5-[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 611228-36-5P 611228-37-6P 611228-46-7P 611228-57-0P 611228-58-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 611228-36-5 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3-aminophenyl)methoxy]-1-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{H}_2\mathsf{N} & & \mathsf{C}\mathsf{H}_2-\mathsf{O} \\ & & \mathsf{C}\mathsf{C}-\mathsf{N}\mathsf{H}_2 \\ & & \mathsf{Me} \end{array}$$

RN 611228-37-6 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3-aminophenyl)methoxy]- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH_2-O
 NH
 $C-NH_2$

RN 611228-46-7 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-phenoxy- (9CI) (CA INDEX NAME)

RN 611228-57-0 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

RN 611228-58-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)thio]-1-methyl- (9CI) (CA INDEX NAME)

IT 611228-38-7P 611228-39-8P 611228-40-1P

611228-41-2P 611228-42-3P 611228-43-4P

611228-44-5P 611228-45-6P 611228-47-8P

611228-48-9P 611228-49-0P 611228-50-3P

611228-51-4P 611228-52-5P 611228-53-6P 611228-54-7P 611228-56-9P 611228-59-2P

611228-60-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

RN 611228-38-7 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 S
 N
 H
 NH_2

RN 611228-39-8 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[[2-(aminocarbonyl)-1-methyl-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$HO_2C$$
 S
 N
 H
 NH_2
 NH_2
 NH_2

RN 611228-40-1 HCAPLUS

CN 1H-Indole-3-acetamide, 5-[(3-aminophenyl)methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{H}_2\text{N} - \text{C} - \text{CH}_2 \\ \\ \text{CH}_2 - \text{O} & & & \\ \end{array}$$

RN 611228-41-2 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611228-42-3 HCAPLUS

CN 1H-Indole-2-carboxamide, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$Ph-CH_2-O \qquad H \qquad C-NH_2$$

RN 611228-43-4 HCAPLUS

CN Ethanone, 1-(5-phenoxy-1H-indol-3-yl)- (9CI) (CA INDEX NAME)

RN 611228-44-5 HCAPLUS

CN 1H-Indole-3-carboxylic acid, 5-(phenylthio)-, methyl ester (9CI) (CA INDEX NAME)

RN 611228-45-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-(phenylthio) - (9CI) (CA INDEX NAME)

RN 611228-47-8 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-phenoxy- (9CI) (CA INDEX NAME)

RN 611228-48-9 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)

RN 611228-49-0 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)

$$H_2O_3PO$$
 $C-NH_2$
 NH

RN 611228-50-3 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)

RN 611228-51-4 HCAPLUS

CN 1H-Indole-3-carboxamide, 5-(4-hydroxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)

RN 611228-52-5 HCAPLUS

CN 1H-Indole-2-carbonitrile, 5-(phenylmethoxy) - (9CI) (CA INDEX NAME)

$$Ph-CH_2-O$$
 H
 N
 CN

RN 611228-53-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl-(9CI) (CA INDEX NAME)

RN 611228-54-7 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)

RN 611228-56-9 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-[(2,5-dimethoxyphenyl)methoxy]- (9CI) (CA INDEX NAME)

OMe
$$CH_2-O$$
 NH $C-NH_2$ OMe

RN 611228-59-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 611228-60-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 5-[(3,4-dimethoxyphenyl)sulfonyl]-1-methyl(9CI) (CA INDEX NAME)

TT 78304-53-7, 5-Phenoxyindole 163258-14-8 611228-90-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis)

RN 78304-53-7 HCAPLUS

CN 1H-Indole, 5-phenoxy- (9CI) (CA INDEX NAME)

RN 163258-14-8 HCAPLUS

CN 1H-Indole, 5-(phenylthio)- (9CI) (CA INDEX NAME)

RN 611228-90-1 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-phenoxy- (9CI) (CA INDEX NAME)

IT 611228-55-8P 611228-84-3P 611228-85-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of **angiogenesis** and/or any disease state associated with **angiogenesis**)

RN 611228-55-8 HCAPLUS

CN Phosphoric acid, 4-[(3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

RN 611228-84-3 HCAPLUS

CN Pentanoic acid, 5-[[3-[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy]methyl]phenyl]amino]-4-[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 611228-85-4 HCAPLUS

CN 4-Imidazolidinepropanoic acid, 1-[3-[[[3-(2-amino-2-oxoethyl)-1H-indol-5-yl]oxy]methyl]phenyl]-2,2-dimethyl-5-oxo-, 1,1-dimethylethyl ester, (4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 40047-22-1 133845-43-9 133845-45-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of indole derivs. for medicament to inhibit and/or reverse and/or alleviate symptoms of angiogenesis and/or any

disease state associated with angiogenesis)

RN 40047-22-1 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 133845-43-9 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 133845-45-1 HCAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \parallel & \parallel \\ \text{C-NH}_2 \\ \\ \text{Ph-CH}_2-\text{O} \end{array}$$

L18 ANSWER 10 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:356415 HCAPLUS

DOCUMENT NUMBER: 138:368759

TITLE: Preparation of 2-acylindoles as tubulin polymerization

inhibitors for the treatment of metastatic tumors

INVENTOR(S): Beckers, Thomas; Mahboobi, Siavosh; Pongratz, Herwig;

Frieser, Markus; Hufsky, Harald; Hockemeyer, Joerg;

Vanhoefer, Udo

PATENT ASSIGNEE(S): Baxter Healthcare SA, Switz.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	TENT :	NO.			KIND DATE							ION 1						
	WO 2003037861					A1 20030508				,									
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
			ŲΑ,	ŪĠ,	US,	UΖ,	VN,	YU,	ZA,	ZM,	zw								
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	
			CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
	DE 10152306							2003	0724		DE 2	001-	1015	20011026 <					
	EP 1442015					A1		2004	0804		EP 20	002-	8023	20021024 <					
		R:	AΤ,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK			
	JP 2005516895							2005	0609		JP 2	003-	5401	43	20021024				
PRIO	PRIORITY APPLN. INFO.:											001-	1015	2306	A 20011026				
						•	WO 2	002-	EP11	W 20021024									
007707			101			MADDAM 100 060550													

OTHER SOURCE(S): MARPAT 138:368759

$$R^4$$
 R^3
 R^2
 R^4
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 R^3
 R^3

AB Title compds. I [R1 = H, alkylcarbonyl, e.g., acetyl, alkyl etc.; R2 = H, halo, CN, etc.; A = B, C, D = independently for a N or C with provisos; Y = electron pair, H, halo with provisos; X = O, S, NH, etc.] and their pharmaceutically acceptable salts were prepared For example, sodium hydroxide mediated deprotection of N-sulfone II, e.g., prepared from benzoyl chloride and 5-methoxy-1-(phenylsulfonyl)-1H-indole, afforded acylindole III. In tubulin polymerization inhibition studies, 8-examples of I exhibited IC50 values ranging from 0.53->10 μM, e.g., the IC50 value of acylindole III was 0.53 μM. Compds. I are claimed useful for the treatment of therapy-resistant and metastatic tumors.

IT 370580-71-5P 370580-74-8P 370580-77-1P 370580-78-2P 370580-81-7P 370580-83-9P 521309-87-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors

for the treatment of metastatic tumors)

RN 370580-71-5 HCAPLUS

CN 1H-Indole, 2-(3-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-74-8 HCAPLUS

CN 1H-Indole, 2-(4-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-77-1 HCAPLUS

CN 1H-Indole, 2-(4-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

$$O = S - Ph$$

$$Ph - CH_2 - O$$

$$O = S - Ph$$

RN 370580-78-2 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

$$O = S - Ph$$

$$Ph - CH_2 - O$$

$$O = S - Ph$$

$$O = OMe$$

$$OMe$$

$$OMe$$

RN 370580-81-7 HCAPLUS

CN 1H-Indole, 2-(2-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

RN 370580-83-9 HCAPLUS

CN 1H-Indole, 2-(3-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

RN 521309-87-5 HCAPLUS

CN 1H-Indole, 2-(3-amino-2-methylbenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

$$O = S - Ph$$

$$N = CH_2 - O$$

$$N = NH_2$$

$$N = NH_2$$

IT 370581-40-1P 370581-41-2P 370581-42-3P

370581-43-4P 370581-44-5P 370581-45-6P

521309-93-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylindoles as tubulin polymerization inhibitors $\ensuremath{\mathsf{S}}$

for the treatment of metastatic tumors)

RN 370581-40-1 HCAPLUS

CN Methanone, (3-chlorophenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

RN 370581-41-2 HCAPLUS

CN Methanone, (4-chlorophenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ \text{Ph-CH}_2-\text{O} \end{array}$$

RN 370581-42-3 HCAPLUS

CN Methanone, (4-methoxyphenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} \end{array}$$

RN 370581-43-4 HCAPLUS

CN Methanone, [5-(phenylmethoxy)-1H-indol-2-yl](3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OMe} \\ \text{OMe} \\ \text{OMe} \\ \text{OMe} \\ \\ \text{OMe} \\ \end{array}$$

RN 370581-44-5 HCAPLUS

CN Methanone, (2-methoxyphenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline \\ Ph-CH_2-O & MeO \end{array}$$

RN 370581-45-6 HCAPLUS

CN Methanone, (3-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & C \\ \hline \end{array}$$
 OMe

RN 521309-93-3 HCAPLUS

CN Methanone, (3-amino-2-methylphenyl)[5-(phenylmethoxy)-1H-indol-2-yl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & 0 \\ \hline N & C \\ \hline Ph-CH_2-O \\ \end{array}$$
 Me

IT 170147-24-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of acylindoles as tubulin polymerization inhibitors for the treatment

of metastatic tumors)

RN170147-24-7 HCAPLUS

1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME) CN

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN L18 ANSWER 11 OF 37

2003:5957 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:55984

Preparation of azaindoles as protein kinase inhibitors TITLE: Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine

INVENTOR(S): Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael;

Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve;

Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.	KIND		DATE		i	APPL	ICAT:	DATE								
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WO 2003000688				A1 2003			0103	Ţ	WO 2002-GB2799					20020620 <			
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PRIORITY APPLN. INFO.:
                                             GB 2001-15109
                                                                  A 20010621
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                                                                     20010622
                                             WO 2002-GB2799
                                                                  W 20020620
                                             US 2002-177804
                                                                  A1 20020621
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OTHER SOURCE(S): MARPAT 138:55984

$$R^{3}$$
 N
 N
 N
 N

AΒ The invention is directed to physiol. active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5Hpyrrolo[2,3-b]pyrazine) and compns. containing such compds.; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compds. and their prodrugs. Such compds. and compns. have valuable pharmaceutical properties, in particular the ability to inhibit kinases, especially Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example prepns. of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by ≥1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(0)R, -C(0)OR5, -C(0)NY1Y2, -NY1Y2, -N(R6)C(0)R7, -N(R6)C(0)NY3Y4, -N(R6)C(0)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, loweralkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(0)R, -C02R8, -NY3Y4, -N(R6)C(0)R, -N(R6)C(0)NY1Y2, -N(R6)C(0)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and ≥ 1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(0)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(0)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥ 1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl;

alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal derivative thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(0)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and ≥ 1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(0)OR5, CC(0)NY1Y2, CN(R8)C(0)R, CN(R6)C(0)OR7, CN(R6)C(0)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by ≥1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by ≥1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(0)NY3Y4, -C(0)OR5, NY3Y4, -N(R6)C(0)R7, -N(R6)C(0)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = 0 or S; Z2 = 0 or S(0)n; Z3 = 0, S(0)n, NR6; n = 0-2. 2426-59-7, 6-Benzyloxy-5-methoxyindole 4790-04-9, 5-Benzyloxy-6-methoxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

RN 2426-59-7 HCAPLUS

IT

CN 1H-Indole, 5-methoxy-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 4790-04-9 HCAPLUS

CN 1H-Indole, 6-methoxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \overset{H}{N} \\ \text{Ph- CH}_2 - \text{O} \end{array}$$

348640-14-2P, 5-Benzyloxy-3-bromo-6-methoxyindole-1-carboxylic acid tert-butyl ester 348640-22-2P, 2-(5-Benzyloxy-6-methoxy-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 348640-33-5P, 2-(5-Benzyloxy-6-methoxy-1-methyl-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-89-1P, 6-Benzyloxy-3-iodo-5-methoxyindole-1-carboxylic acid tert-butyl ester 479552-90-4P, 2-(6-Benzyloxy-5-methoxy-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine 479552-91-5P, 2-(6-Benzyloxy-5-methoxy-1-methyl-1H-indol-3-yl)-1-(toluene-4-sulfonyl)-1H-pyrrolo[2,3-b]pyridine RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azaindoles as protein kinase inhibitors with therapeutic uses)

RN 348640-14-2 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-bromo-6-methoxy-5-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 348640-22-2 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[6-methoxy-5-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 348640-33-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[6-methoxy-1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 479552-89-1 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 3-iodo-5-methoxy-6-(phenylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{Ph-CH}_2-\text{O} \\ \\ \text{O} \\ \end{array}$$

RN 479552-90-4 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[5-methoxy-6-(phenylmethoxy)-1H-indol-3-yl]-1[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 479552-91-5 HCAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 2-[5-methoxy-1-methyl-6-(phenylmethoxy)-1H-indol-3-yl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

6

ACCESSION NUMBER:

2002:927188 HCAPLUS

DOCUMENT NUMBER:

138:14005

Preparation of 5-aralkylsulfonyl-3-(pyrrol-2-TITLE: ylmethylidene) -2-indolinone derivatives as kinase

inhibitors

Cui, Jingrong; Ramphal, Yudhi; Liang, Congxin; Sun, INVENTOR(S):

Li; Wei, Chung Chen; Tang, Peng Cho

PATENT ASSIGNEE(S): USA

PCT Int. Appl., 479 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GΙ

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPL:		DATE							
	WO 2002096361 WO 2002096361					A2 2002120 A3 2003031			· · · · · · · · · · · · · · · · · · ·										
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		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RŲ,		
	TJ, TM																		
	RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	ΑT,	BE,	CH,		
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US 2003125370									US 2002-157007						20020530 <				
US	B2 20030729																		
PRIORITY APPLN. INFO.:										US 2001-294544P									
						US 2	2001-328408P P 20011010												
OTHER S		MARPAT 138:14005																	

$$R^{3}$$

$$(CR^{1}R^{2})_{m}S(O)_{n}$$

$$R^{9}$$

The present invention relates to certain 5-aralkylsulfonyl-3-(pyrrol-2-AB ylmethylidene) -2-indolinone derivs. (shown as I; see below for variable definitions; e.q. 2,4-dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2dihydroindol-(3Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide) that inhibit kinases (no data), in particular met kinase. Pharmaceutical compns. comprising these compds., methods of treating diseases mediated by kinases using pharmaceutical compns. comprising these compds., and methods of preparing them are also disclosed.

Ι

In I: n = 0-2; m = 1-3; R1 and R2 = H or alkyl; R3, R4, and R5 = H, halo, alkyl, cycloalkyl, haloalkyl, hydroxy, alkoxy, alkoxycarbonyl, haloalkoxy, cyano, carboxy, carboxyalkyl, nitro, aryl, aryloxy, heteroaryl, heteroaryloxy, -(alkylene)-CONR10R11, -CONR10R11, or - NR10R11 (R10 is H or alkyl, and R11 is aryl, heteroaryl, heterocycle, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, aralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy, or R10 and R11 together with the N atom to which they are attached combine to form saturated or unsatd. heterocycloamino). R6 is H, alkyl, cycloalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, carboxyalkyl, heterocyclylalkyl, aryl, heteroaryl, carboxy, alkoxycarbonyl, heterocyclylcarbonyl, aminoalkylcarbonyl, alkylaminoalkylcarbonyl, dialkylaminoalkylcarbonyl, -CONR10R11 or -(alkylene)-CONR10R11. R7 and R8 = H, alkyl, cycloalkyl, heterocyclylalkyl, -COR12, -(alkylene)-COR12 (R12 = alkoxy, hydroxy, or heterocycle, alkylamino, dialkylamino), -SO2R14, -CONR13R14, or -(alkylene)-CONR13R14 (R13 is H or alkyl, and R14 is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s), or when R13 and R14 are attached to a N atom R13 and R14 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino). R6 and R7 or R7 and R8 can combine to form a saturated or unsatd. 5 to 8 membered ring; and R9 is: H or alkyl; -PO(OR15)2 where each R15 = H or alkyl; -COR16 where R16 is H or alkyl; or -CHR17NR18R19 where R17 is H or alkyl, and R18 and R19 = H or alkyl or R18 and R19 together with the N atom to which they are attached form heterocycloamino. Although the methods of preparation are not claimed, 375 example prepns. of I plus addnl. prepns. of intermediates are included. 477574-57-5P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid 477574-82-6P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477574-92-8P, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid 477574-93-9P, [5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3yl]acetic acid 477575-20-5P, 5-[5-(2,6-Dimethylphenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477575-21-6P, 5-[5-(2,3-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477575-28-3P, [2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-yl]acetic acid 477575-66-9P, 3-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3yl]propionic acid 477575-81-8P, 5-[5-(3,5-Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477575-83-0P, 5-[5-(2,5-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477576-36-6P, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-(piperazin-1-yl)ethyl)acetamide RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

IT

(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidenesubstituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477574-57-5 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} H & Me & CO_2H \\ \hline \\ Ph & S & Me \\ \hline \\ O & O & H \\ \end{array}$$

RN 477574-82-6 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & \\ \hline \\ C1 & \\ \hline \\ C1 & \\ \end{array}$$

RN 477574-92-8 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-93-9 HCAPLUS

CN 1H-Pyrrole-3-acetic acid, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA

INDEX NAME)

Double bond geometry as shown.

$$C1$$
 $C0_2H$
 $C0_2H$
 $C0_2H$
 $C0_2H$
 $C0_2H$

RN 477575-20-5 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & Me \\ \hline Me & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 477575-21-6 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-28-3 HCAPLUS

CN 1H-Pyrrole-3-acetic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O & Me \\ \hline N & O & Me \\ \hline Z & & Me \\ \hline N & Me \\ \hline O & O & H \\ \end{array}$$

RN 477575-66-9 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-81-8 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & Me \\ \hline & N & O \\ \hline & Z & Me \\ \hline & N & Me \\ \hline & CO_2H \\ \hline & Me \\ \hline & Me \\ \hline & CO_2H \\ \hline & Me \\ \hline$$

RN 477575-83-0 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & Me & CO_2H \\ \hline & Z & \\ \hline & N & Me \\ \hline & C1 & \\ & C1 & \\ \end{array}$$

RN 477576-36-6 HCAPLUS
CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

477573-60-7P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-IT dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl) amide 477573-61-8P, 5-[5-(2-Cyanophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-62-9P, 2,4-Dimethyl-5-[2-oxo-5-(3trifluoromethylphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-63-0P, 5-[5-(3-Methoxyphenylmethanesulfonyl)-2-oxo-1,2dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-64-1P, 2-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5yl]sulfonyl]methyl]benzonitrile 477573-65-2P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2yl]meth-(Z)-ylidene]-5-(3-methoxyphenylmethanesulfonyl)-1,3-dihydroindol-2one 477573-66-3P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-67-4P, 2,4-Dimethyl-5-[5-(2-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477573-68-5P, 2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-ylethyl)amide 477573-69-6P, 2,4-Dimethyl-5-[5-(2-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-

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(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-
ylethyl)amide 477573-70-9P, 3-[1-(3,5-Dimethyl-1H-pyrrol-2-
yl) meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477573-71-0P, 4-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzoic acid 477573-72-1P,
[4-[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]phenyl]acetic acid 477573-73-2P,
4-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]-3-
nitrobenzoic acid 477573-74-3P, 4-[[[3-[1-[4-(2-
Diethylaminoethylcarbamoyl) -3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-
2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzoic acid
477573-75-4P, [4-[[[3-[1-[4-(2-Diethylaminoethylcarbamoyl)-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]phenyl]acetic acid 477573-76-5P,
4-[[[3-[1-[4-(2-Diethylaminoethylcarbamoyl)-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]-3-
nitrobenzoic acid 477573-77-6P, 3-[1-[3,5-Dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1-methyl-5-
phenylmethanesulfonyl-1,3-dihydroindol-2-one 477573-78-7P,
5-[5-(3,5-Dibromo-2-hydroxyphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-
(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477573-79-8P, 5-[5-(2-
Fluorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-[1,2,3]triazol-1-
ylethyl)amide 477573-80-1P, 2,4-Dimethyl-5-(4-methyl-2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-
carboxylic acid (2-diethylaminoethyl)amide 477573-81-2P,
5-[5-(2-Fluorophenylmethanesulfonyl)-4-methyl-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477573-82-3P, 5-[5-(2-
Chlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477573-83-4P, 4-[[[3-[1-[4-(2-Diethylaminoethylcarbamoyl)-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzoic acid methyl ester 477573-84-5P,
5-[5-(4-Trifluoromethoxyphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-
(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477573-85-6P, 5-[2,4-
Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477573-86-7P, 5-[5-[2,4-
Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477573-87-8P, 5-(4-
Bromophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477573-88-9P, 5-[5-(4-Bromophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477573-89-0P,
5-[5-(2-Iodophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477573-90-3P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
iodophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-91-4P,
5-[5-(4-Cyanophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477573-92-5P, 4-[[[3-[1-[3,5-Dimethyl-
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4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-
oxo-2,3-dihydro-1H-indol-5-yl]sulfonyl]methyl]benzonitrile
477573-93-6P, 3-[[[3-[1-[4-(2-Diethylaminoethylcarbamoyl)-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzoic acid methyl ester 477573-94-7P,
3-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzoic acid methyl ester 477573-95-8P,
3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(3-trifluoromethoxyphenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-96-9P, 2,4-Dimethyl-5-[2-oxo-5-(3-
trifluoromethoxyphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477573-97-0P, 3-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzonitrile 477573-98-1P,
5-[5-(3-Cyanophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477573-99-2P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-m-
tolylmethanesulfonyl-1,3-dihydroindol-2-one 477574-00-8P,
2,4-Dimethyl-5-(2-oxo-5-m-tolylmethanesulfonyl-1,2-dihydroindol-3-(Z)-
ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-01-9P, 5-(3-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-
4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-02-0P, 5-[5-(2,4-
Difluorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-03-1P, 5-(4-tert-Butylphenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477574-04-2P,
5-[5-(4-tert-Butylphenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477574-05-3P, 5-(2,6-
Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-06-4P, 5-[5-(2,6-Difluorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-07-5P,
5-(3-Bromophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-
1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-08-6P, 5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-09-7P,
5-(2,4-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-10-0P, 3-[1-[3,5-Dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-
nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477574-11-1P,
2,4-Dimethyl-5-[5-(4-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-
(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-12-2P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-
nitrophenylmethanesulfonyl) -1,3-dihydroindol-2-one 477574-13-3P,
2,4-Dimethyl-5-[5-(3-nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-
(Z)-ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-14-4P, 5-[5-(3-Bromophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-15-5P,
5-(3,5-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-
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methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-16-6P, 5-[5-(3,5-
Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-17-7P, 5-(3,4-Difluorophenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477574-18-8P,
5-[5-(3,4-Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477574-19-9P, 5-[2,5-
Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-20-2P, 5-[5-[2,5-
Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477574-21-3P, 5-[3,5-
Bis(trifluoromethyl)phenylmethanesulfonyl]-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-22-4P, 5-[5-[3,5-
Bis(trifluoromethyl)phenylmethanesulfonyl]-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477574-23-5P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
hydroxy-5-nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-24-6P, 5-[5-(2-Hydroxy-5-nitrophenylmethanesulfonyl)-2-oxo-
1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-25-7P,
3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2-methoxy-5-nitrophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477574-26-8P, 5-[5-(2-Methoxy-5-
nitrophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-27-9P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477574-28-0P
  5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477574-29-1P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477574-30-4P
  5-[5-(3-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl) amide 477574-31-5P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477574-32-6P
 5-[5-(4-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-diethylaminoethyl)amide 477574-33-7P, 3-[1-[3,5-Dimethyl-4-
[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-
trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-34-8P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-35-9P, 2,4-Dimethyl-5-[2-oxo-5-(2-
trifluoromethylphenylmethanesulfonyl) -1,2-dihydroindol-3-(Z) -
ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-36-0P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3-
trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-37-1P, 2,4-Dimethyl-5-[2-oxo-5-(4-
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trifluoromethylphenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-38-2P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(4-
trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-39-3P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-
pentafluorophenylmethanesulfonyl-1,3-dihydroindol-2-one
477574-40-6P, 2,4-Dimethyl-5-(2-oxo-5-
pentafluorophenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-
pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477574-41-7P
, 5-(2,5-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-42-8P, 5-[5-(2,5-
Difluorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-43-9P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,3,6-
trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477574-44-0P, 2,4-Dimethyl-5-[2-oxo-5-(2,3,6-
trifluorophenylmethanesulfonyl)-1,2-dihydroindol-3-(Z)-ylidenemethyl]-1H-
pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide 477574-45-1P
, 5-(2,3-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-46-2P, 5-[5-(2,3-
Difluorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-47-3P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-48-4P,
5-(Biphenyl-2-ylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-
1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-49-5P, 5-[5-(Biphenyl-2-ylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-50-8P,
3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2-fluoro-6-nitrophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477574-51-9P, 5-[5-(2-Fluoro-6-
nitrophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide
477574-52-0P, 3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-
fluorophenoxy) phenylmethanesulfonyl]-1,3-dihydroindol-2-one
477574-53-1P, 5-[5-[2-(2-Fluorophenoxy) phenylmethanesulfonyl]-2-
oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-
carboxylic acid (2-diethylaminoethyl)amide 477574-54-2P,
5-(2-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-
1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-55-3P, 5-[5-(4-Chlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-diethylaminoethyl)amide 477574-56-4P,
5-(4-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-
1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-58-6P, 4-[[[3-[1-[3,5-Dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-2-oxo-2,3-dihydro-1H-indol-5-
yl]sulfonyl]methyl]benzoic acid methyl ester 477574-59-7P,
2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-
ylidenemethyl)-1H-pyrrole-3-carboxylic acid (3-diethylamino-2-
hydroxypropyl) amide 477574-60-0P, 2,4-Dimethyl-5-(2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-
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carboxylic acid [2-(2H-tetrazol-5-yl)ethyl]amide 477574-61-1P,
    5-Methyl-2-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-
   ylidenemethyl) -1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-
   yl)propyl)amide 477574-62-2P, 5-Methyl-2-(2-oxo-5-
   phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-
   carboxylic acid (3-[1,2,3]triazol-1-ylpropyl)amide 477574-63-3P,
    3-[1-[(R)-[(3-Dimethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-
   yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
    477574-64-4P, 4-Methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-
   dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid
    (2-diethylaminoethyl)amide 477574-65-5P, 2,4-Dimethyl-5-(2-oxo-5-
   phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-
    carboxylic acid (2-(pyrrolidin-1-yl)ethyl)amide 477574-66-6P,
    2,4-Dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-
   ylidenemethyl) -1H-pyrrole-3-carboxylic acid (2-diisopropylaminoethyl) amide
    477574-67-7P, 5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-
   dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
   acid (2-(pyrrolidin-1-yl)ethyl)amide 477574-68-8P,
    5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
   ylidenemethyl]-4-methyl-1H-pyrrole-3-carboxylic acid (2-
   diethylaminoethyl) amide 477574-69-9P, 2-[5-(2-
    Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-
   methyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide
    477574-70-2P, 5-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-
    dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
   acid (2-diisopropylaminoethyl) amide 477574-71-3P,
    2-[5-(2-Fluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
   ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (3-[1,2,3]triazol-1-
   ylpropyl) amide 477574-72-4P
3 - [1 - [4 - [((3R*, 5S*) - 3, 5 - Dimethylpiperazin - 1 - yl) carbonyl] - 3, 5 - dimethyl - 1H -
   pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-
   dihydroindol-2-one 477574-73-5P, 3-[1-[4-[((3R*,5S*)-3,5-
   Dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
   ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
   477574-74-6P, 5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-
   dihydroindol-3-(Z)-ylidenemethyl]-4-methyl-1H-pyrrole-3-carboxylic acid
    (2-diethylaminoethyl)amide 477574-75-7P, 2-[5-(3-
    Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-5-
   methyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide
    477574-76-8P, 2-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-
   dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid
    (3-[1,2,3]triazol-1-ylpropyl)amide 477574-77-9P,
    5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
   ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
    (2-(pyrrolidin-1-yl)ethyl)amide 477574-78-0P,
   5-[5-(3-Chlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
   ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
    (2-diisopropylaminoethyl) amide 477574-79-1P,
    5-(3-Chlorophenylmethanesulfonyl)-3-[1-[4-[((3R,5S)-3,5-dimethylpiperazin-
    1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
   dihydroindol-2-one 477574-80-4P, 5-(3-
   Chlorophenylmethanesulfonyl) -3-[1-[3-[((R)-3-dimethylaminopyrrolidin-1-
   yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-
   one 477574-81-5P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-
    (3,5-dimethyl-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-dihydroindol-2-one
   477574-83-7P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-
   3-[(morpholin-4-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
   dihydroindol-2-one 477574-84-8P, 5-(2,6-
   Dichlorophenylmethanesulfonyl) -3-[1-[5-methyl-3-[(4-methylpiperazin-1-
   yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
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477574-85-9P, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid
methyl (1-methylpiperidin-4-yl) amide 477574-86-0P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-(4-(pyrrolidin-1-
yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-87-1P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[3,5-dimethyl-4-[((S)-2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-88-2P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1, 2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-(morpholin-4-
yl)propyl)amide 477574-89-3P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-[1,2,3]triazol-1-
ylpropyl) amide 477574-90-6P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(3-oxopiperazin-1-
yl)ethyl]amide 477574-91-7P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[(4-hydroxypiperidin-1-yl)carbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-94-0P, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-0x0-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid
[2-(3-oxopiperazin-1-yl)ethyl]amide 477574-95-1P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-[(4-hydroxypiperidin-1-
yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-
one 477574-96-2P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-
[(3-diethylaminopyrrolidin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477574-97-3P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-
1-yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477574-98-4P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477574-99-5P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-(3,5-
dimethyl-4-[(morpholin-4-yl)methyl]-1H-pyrrol-2-yl)meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-00-1P, 3-[1-[4-[((R)-2-
[(Cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477575-04-5P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[(S)-2-[((R)-3-fluoropyrrolidin-1-
yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-09-0P,
3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477575-11-4P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-hydroxyethyl)amide
477575-13-6P, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid
(2-hydroxy-3-[1,2,3]triazol-1-ylpropyl)amide 477575-15-8P,
2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (2-hydroxy-3-
(morpholin-4-yl)propyl)amide 477575-16-9P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid methyl(1-methylpiperidin-4-
yl)amide 477575-17-0P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-
[1-[4-[(3-diethylaminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477575-18-1P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3-[((3R,5S)-3,5-
dimethylpiperazin-1-yl)carbonyl]-5-methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-
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1,3-dihydroindol-2-one 477575-22-7P, 2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-oxopiperazin-1-yl)ethyl]acetamide
477575-23-8P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-(4-
hydroxypiperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene] -1, 3 - dihydroindol - 2 - one 477575 - 24 - 9P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(2-(morpholin-4-
yl)-2-oxoethyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477575-25-0P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[((R)-
3-hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-26-1P,
3-[1-[3,5-Dimethyl-4-[(morpholin-4-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-5-(2,6-dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477575-27-2P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-
((3R,5S)-3,5-dimethylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477575-29-4P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-(4-
methylpiperazin-1-yl)-2-oxoethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-30-7P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[2-[4-(ethylpropylamino)piperidin-1-
yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-31-8P, 2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-diethylaminoethyl)acetamide
477575-32-9P, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-
1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-
methyl-N-(1-methylpiperidin-4-yl)acetamide 477575-33-0P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-(3-diethylaminopyrrolidin-
1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-34-1P, 2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-(pyrrolidin-1-yl)ethyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-
   substituted indolinones as kinase inhibitors useful against cancers and
   other disorders)
477573-60-7 HCAPLUS
1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-
oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-
      (CA INDEX NAME)
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Double bond geometry as shown.

RN

CN

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & O & Me \\ \hline & N & Me \\ \hline &$$

RN 477573-61-8 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-62-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$F_3C$$

$$\begin{array}{c} H\\ N\\ \end{array}$$

$$\begin{array}{c} Me\\ N\\ H\\ \end{array}$$

$$\begin{array}{c} N\\ Me\\ H\\ \end{array}$$

$$\begin{array}{c} N\\ N\\ H\\ \end{array}$$

$$\begin{array}{c} N\\ N\\ H\\ \end{array}$$

RN 477573-63-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(3-methoxyphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} H & O & Me \\ \hline N & O & Me \\ \hline Z & M & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N$$

RN 477573-64-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477573-65-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(3-methoxyphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-66-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477573-67-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-68-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 477573-69-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-5-[[(2-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-70-9 HCAPLUS

CN 2H-Indol-2-one, 3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} H & Me \\ \hline N & O & Me \\ \hline Z & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N$$

RN 477573-71-0 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \text{Me} \\ \text{N} \\ \text{H} \\ \text{N} \\$$

RN 477573-72-1 HCAPLUS

CN Benzeneacetic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 477573-73-2 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-3-nitro-(9CI) (CA INDEX NAME)

RN 477573-74-3 HCAPLUS

CN Benzoic acid, 4-[[[(3E)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$HO_2C$$
 HO_2C
 H

RN 477573-75-4 HCAPLUS

CN Benzeneacetic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbony 1]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

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-NEt2

RN 477573-76-5 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-3-nitro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477573-77-6 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-1-methyl-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477573-78-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3,5-dibromo-2-hydroxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-79-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-1,2,3-triazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 477573-80-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-4-methyl-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-81-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-4-methyl-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-82-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-83-4 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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-NEt2

RN 477573-84-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Grazier 10_509633

PAGE 1-B

-NEt2

RN 477573-85-6 HCAPLUS
CN Piperazine, 1-[[5-[(Z)-[5-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfony
1]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text$$

RN 477573-86-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[2,4-bis(trifluoromethyl)phenyl]meth yl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$CF_3$$
 CF_3
 NEt_2
 NEt_2
 NH
 NEt_2

RN 477573-87-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(4-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-88-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(4-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-89-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(2-iodophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-

dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-90-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(2-iodophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-91-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(4-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Grazier 10 509633

RN 477573-92-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(4-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-93-6 HCAPLUS

CN Benzoic acid, 3-[[[(3Z)-3-[[4-[[[2-(diethylamino)ethyl]amino]carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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-NEt2

RN 477573-94-7 HCAPLUS

CN Benzoic acid, 3-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 477573-95-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & &$$

RN 477573-96-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Grazier 10_509633

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-NEt2

RN 477573-97-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477573-98-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3-cyanophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & \\ & N & \\ & & N & \\ & & Me \end{array}$$

RN 477573-99-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(3-methylphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-00-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(3-methylphenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} H & O & Me \\ \hline Me & NEt_2 \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me$$

RN 477574-01-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-

methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-02-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-03-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[4-(1,1-dimethylethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-04-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[4-(1,1-dimethylethyl)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} H & O & Me \\ \hline N & NEt_2 \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ \hline N & Me \\ N & Me \\ \hline N & Me \\ N &$$

RN 477574-05-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-06-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-07-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-08-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-09-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-10-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(4-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-11-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(4-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 477574-12-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(3-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 477574-13-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[[(3-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$O_2N$$
 NEt_2
 NEt_2
 N
 NEt_2

RN 477574-14-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3-bromophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-

dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & NEt_2 \\ \hline & & N & Me \\ \hline & & N & NEt_2 \\ \hline & & N & Me \\ \hline & N & Me$$

RN 477574-15-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-16-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(3,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-17-7 HCAPLUS

Piperazine, 1-[[5-[(Z)-[5-[[(3,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-18-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(3,4-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-19-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2,5-bis(trifluoromethyl)phenyl]methyl]sulfony l]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-20-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[2,5-bis(trifluoromethyl)phenyl]meth yl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-21-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]sulfony l]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-22-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[[3,5-bis(trifluoromethyl)phenyl]meth yl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$F_3C$$
 CF_3
 H
 NEt_2
 NEt_2
 N
 H
 NEt_2

RN 477574-23-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(2-hydroxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-24-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(2-hydroxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-25-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[(2-methoxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-26-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-5-[(2-methoxy-5-nitrophenyl)methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-27-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[((2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-28-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-29-1 HCAPLUS

Piperazine, 1-[[5-[(Z)-[5-[[(3-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-CN oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

477574-30-4 HCAPLUS RN

1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(3-CN fluorophenyl) methyl] sulfonyl] -1,2-dihydro-2-oxo-3H-indol-3-ylidene] methyl] -2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & O & Me \\ \hline & Z & & Me \\ \hline & N & Me \\ \hline & N & Me \\ \hline & N & Me \\ \hline \end{array}$$

RN

477574-31-5 HCAPLUS
Piperazine, 1-[[5-[(Z)-[5-[[(4-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-CNoxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4methyl- (9CI) (CA INDEX NAME)

RN 477574-32-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(4-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-33-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethoxy)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} & & & \\ & &$$

RN 477574-34-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-35-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-36-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-37-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & NEt_2 \\ \hline & S & Me \\ \hline & N & NEt_2 \\ \hline & N & Me \\ \hline$$

RN 477574-38-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-

dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text$$

RN 477574-39-3 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[(pentafluorophenyl)methyl]su lfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-40-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[(pentafluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-41-7 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-42-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2,5-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-43-9 HCAPLUS
CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[[(2,3,6-trifluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-44-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[[(2,3,6-trifluorophenyl)methyl]sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-45-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,3-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-46-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2,3-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-47-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477574-48-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[([1,1'-biphenyl]-2-ylmethyl)sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-49-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[([1,1'-biphenyl]-2-ylmethyl)sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-50-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2-fluoro-6-nitrophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-

yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-51-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2-fluoro-6-nitrophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-52-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[[2-(2-fluorophenoxy)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-53-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[[2-(2-fluorophenoxy)phenyl]methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-54-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-55-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(4-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-56-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(4-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-58-6 HCAPLUS

CN Benzoic acid, 4-[[[(3Z)-3-[[3,5-dimethyl-4-[(4-methyl-1-piperazinyl)carbonyl]-1H-pyrrol-2-yl]methylene]-2,3-dihydro-2-oxo-1H-indol-5-yl]sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-59-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(diethylamino)-2-hydroxypropyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 477574-60-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1H-tetrazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-61-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477574-62-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H- 1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-63-3 HCAPLUS

CN 1H-Pyrrole, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-1-[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]carbonyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477574-64-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-65-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-

pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-66-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-67-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 477574-68-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-69-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-70-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-5-[(Z)-[5-

[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-71-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-72-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 477574-73-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 477574-74-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & H & O & Me \\ \hline & N & & \\ &$$

RN 477574-75-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477574-76-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1H-1,2,3-triazol-1-yl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & &$$

RN 477574-77-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 477574-78-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[bis(1-methylethyl)amino]ethyl]-5-[(Z)-[5-[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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 $-N(Pr-i)_2$

RN 477574-79-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 477574-80-4 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[5-[[(3-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 477574-81-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[(3,5-dimethyl-1H-pyrrol-2-yl)methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-83-7 HCAPLUS

CN Morpholine, 4-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-

(9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & & H & \\ \hline & & & \\ & & &$$

RN 477574-84-8 HCAPLUS

CN Piperazine, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-85-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,5-dimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 477574-86-0 HCAPLUS
CN Piperidine, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-87-1 HCAPLUS
CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

RN 477574-88-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-89-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-90-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

RN477574-91-7 HCAPLUS CN

4-Piperidinol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3yl]carbonyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN477574-94-0 HCAPLUS

CN1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[2-(3-oxo-1piperazinyl)ethyl] - (9CI) (CA INDEX NAME)

RN 477574-95-1 HCAPLUS

CN 4-Piperidinol, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & & H \\ \hline & N & C1 \\ \hline & C1 & & N \\ \hline & & Me \\ \hline \end{array}$$

RN 477574-96-2 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477574-97-3 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477574-98-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477574-99-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-(4-morpholinylmethyl)-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-00-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-04-5 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-09-0 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477575-11-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-hydroxyethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-13-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 477575-15-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-5-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-16-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 477575-17-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-18-1 HCAPLUS

CN Piperazine, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-22-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(3-oxo-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-23-8 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-24-9 HCAPLUS

CN Morpholine, 4-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 477575-25-0 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477575-26-1 HCAPLUS

CN Morpholine, 4-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477575-27-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3,5-dimethyl-, (3R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 477575-29-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-30-7 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-ethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 477575-31-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-32-9 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl-N-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 477575-33-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-34-1 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 477575-35-2P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-

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dimethyl-4-((S)-2-[(morpholin-4-yl)methyl]pyrrolidin-1-ylcarbonyl)-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477575-36-3P
 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-
[(ethylpropylamino)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477575-37-4P
, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-(morpholin-4-
yl)propyl)acetamide 477575-38-5P, 2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-[1,2,3]triazol-1-
ylpropyl)acetamide 477575-39-6P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[((R)-2-methoxymethylpyrrolidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-40-9P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[((S)-2-methoxymethylpyrrolidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-41-0P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[((R)-2-hydroxymethylpyrrolidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-42-1P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[((S)-2-hydroxymethylpyrrolidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-43-2P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(4-hydroxypiperidin-1-
yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-45-4P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-
y1) methy1]-3,5-dimethy1-1H-pyrrol-2-y1] meth-(Z)-ylidene]-1,3-dihydroindol-
2-one 477575-46-5P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-
oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-
carboxylic acid (2-methoxyethyl) amide 477575-47-6P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(3-methoxypropyl)amide 477575-48-7P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(2-hydroxyethoxy)ethyl]amide
477575-49-8P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-0x0-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-hydroxy-1-hydroxymethyl-1-methylethyl)amide 477575-50-1P
, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]amide 477575-51-2P,
5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[4-[((3R,5S)-3,5-
dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-52-3P,
5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((S)-2-
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-53-4P,
5-(2,6-Dimethylphenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-54-5P, 5-(2,6-
Dimethylphenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-
yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-55-6P, 3-[1-[3,5-Dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one 477575-56-7P
  5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((R)-2-R)]]
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-57-8P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
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ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-(morpholin-4-yl)ethyl)amide 477575-58-9P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(3-(morpholin-4-yl)propyl)amide 477575-59-0P,
3-[1-[4-[((S)-2-((Cyclopropylamino)methyl)pyrrolidin-1-yl)carbonyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477575-62-5P
, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(morpholin-
4-yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-63-6P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-(4-(morpholin-4-
yl)piperidin-1-yl)-2-oxoethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-64-7P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-ethylsulfanylethyl)amide
477575-65-8P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2,2,2-trifluoroethyl) amide 477575-67-0P,
3-[1-[4-[(S)-2-[[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477575-69-2P
  5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[4-[((3R,5S)-3,5-
dimethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-70-5P,
5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((S)-2-instance]]]
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477575-71-6P,
5-(2,3-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-72-7P, 5-(2,3-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-
yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-73-8P, 5-(2,3-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477575-74-9P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[((R)-
3-hydroxypyrrolidin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene] -1, 3-dihydroindol-2-one 477575-75-0P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(3-hydroxypiperidin-1-
yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-
2-one 477575-77-2P, 3-[1-[4-[((S)-2-
[(Cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477575-79-4P, 3-[1-[4-[((S)-2-[(Cyclopropylamino)methyl]pyrrolidin-
1-y1) carbonyl] -3,5-dimethyl-1H-pyrrol-2-yl] meth-(Z)-ylidene]-5-(2,6-
difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477575-80-7P
  5-(3,5-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-hydroxypiperidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-82-9P, 5-(2,5-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[((3R,5S)-3,5-dimethylpiperazin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-85-2P, 5-(2,5-
Dichlorophenylmethanesulfonyl) -3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-
yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477575-86-3P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(pyridin-2-yl)ethyl)amide
477575-88-5P, 3-[1-[3,5-Dimethyl-4-(2-(piperidin-1-yl)acetyl)-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-
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one 477575-89-6P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-
1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-(pyridin-3-yl)ethyl)amide 477575-90-9P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-(pyridin-4-yl)ethyl)amide 477575-91-0P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid [(tetrahydrofuran-2-
yl) methyl] amide 477575-92-1P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (cyclopropylmethyl)amide
477575-93-2P, 3-[1-[3,5-Dimethyl-4-[2-oxo-2-((S)-2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)ethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-
phenylmethanesulfonyl-1,3-dihydroindol-2-one 477575-95-4P,
3-[1-[3,5-Dimethyl-4-[2-(4-methylpiperazin-1-yl)-2-oxoethyl]-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477575-97-6P, 3-[1-[4-[2-((3R,5S)-3,5-Dimethylpiperazin-1-yl)-2-
oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-
phenylmethanesulfonyl-1,3-dihydroindol-2-one 477575-99-8P,
3-[1-[3,5-Dimethyl-4-(2-(morpholin-4-yl)-2-oxoethyl)-1H-pyrrol-2-yl]meth-
(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477576-01-5P, 3-[1-[4-[2-(4-Hydroxypiperidin-1-yl)-2-oxoethyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-
dihydroindol-2-one 477576-03-7P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(thiomorpholin-4-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477576-04-8P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-0x0-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid (2-fluoroethyl) amide 477576-05-9P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-(imidazol-1-yl)propyl)amide
477576-06-0P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid methylamide 477576-07-1P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid amide 477576-08-2P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(1,1-dioxo-\lambda6-
thiomorpholin-4-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-
1,3-dihydroindol-2-one 477576-09-3P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid [2-(4-acetylpiperazin-1-
yl)ethyl]amide 477576-10-6P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[((3R,5S)-3,5-dimethylpiperazin-1-
yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-
2-one 477576-12-8P, 5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-
[4-[(4-hydroxypiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-
(Z)-ylidene]-1,3-dihydroindol-2-one 477576-14-0P,
5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((S)-2-inequality])]
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene] -1,3-dihydroindol-2-one 477576-15-1P,
5-(2,5-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-interpretation of the context o
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477576-16-2P, 5-(3,5-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[((3R,5S)-3,5-dimethylpiperazin-1-
y1) carbony1] -3,5-dimethy1-1H-pyrrol-2-y1] meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477576-17-3P, 5-(3,5-
Dichlorophenylmethanesulfonyl) -3-[1-[3,5-dimethyl-4-(4-(pyrrolidin-1-
yl)piperidin-1-ylcarbonyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477576-18-4P, 5-(3,5-
Dichlorophenylmethanesulfonyl) -3-[1-[3,5-dimethyl-4-[((S)-2-pyrrolidin-1-
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ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477576-19-5P, 5-(3,5-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[(4-methylpiperazin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477576-20-8P, 3-[1-[4-[(4-Cyclopropylmethylpiperazin-1-yl)methyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl) -1,3-dihydroindol-2-one 477576-22-0P
, 3-[1-[4-[2-((S)-2-[(Cyclopropylamino)methyl]pyrrolidin-1-yl)-2-oxoethyl]-1
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477576-23-1P
, 3-[1-[4-[(4-Acetylpiperazin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477576-24-2P, 4-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-ylmethyl]piperazine-1-carboxaldehyde
477576-25-3P, 3-[1-[4-[[(Cyclopropyl)methylamino]methyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477576-26-4P
   3-[1-[4-[(4-Cyclopropylpiperazin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477576-28-6P, 3-[1-[4-[2-((2R,4R)-2-
[(Cyclopropylamino)methyl]-4-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477576-29-7P
   3-[1-[4-[2-((2R,3S)-2-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl]-3-hydroxypyrrolidin-1-[(Cyclopropylamino)methyl-1-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl-[(Cyclopropylamino)methyl
yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477576-34-4P
   5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-(3-acetylaminopyrrolidin-1-yl)ethyl]amide 477576-38-8P,
2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-[4-(2-
hydroxyacetyl)piperazin-1-yl]ethyl]acetamide 477576-40-2P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[((R)-3-
hydroxypyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-42-4P
, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[2-oxo-2-((S)-
3-pyrrolidin-1-ylmethylpiperidin-1-yl)ethyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477576-44-6P,
2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl] -2,4-dimethyl-1H-pyrrol-3-yl] -N-[2-(2,2,2-
trifluoroethylamino) ethyl] acetamide 477576-45-7P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-(2,2,2-trifluoroethylamino)ethyl]amide 477576-47-9P,
3-[1-[4-[(R)-2-[[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl) -1,3-dihydroindol-2-one 477576-48-0P
   (2S, 4R) -1-[5-[5-(2,6-Dichlorophenylmethanesulfonyl) -2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-ylcarbonyl]-4-
hydroxypyrrolidine-2-carboxylic acid cyclopropylamide 477576-50-4P
   (2S, 4R) -1-[2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl) -2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-
hydroxypyrrolidine-2-carboxylic acid cyclopropylamide 477576-51-5P
, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(2-hydroxy-3-(pyrrolidin-1-yl)propyl)amide 477576-52-6P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
(3-cyclopropylamino-2-hydroxypropyl) amide 477576-54-8P,
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3-[1-[4-[(4-Cyclopropylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-
    yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-55-9P, 5-[5-(2,6-
    Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
    2,4-dimethyl-1H-pyrrole-3-carboxylic acid cyclopropylamide
    477576-56-0P, N-[2-(3-Acetylaminopyrrolidin-1-yl)ethyl]-2-[5-[5-
    (2,6-dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-
    ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetamide 477576-57-1P
    , 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
    ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
    [2-[4-(2-hydroxyacetyl)piperazin-1-yl]ethyl]amide 477576-61-7P,
    2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
    ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-(2-hydroxy-3-(pyrrolidin-1-
    yl)propyl)acetamide 477576-62-8P, N-(3-Cyclopropylamino-2-
    hydroxypropyl) -2-[5-[5-(2,6-dichlorophenylmethanesulfonyl) -2-oxo-1,2-
    dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetamide
    477576-63-9P, 3-[1-[4-[2-(4-Cyclopropylpiperazin-1-yl)-2-oxoethyl]-
    3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
    dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477576-64-0P
    , 3-[1-[4-[(4-Cyclopropylmethylpiperazin-1-yl)carbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-65-1P, 3-[1-[4-[2-(4-
    Cyclopropylmethylpiperazin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-
    yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-66-2P, 5-(2,6-
    Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((S)-3-pyrrolidin-1-
    ylmethylpiperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
    dihydroindol-2-one 477576-67-3P, 3-[1-[4-[(S)-2-
    [[(Cyclopropyl)methylamino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-71-9P, 3-[1-[4-[2-((2S,4R)-2-
    Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-
    1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-73-1P, 3-[1-[4-[((2R,4R)-2-
    Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-74-2P, 3-[1-[4-[((2R,3S)-2-
    Cyclopropylaminomethyl-3-hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
    dihydroindol-2-one 477576-75-3P, 5-(2,6-
    Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[((R)-3-hydroxypyrrolidin-1-
    yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
    ylidene]-1,3-dihydroindol-2-one 477576-76-4P,
    5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[((R)-3-
    hydroxypyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-78-6P
    , 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-((R)-3-R)]]
    hydroxypyrrolidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
    ylidene]-1,3-dihydroindol-2-one 477576-79-7P,
    5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[(R)-3-
    hydroxypyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-81-1P
, (R)-1-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
    ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-ylcarbonyl]piperidine-3-carboxylic
    acid cyclopropylamide 477576-83-3P, (R)-1-[2-[5-[5-(2,6-
    Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
    2,4-dimethyl-1H-pyrrol-3-yl]acetyl]piperidine-3-carboxylic acid
    cyclopropylamide 477576-84-4P, 3-[1-[4-[(S)-2-
    [[(Cyclopropyl)methylamino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
    pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-
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one 477576-85-5P, 3-[1-[4-[2-((S)-3-
[(Cyclopropylamino)methyl]piperidin-1-yl)-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477576-87-7P, 3-[1-[4-[((S)-3-
[(Cyclopropylamino)methyl]piperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477576-88-8P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[((R)-3-fluoropyrrolidin-
1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-
(Z)-ylidene]-1,3-dihydroindol-2-one 477576-89-9P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(4-fluoropiperidin-1-
yl) methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl] meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477576-91-3P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(4-
fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-92-4P
   5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[((R)-3-
fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-94-6P
   5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[((R)-3-
fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477576-95-7P
, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-dihydroindol-3-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(Z)-1,2-(
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-(4-fluoropiperidin-1-yl)ethyl]amide 477576-98-0P,
2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(4-fluoropiperidin-1-
yl)ethyl]acetamide 477576-99-1P, 3-[1-[4-[((2S,4R)-2-
Cyclopropylaminomethyl-4-hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-01-8P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[(4-fluoropiperidin-1-
yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-06-3P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(R)-2-[(4-
fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-07-4P
, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[(3-fluoropiperidin-
1-yl) methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-09-6P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[2-[(S)-2-[(3-
fluoropiperidin-1-yl)methyl]pyrrolidin-1-yl]-2-oxoethyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-10-9P
, 3-[1-[4-[2-[(S)-2-[[(Cyclopropyl)methylamino]methyl]pyrrolidin-1-yl]-2-
oxoethyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl) -1,3-dihydroindol-2-one 477577-11-0P
  3-[1-[4-[(R)-2-[((Cyclopropyl)methylamino)methyl]pyrrolidin-1-
ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-15-4P
  5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(4-fluoropiperidin-1-
yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-
2-one 477577-16-5P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-
oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-
carboxylic acid [2-(3-fluoropyrrolidin-1-yl)ethyl]amide
477577-17-6P, 2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-
1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-
fluoropyrrolidin-1-yl)ethyl]acetamide 477577-20-1P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-[(R)-2-[((R)-3-
fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-yl]-3-oxopropyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-21-2P
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5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-[((R)-3-
fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-24-5P***,
5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((R)-2-
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one
                                  ***477577-25-6P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-oxo-3-((R)-2-
pyrrolidin-1-ylmethylpyrrolidin-1-yl)propyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-26-7P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-[4-(2-amino-2-methylpropionyl)piperazin-1-yl]ethyl]amide
477577-28-9P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-[3-oxo-3-((S)-3-pyrrolidin-1-ylmethylpiperidin-1-yl)propyl]-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-29-0P
, 5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((S)-3-
pyrrolidin-1-ylmethylpiperidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-30-3P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-(3-(morpholin-4-
yl)-3-oxopropyl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477577-31-4P, N-[2-(4-Acetylpiperazin-1-yl)ethyl]-2-[5-[5-(2,6-
dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]acetamide 477577-33-6P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-(4-hydroxypiperidin-1-yl)ethyl]amide 477577-35-8P,
2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(4-hydroxypiperidin-1-
yl)ethyl]acetamide 477577-36-9P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-(4-methylpiperazin-
1-yl)-3-oxopropyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477577-37-0P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[3-
((3R,5S)-3,5-dimethylpiperazin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-38-1P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[3-oxo-3-((S)-2-
pyrrolidin-1-ylmethylpyrrolidin-1-yl)propyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-40-5P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[(1-methylpiperidin-4-yl)methyl]amide 477577-42-7P,
2-[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3-yl]-N-[(1-methylpiperidin-4-
yl) methyl] acetamide 477577-44-9P, 3-[1-[4-[3-((S)-2-
[(Cyclopropylamino)methyl]pyrrolidin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-45-0P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[3-(4-hydroxypiperidin-1-yl)-3-
oxopropyl]-3,5-dimethylpyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-
one 477577-46-1P 477577-47-2P, 5-(2,6-
Dichlorophenylmethanesulfonyl) -3-[1-[4-[3-[(R)-2-[((R)-3-hydroxypyrrolidin-
1-yl) methyl]pyrrolidin-1-yl]-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-
(Z) -ylidene] -1,3-dihydroindol-2-one 477577-48-3P,
5-(2,6-Difluorophenylmethanesulfonyl)-3-[1-[4-[((R)-3-hydroxypyrrolidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477577-49-4P, 3-[1-[4-[(4-
Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-
(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
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(drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidenesubstituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477575-35-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(4-morpholinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-36-3 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-ethyl-N-propyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-37-4 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(4-morpholinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477575-38-5 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1H-1,2,3-triazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-39-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(methoxymethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-40-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(methoxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-41-0 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-42-1 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477575-43-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4-hydroxy-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-45-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[(4-hydroxy-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

RN 477575-46-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-methoxyethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477575-47-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(3-methoxypropyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & & \\$$

RN 477575-48-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(2-hydroxyethoxy)ethyl]-

2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 477575-49-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-1(hydroxymethyl)-1-methylethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-50-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-1,1-bis(hydroxymethyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477575-51-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477575-52-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477575-53-4 HCAPLUS
CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-54-5 HCAPLUS
CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 477575-55-6 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-56-7 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-57-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-58-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\$$

RN 477575-59-0 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-62-5 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-63-6 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-(4-morpholinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-64-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(ethylthio)ethyl]-2,4dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-65-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 477575-67-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477575-69-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-70-5 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-71-6 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-72-7 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 477575-73-8 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-74-9 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-3,5-dimethyl-1H-pyrrol-2yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477575-75-0 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-3-[[4-[(3-hydroxy-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-77-2 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-79-4 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-

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difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-80-7 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-82-9 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-85-2 HCAPLUS
CN Piperidine, 1-[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-

dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-86-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

N 477575-88-5 HCAPLUS

CN 2H-Indol-2-one, 3-[[3,5-dimethyl-4-(1-piperidinylacetyl)-1H-pyrrol-2-yl]methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-89-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 477575-90-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-91-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & Me & \\ \hline & N & \\ \hline & & N \\ \hline & & \\ & &$$

RN 477575-92-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(cyclopropylmethyl)-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477575-93-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477575-95-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-methyl-(9CI) (CA INDEX NAME)

RN 477575-97-6 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477575-99-8 HCAPLUS

CN Morpholine, 4-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 477576-01-5 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-03-7 HCAPLUS

CN Thiomorpholine, 4-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477576-04-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-(2-fluoroethyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-05-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[3-(1H-imidazol-1-yl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-06-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N,2,4-trimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-07-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-

1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-08-2 HCAPLUS

CN Thiomorpholine, 4-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-09-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(4-acetyl-1-piperazinyl)ethyl]-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477576-10-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[4-[[(3S,5R)-3,5-dimethyl-1-piperazinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-12-8 HCAPLUS

CN 4-Piperidinol, 1-[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477576-14-0 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477576-15-1 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477576-16-2 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-17-3 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 477576-18-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c} & & & \\ & &$$

RN 477576-19-5 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477576-20-8 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[[4-(cyclopropylmethyl)-1-piperazinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-22-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (2S)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-23-1 HCAPLUS
CN Piperazine, 1-acetyl-4-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3yl]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-24-2 HCAPLUS
CN 1-Piperazinecarboxaldehyde, 4-[(2Z)-2-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]-1-(2,4-dimethyl-1H-pyrrol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 477576-25-3 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[(cyclopropylmethylamino)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-26-4 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[(4-cyclopropyl-1-piperazinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

RN 477576-28-6 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477576-29-7 HCAPLUS

CN 3-Pyrrolidinol, 2-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-34-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[3-(acetylamino)-1-pyrrolidinyl]ethyl]-5[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-38-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-[4-(hydroxyacetyl)-1-piperazinyl]ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

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RN 477576-40-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477576-42-4 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-44-6 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-45-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-[(2,2,2-trifluoroethyl)amino]ethyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-47-9 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-

ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477576-48-0 HCAPLUS

CN 2-Pyrrolidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 477576-50-4 HCAPLUS

CN 2-Pyrrolidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-4-hydroxy-, (2S,4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-51-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1-pyrrolidinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-52-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-54-8 HCAPLUS

CN Piperazine, 1-cyclopropyl-4-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX

NAME)

Double bond geometry as shown.

RN 477576-55-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclopropyl-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-56-0 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[2-[3-(acetylamino)-1-pyrrolidinyl]ethyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

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RN 477576-57-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-[4-(hydroxyacetyl)-1-piperazinyl]ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 477576-61-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-hydroxy-3-(1-pyrrolidinyl)propyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-62-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[3-(cyclopropylamino)-2-hydroxypropyl]-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-63-9 HCAPLUS

CN Piperazine, 1-cyclopropyl-4-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

RN 477576-64-0 HCAPLUS
CN Piperazine, 1-(cyclopropylmethyl)-4-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-

ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-65-1 HCAPLUS

CN Piperazine, 1-(cyclopropylmethyl)-4-[[5-[(Z)-[5-[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 477576-66-2 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-67-3 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2S)-(9CI) (CA INDEX NAME)

RN 477576-71-9 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-73-1 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R,5R)- (9CI) (CA INDEX NAME)

RN 477576-74-2 HCAPLUS

CN 3-Pyrrolidinol, 2-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R,3S)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.

RN 477576-75-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

RN 477576-76-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-78-6 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 477576-79-7 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[((2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CAINDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-81-1 HCAPLUS

CN 3-Piperidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

RN 477576-83-3 HCAPLUS

3-Piperidinecarboxamide, N-cyclopropyl-1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-84-4 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(E)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

RN 477576-85-5 HCAPLUS

CN 3-Piperidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-87-7 HCAPLUS

CN 3-Piperidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

RN

477576-88-8 HCAPLUS
Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-CNdihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3yl]acetyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477576-89-9 HCAPLUS

CNPyrrolidine, 1-[[5-[(Z)-[5-[((2,6-dichlorophenyl)methyl]sulfonyl]-1,2-[(((2,6-dichlorophenyl)methyl]sulfonyl]]dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3yl]carbonyl]-2-[(4-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

RN 477576-91-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[((2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(4-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & & \\$$

RN 477576-92-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

RN 477576-94-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477576-95-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477576-98-0 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-99-1 HCAPLUS

CN 3-Pyrrolidinol, 5-[(cyclopropylamino)methyl]-1-[[5-[(Z)-[5-[[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R,5S)- (9CI) (CA INDEX NAME)

RN 477577-01-8 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(4-fluoro-1-piperidinyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-06-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(4-fluoro-1-piperidinyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & & \\ & &$$

RN 477577-07-4 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[((2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[(3-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477577-09-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-2-[(3-fluoro-1-piperidinyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

RN 477577-10-9 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-

ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]-N-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-11-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-methyl-, (2R)-(9CI) (CA INDEX NAME)

RN 477577-15-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[4-[(4-fluoro-1-piperidinyl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-16-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-pyrrolidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477577-17-6 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-pyrrolidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & &$$

RN 477577-20-1 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

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RN 477577-21-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3R)-3-fluoro-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477577-24-5 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

RN 477577-25-6 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-26-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[4-(2-amino-2-methyl-1-oxopropyl)-1-piperazinyl]ethyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

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RN 477577-28-9 HCAPLUS

CN Piperidine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 477577-29-0 HCAPLUS

CN Piperidine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-3-(1-pyrrolidinylmethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-30-3 HCAPLUS

CN Morpholine, 4-[3-[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-31-4 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[2-(4-acetyl-1-piperazinyl)ethyl]-5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477577-33-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-hydroxy-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-35-8 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(4-hydroxy-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

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O

H

N

N

Me

Me

C1

C1

N

H

N

Me

PAGE 1-B

─ OH

RN 477577-36-9 HCAPLUS

CN Piperazine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-37-0 HCAPLUS

CN Piperazine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-3,5-dimethyl-, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477577-38-1 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[((2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-40-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(1-methyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-42-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(1-methyl-4-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & &$$

RN 477577-44-9 HCAPLUS
CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[3-[5-[(Z)-[5-[[(Z,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-45-0 HCAPLUS
CN 4-Piperidinol, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 \\ \hline \\ C1 \\ \hline \\ C1 \\ \hline \\ C1 \\ \end{array}$$

RN 477577-46-1 HCAPLUS

CN 3-Pyrrolidinol, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-47-2 HCAPLUS

CN Pyrrolidine, 1-[3-[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]-2-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

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○ОН

RN 477577-48-3 HCAPLUS
CN 3-Pyrrolidinol, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-49-4 HCAPLUS
CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 477577-50-7P, 3-[1-[4-[3-(4-Cyclopropylaminopiperidin-1-yl)-3-oxopropyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-51-8P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[5-methyl-3-[(S)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-

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ylidene]-1,3-dihydroindol-2-one 477577-52-9P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(S)-2-[((S)-3-
fluoropyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-54-1P
 3-[1-[4-[[(Cyclopropyl)methylamino]methyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-
1,3-dihydroindol-2-one 477577-55-2P, 3-[1-[4-[((R)-3-
Hydroxypyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-5-[2-(2-(morpholin-4-yl)ethoxy)phenylmethanesulfonyl]-1,3-
dihydroindol-2-one 477577-57-4P, 3-[1-[3,5-Dimethyl-4-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-
(morpholin-4-yl) ethoxy) phenylmethanesulfonyl]-1,3-dihydroindol-2-one
477577-58-5P, 3-[1-[3,5-Dimethyl-4-[((R)-2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-[2-(2-
(morpholin-4-yl) ethoxy) phenylmethanesulfonyl]-1,3-dihydroindol-2-one
477577-60-9P, 3-[1-[4-[(4-Cyclopropylaminopiperidin-1-yl)carbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-
dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477577-61-0P, 3-[1-[4-[((R)-2-[(Cyclopropylamino)methyl]pyrrolidin-
1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-
dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477577-62-1P, 3-[1-[4-[(R)-2-[[(Cyclopropylmethyl)amino]methyl]pyr
rolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(3,5-
dimethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477577-63-2P, 5-(3,5-Dimethoxyphenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-[((R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-64-3P
, 3-[1-[3,5-Dimethyl-4-[((R)-2-pyrrolidin-1-ylmethylpyrrolidin-1-
yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-
dihydroindol-2-one 477577-65-4P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1, 2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid cyclopropyl((R)-1-pyrrolidin-2-
ylmethyl) amide 477577-66-5P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl) -2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (cyclopropylmethyl)((R)-1-
pyrrolidin-2-ylmethyl) amide 477577-67-6P, 5-(2,6-
Dimethoxyphenylmethanesulfonyl) -3-[1-[3,5-dimethyl-4-[((R)-2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477577-68-7P, 3-[1-[4-[(R)-2-
[[(Cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-69-8P, 3-[1-[4-[((R)-2-
[(Cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-70-1P, 3-[1-[4-[((R)-2-
[(Cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-
2-one 477577-71-2P, 3-[1-[4-[(R)-2-[[(Cyclopropylmethyl)amino]me
thyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477577-72-3P, 3-[1-[3,5-Dimethyl-4-[((R)-2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-73-4P
, 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[((R)-2-
pyrrolidin-1-ylmethylpyrrolidin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477577-74-5P,
5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-
[(cyclopropylamino)methyl]pyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-
2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-75-6P,
5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-
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[[(cyclopropylmethyl)amino]methyl]pyrrolidin-1-ylcarbonyl]-3,5-dimethyl-1H-
pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one 477577-76-7P
 , 5-(2-Chlorophenylmethanesulfonyl)-3-[1-[4-[(4-cyclopropylaminopiperidin-
1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477577-77-8P, 3-[1-[4-[(4-
Cyclopropylaminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-
(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477577-78-9P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[4-[(R)-2-
 [((S)-2-hydroxymethylpyrrolidin-1-yl)methyl]pyrrolidin-1-ylcarbonyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-2-one
477577-79-0P, 3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-
dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-80-3P
    3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-81-4P, 3-[1-[4-[(4-Aminopiperidin-1-
yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-82-5P
    3-[1-[4-[(4-Aminopiperidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2-chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-
one 477577-83-6P, 3-[1-[4-[((S)-3-Aminopyrrolidin-1-yl)carbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2-
fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-84-7P
   3-[1-[4-[((S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-
yl]meth-(Z)-ylidene]-5-(2-chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-
one 477577-85-8P, 3-[1-[4-[((S)-3-Aminopyrrolidin-1-yl)carbonyl]-
3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-(2,6-
dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-86-9P
    3-[1-[4-[((S)-3-Aminopyrrolidin-1-yl)carbonyl]-3,5-dimethyl-1H-pyrrol-2-incomplex and incomplex an
yl]meth-(Z)-ylidene]-5-(2,6-difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-87-0P, 3-[1-[4-[((R)-3-Aminopyrrolidin-
1-y1) carbonyl] -3,5-dimethyl-1H-pyrrol-2-yl] meth-(Z)-ylidene] -5-(2,6-
difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-88-1P
    3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)] carbonyl]-3,5-dimethyl-1H-pyrrol-2-
y1]meth-(Z)-ylidene]-5-(2,6-dichlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477577-89-2P, 3-[1-[4-[((R)-3-Aminopyrrolidin-
1-y1) carbonyl] -3,5-dimethyl-1H-pyrrol-2-yl] meth-(Z)-ylidene]-5-(2-
chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477577-90-5P
    3-[1-[4-[(R)-3-Aminopyrrolidin-1-yl)]-3,5-dimethyl-1H-pyrrol-2-incomplex and incomplex and incompl
yl]meth-(Z)-ylidene]-5-(2-fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-
one 477577-91-6P, 3-[1-(5-Methyl-3H-imidazol-4-yl)meth-(Z)-
ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477577-92-7P, 3-[1-[3-[(3-Dimethylaminopyrrolidin-1-yl)carbonyl]-5-
methyl-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-
dihydroindol-2-one 477577-93-8P, 3-[5-Ethyl-2-(2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-
yl]propionic acid 477577-94-9P, 3-[4-Methyl-5-(2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-
yl]propionic acid 477577-95-0P, 3-[1-[3-Methyl-5-[(4-
methylpiperazin-1-yl)carbonyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-5-
phenylmethanesulfonyl-1,3-dihydroindol-2-one 477577-96-1P,
 4-(4-Fluorophenyl)-2-methyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-
dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid
 (2-diethylaminoethyl) amide 477577-97-2P, 4-[5-Methyl-2-(2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrol-3-
yl]benzoic acid 477577-98-3P, 3-[1-(4-(Morpholin-4-
yl)phenyl)meth-(Z)-ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
 477577-99-4P, 4-(2-Carboxyethyl)-3-methyl-5-(2-oxo-5-
phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-pyrrole-2-
 carboxylic acid ethyl ester 477578-00-0P, 3-[2,4-Dimethyl-5-(2-
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oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-3-(Z)-ylidenemethyl)-1H-
pyrrol-3-yl]propionic acid 477578-01-1P, 5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrole-3-carboxylic acid (3-(pyrrolidin-1-yl)propyl)amide
477578-02-2P, 2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-
dihydroindol-3-(Z)-ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid
(3-(pyrrolidin-1-yl)propyl)amide 477578-03-3P,
5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
[2-(3-fluoropiperidin-1-yl)ethyl]amide 477578-04-4P,
2-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-
ylidenemethyl]-5-methyl-1H-pyrrole-3-carboxylic acid (2-
diethylaminoethyl)amide 477578-05-5P, 3-[1-[4-[((3R,5S)-3,5-
Dimethylpiperazin-1-yl)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-5-phenylmethanesulfonyl-1,3-dihydroindol-2-one
477578-06-6P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-(1-methylpiperidin-4-yl)-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-
dihydroindol-2-one 477578-07-7P, 2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]-N-[2-(3-fluoropiperidin-1-yl)ethyl]acetamide
477578-08-8P, 5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-
dimethyl-4-(4-[(morpholin-4-yl)methyl]phenyl)-1H-pyrrol-2-yl]meth-(Z)-
ylidene]-1,3-dihydroindol-2-one 477578-09-9P,
5-(2,6-Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[4-
(cyclopropylamino)piperidin-1-ylmethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-
1,3-dihydroindol-2-one 477578-10-2P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-3-[1-[3,5-dimethyl-4-[4-(pyrrolidin-1-
yl)piperidin-1-ylmethyl]-1H-pyrrol-2-yl]meth-(Z)-ylidene]-1,3-dihydroindol-
2-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of aralkylsulfonyl- and pyrrolylmethylidene-
   substituted indolinones as kinase inhibitors useful against cancers and
   other disorders)
477577-50-7 HCAPLUS
4-Piperidinamine, N-cyclopropyl-1-[3-[5-[(Z)-[5-[(2,6-
dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-
ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]-1-oxopropyl]- (9CI)
                                                                  (CA
INDEX NAME)
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Double bond geometry as shown.

RN

CN

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RN 477577-51-8 HCAPLUS

CN Pyrrolidine, 1-[[2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-52-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(3S)-3-fluoro-1-pyrrolidinyl]methyl]-, (2S)- (9CI) (CAINDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 477577-54-1 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[(cyclopropylmethylamino)methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-55-2 HCAPLUS

CN 3-Pyrrolidinol, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4morpholinyl)ethoxy]phenyl]methyl]sulfonyl]-2-oxo-3H-indol-3ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-57-4 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 477577-58-5 HCAPLUS CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-5-[[[2-[2-(4-

morpholinyl)ethoxy]phenyl]methyl]sulfonyl]-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

$$-N$$

RN 477577-60-9 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-61-0 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-62-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-63-2 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(3,5-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-64-3 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477577-65-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclopropyl-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(2R)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 477577-66-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-(cyclopropylmethyl)-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[(2R)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477577-67-6 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dimethoxyphenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477577-68-7 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CAINDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 477577-69-8 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

RN 477577-70-1 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-71-2 HCAPLUS

CN 2-Pyrrolidinemethanamine, N-(cyclopropylmethyl)-1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (2R)- (9CI) (CA INDEX NAME)

RN477577-72-3 HCAPLUS

Pyrrolidine, 1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-CN2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN

477577-73-4 HCAPLUS Pyrrolidine, 1-[[5-[(Z)-[5-[((2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-CN 2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-(1-pyrrolidinylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

RN 477577-74-5 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-cyclopropyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-75-6 HCAPLUS

CN 2-Pyrrolidinemethanamine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-(cyclopropylmethyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 477577-76-7 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-N-cyclopropyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-77-8 HCAPLUS

CN 4-Piperidinamine, N-cyclopropyl-1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477577-78-9 HCAPLUS

CN Pyrrolidine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-2-[[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]methyl]-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-79-0 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477577-80-3 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-81-4 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

RN 477577-82-5 HCAPLUS

CN 4-Piperidinamine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-83-6 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

RN 477577-84-7 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477577-85-8 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-86-9 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3S)- (9CI) (CA INDEX NAME)

RN 477577-87-0 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ &$$

RN 477577-88-1 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

$$\begin{array}{c|c} C1 & & \\$$

RN 477577-89-2 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[((2-chlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & H & Me \\ \hline & N & R \\ \hline & N & R$$

RN 477577-90-5 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[5-[(Z)-[5-[[(2-fluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 477577-91-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[(5-methyl-1H-imidazol-4-yl)methylene]-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-92-7 HCAPLUS

CN 3-Pyrrolidinamine, 1-[[2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3yl]carbonyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 477577-93-8 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-ethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-94-9 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-95-0 HCAPLUS

CN Piperazine, 1-[[5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-methyl-1H-pyrrol-2-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 477577-96-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-(diethylamino)ethyl]-5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-4-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-97-2 HCAPLUS

CN Benzoic acid, 4-[2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477577-98-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[[4-(4-morpholinyl)phenyl]methylene]-5-[(phenylmethyl)sulfonyl]-, (3Z)- (9CI) (CA INDEX NAME)

RN 477577-99-4 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 2-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-5-(ethoxycarbonyl)-4methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-00-0 HCAPLUS

CN 1H-Pyrrole-3-propanoic acid, 5-[(Z)-[1,2-dihydro-2-oxo-5-[(phenylmethyl)sulfonyl]-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-01-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\$$

RN 477578-02-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-5-methyl-N-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-03-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

RN 477578-04-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(diethylamino)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477578-05-5 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[[(3R,5S)-3,5-dimethyl-1-piperazinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-1,3-dihydro-5-[(phenylmethyl)sulfonyl]-, (3Z)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry. Double bond geometry as shown.

RN 477578-06-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-(1-methyl-4-piperidinyl)-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)-(9CI) (CA INDEX NAME)

RN 477578-07-7 HCAPLUS

CN 1H-Pyrrole-3-acetamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-N-[2-(3-fluoro-1-piperidinyl)ethyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-08-8 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-[4-(4-morpholinylmethyl)phenyl]-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-09-9 HCAPLUS

CN 2H-Indol-2-one, 3-[[4-[[4-(cyclopropylamino)-1-piperidinyl]methyl]-3,5-dimethyl-1H-pyrrol-2-yl]methylene]-5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477578-10-2 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-[[4-(1-pyrrolidinyl)-1-piperidinyl]methyl]-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

TT 477575-84-1, 5-[(2,5-Dichlorobenzyl)sulfonyl]-1,3-dihydroindol-2one 477575-87-4, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic
acid [1,2,3]triazolo[4,5-b]pyridin-3-yl ester 477576-13-9,
5-[5-(2,5-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid
2,5-dioxopyrrolidin-1-yl ester 477577-22-3, 5-[5-(2,6Difluorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]2,4-dimethyl-1H-pyrrole-3-carboxylic acid 477577-53-0,
5-(3,5-Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one

Grazier 10_509633

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders)

RN 477575-84-1 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477575-87-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-3-[[3,5-dimethyl-4-[(3H-1,2,3-triazolo[4,5-b]pyridin-3-yloxy)carbonyl]-1H-pyrrol-2-yl]methylene]-1,3-dihydro-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 477576-13-9 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[5-[(Z)-[5-[[(2,5-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]oxy]- (9CI) (CA INDEX NAME)

RN 477577-22-3 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 5-[(Z)-[5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 477577-53-0 HCAPLUS
CN 2H-Indol-2-one, 5-[[(3,5-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro(9CI) (CA INDEX NAME)

IT 477573-04-9P, 5-(2-Trifluoromethylphenylmethanesulfonyl)-1,3dihydroindol-2-one 477573-05-0P, 5-(4Nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-06-1P,
3-[[(2-0xo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzonitrile
477573-07-2P, 5-(2,4-Difluorophenylmethanesulfonyl)-1,3dihydroindol-2-one 477573-08-3P, 5-Phenylmethanesulfonyl-1,3dihydroindol-2-one 477573-09-4P, 5-(2,6Dimethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-10-7P

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, 5-(2,3-Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-11-8P, 5-(2,6-Dimethoxyphenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-12-9P, 5-[2-(2-(Morpholin-4-
yl) ethoxy) phenylmethanesulfonyl] -1, 3-dihydroindol-2-one
477573-13-0P, 5-(3-Methoxyphenylmethanesulfonyl)-1,3-dihydroindol-
2-one 477573-14-1P, 5-(3-Nitrophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-15-2P, 5-(2-
Nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-16-3P,
5-(3-Trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-17-4P, 5-(3-Bromophenylmethanesulfonyl)-1,3-dihydroindol-2-
one 477573-18-5P, 5-(2,6-Difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-19-6P, 5-(3,5-
Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-20-9P
  5-(3,4-Difluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-21-0P, 5-[2,4-Bis(trifluoromethyl)phenylmethanesulfonyl]-
1,3-dihydroindol-2-one 477573-22-1P, 5-[3,5-
Bis(trifluoromethyl)phenylmethanesulfonyl]-1,3-dihydroindol-2-one
477573-23-2P, 5-(2-Hydroxy-5-nitrophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-24-3P, 5-(2-Methoxy-5-
nitrophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-26-5P,
5-(2-Fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-27-6P, 5-(3-Fluorophenylmethanesulfonyl)-1,3-dihydroindol-2-
one 477573-28-7P, 5-(4-Fluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-29-8P, 5-(4-
Trifluoromethoxyphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-30-1P, 5-(3-Trifluoromethylphenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-31-2P, 5-(4-
Trifluoromethylphenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-32-3P, 4-[[(2-0xo-2,3-dihydro-1H-indol-5-
yl)sulfonyl]methyl]benzoic acid 477573-33-4P,
[4-[[(2-0xo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]phenyl]acetic acid
477573-34-5P, 3-Nitro-4-[[(2-oxo-2,3-dihydro-1H-indol-5-
yl)sulfonyl]methyl]benzoic acid 477573-35-6P,
5-Pentafluorophenylmethanesulfonyl-1,3-dihydroindol-2-one
477573-36-7P, 5-(2,5-Difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-37-8P, 5-(2,3,6-
Trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-38-9P, 5-(2,3-Difluorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-39-0P, 5-(2,6-
Dichlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one 477573-40-3P
  5-(Biphenyl-2-ylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-41-4P, 5-(2-Fluoro-6-nitrophenylmethanesulfonyl)-1,3-
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Fluorophenoxy) phenylmethanesulfonyl]-1,3-dihydroindol-2-one
477573-43-6P, 5-(3,5-Dibromo-2-hydroxyphenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477573-44-7P, 5-(2,3,5-
Trifluorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-45-8P, 4-Methyl-5-phenylmethanesulfonyl-1,3-dihydroindol-2-
one 477573-46-9P, 5-(2-Fluorophenylmethanesulfonyl)-4-methyl-1,3-
dihydroindol-2-one 477573-47-0P, 2-[[(2-0xo-2,3-dihydro-1H-indol-
5-yl)sulfonyl]methyl]benzonitrile 477573-48-1P,
5-(3-Chlorophenylmethanesulfonyl)-1,3-dihydroindol-2-one
477573-49-2P, 4-[[(2-0xo-2,3-dihydro-1H-indol-5-
yl)sulfonyl]methyl]benzoic acid methyl ester 477573-50-5P,
3-[[(2-0xo-2,3-dihydro-1H-indol-5-yl)sulfonyl]methyl]benzoic acid methyl
ester 477573-51-6P, 5-(2-Chlorophenylmethanesulfonyl)-1,3-
dihydroindol-2-one 477576-37-7P, 4-[2-[[2-[5-[5-(2,6-
Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-
2,4-dimethyl-1H-pyrrol-3-yl]acetyl]amino]ethyl]piperazine-1-carboxylic
acid tert-butyl ester 477576-39-9P, Acetic acid
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2-[4-[2-[[2-[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3yl]acetyl]amino]ethyl]piperazin-1-yl]-2-oxoethyl ester 477576-58-2P, 4-[2-[[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3ylcarbonyl]amino]ethyl]piperazine-1-carboxylic acid tert-butyl ester 477576-59-3P, 5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrole-3-carboxylic acid (2-(piperazin-1-yl)ethyl)amide 477576-60-6P, Acetic acid 2-[4-[2-[[5-[5-(2,6-dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3ylcarbonyl]amino]ethyl]piperazin-1-yl]-2-oxoethyl ester 477577-27-8P, [2-[4-[2-[[5-[5-(2,6-Dichlorophenylmethanesulfonyl)-2-oxo-1,2-dihydroindol-3-(Z)-ylidenemethyl]-2,4-dimethyl-1H-pyrrol-3ylcarbonyl]amino]ethyl]piperazin-1-yl]-1,1-dimethyl-2-oxoethyl]carbamic acid tert-butyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of aralkylsulfonyl- and pyrrolylmethylidene-substituted indolinones as kinase inhibitors useful against cancers and other disorders) 477573-04-9 HCAPLUS RN2H-Indol-2-one, 1,3-dihydro-5-[[[2-(trifluoromethyl)phenyl]methyl]sulfonyl CN(CA INDEX NAME)

RN 477573-05-0 HCAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-5-[[(4-nitrophenyl)methyl]sulfonyl]- (9CI)
(CA INDEX NAME)

RN 477573-06-1 HCAPLUS
CN Benzonitrile, 3-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI)
(CA INDEX NAME)

$$NC$$
 CH_2 S NH

RN 477573-07-2 HCAPLUS
CN 2H-Indol-2-one, 5-[[(2,4-difluorophenyl)methyl]sulfonyl]-1,3-dihydro(9CI) (CA INDEX NAME)

RN 477573-08-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 477573-09-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dimethylphenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-10-7 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,3-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-11-8 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-dimethoxyphenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-12-9 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl] sulfonyl]- (9CI) (CA INDEX NAME)

RN 477573-13-0 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(3-methoxyphenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO} & \begin{array}{c} \text{O} \\ \\ \text{CH}_2 - \begin{array}{c} \text{S} \\ \\ \text{O} \end{array} \end{array} \end{array}$$

RN 477573-14-1 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(3-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

$$O_2N$$
 CH_2
 S
 NH

RN 477573-15-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(2-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

Grazier 10_509633

RN 477573-16-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-(trifluoromethoxy)phenyl]methyl]sulfony l]- (9CI) (CA INDEX NAME)

RN 477573-17-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3-bromophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573~18-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2,6-difluorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-19-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3,5-difluorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

$$_{\rm F}$$
 $_{\rm CH_2}$ $_{\rm NH}$ $_{\rm NH}$

RN 477573-20-9 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3,4-difluorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & O \\ \hline \\ CH_2 - S & O \\ \hline \\ O & NH \\ \end{array}$$

RN 477573-21-0 HCAPLUS

CN 2H-Indol-2-one, 5-[[[2,4-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

$$CF_3$$
 CH_2 NH

RN 477573-22-1 HCAPLUS

CN 2H-Indol-2-one, 5-[[[3,5-bis(trifluoromethyl)phenyl]methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-23-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(2-hydroxy-5-nitrophenyl)methyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477573-24-3 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(2-methoxy-5-nitrophenyl)methyl]sulfonyl](9CI) (CA INDEX NAME)

RN 477573-26-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573-27-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573-28-7 HCAPLUS

CN 2H-Indol-2-one, 5-[[(4-fluorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573-29-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[4-(trifluoromethoxy)phenyl]methyl]sulfony l]- (9CI) (CA INDEX NAME)

RN 477573-30-1 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[3-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477573-31-2 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 477573-32-3 HCAPLUS

CN Benzoic acid, 4-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

RN 477573-33-4 HCAPLUS

CN Benzeneacetic acid, 4-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

RN 477573-34-5 HCAPLUS

CN Benzoic acid, 4-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-3-nitro-(9CI) (CA INDEX NAME)

Grazier 10_509633

RN 477573-35-6 HCAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-5-[[(pentafluorophenyl)methyl]sulfonyl]- (9CI)
(CA INDEX NAME)

RN 477573-36-7 HCAPLUS
CN 2H-Indol-2-one, 5-[[(2,5-difluorophenyl)methyl]sulfonyl]-1,3-dihydro(9CI) (CA INDEX NAME)

RN 477573-37-8 HCAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-5-[[(2,3,6-trifluorophenyl)methyl]sulfonyl](9CI) (CA INDEX NAME)

RN 477573-38-9 HCAPLUS
CN 2H-Indol-2-one, 5-[[(2,3-difluorophenyl)methyl]sulfonyl]-1,3-dihydro(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & O \\ \hline CH_2 - S & O \\ O & NH \end{array}$$

RN 477573-39-0 HCAPLUS

Grazier 10_509633

CN 2H-Indol-2-one, 5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-40-3 HCAPLUS

CN 2H-Indol-2-one, 5-[([1,1'-biphenyl]-2-ylmethyl)sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-41-4 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2-fluoro-6-nitrophenyl)methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-42-5 HCAPLUS

CN 2H-Indol-2-one, 5-[[[3-(4-fluorophenoxy)phenyl]methyl]sulfonyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

RN 477573-43-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3,5-dibromo-2-hydroxyphenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573-44-7 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[(2,3,5-trifluorophenyl)methyl]sulfonyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O \\ \hline CH_2 - S \\ \hline O & NH \\ \end{array}$$

RN 477573-45-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-4-methyl-5-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Ph-CH}_2- \begin{array}{c} \text{O} & \text{Me} \\ \text{O} & \text{NH} \end{array}$$

RN 477573-46-9 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2-fluorophenyl)methyl]sulfonyl]-1,3-dihydro-4-methyl-(9CI) (CA INDEX NAME)

RN 477573-47-0 HCAPLUS

CN Benzonitrile, 2-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

RN 477573-48-1 HCAPLUS

CN 2H-Indol-2-one, 5-[[(3-chlorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 477573-49-2 HCAPLUS

CN Benzoic acid, 4-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 477573-50-5 HCAPLUS

CN Benzoic acid, 3-[[(2,3-dihydro-2-oxo-1H-indol-5-yl)sulfonyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{MeO-C} & \mathsf{O} & \mathsf{O} \\ \mathsf{I} & \mathsf{O} & \mathsf{I} \\ \mathsf{CH}_2 - \mathsf{S} & \mathsf{I} \\ \mathsf{O} & \mathsf{NH} \end{array}$$

RN 477573-51-6 HCAPLUS

CN 2H-Indol-2-one, 5-[[(2-chlorophenyl)methyl]sulfonyl]-1,3-dihydro- (9CI) (CA INDEX NAME)

Grazier 10_509633

RN 477576-37-7 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[[5-[(Z)-[5-[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]acetyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

__OBu-t

RN 477576-39-9 HCAPLUS

CN 1H-Pyrrole-3-acetamide, N-[2-[4-[(acetyloxy)acetyl]-1-piperazinyl]ethyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

OAc

RN 477576-58-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

─oBu-t

RN 477576-59-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-N-[2-(1piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 477576-60-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[2-[4-[(acetyloxy)acetyl]-1-piperazinyl]ethyl]-5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

__OAc

RN 477577-27-8 HCAPLUS

CN Carbamic acid, [2-[4-[2-[[[5-[(Z)-[5-[[(2,6-dichlorophenyl)methyl]sulfonyl]-1,2-dihydro-2-oxo-3H-indol-3-ylidene]methyl]-2,4-dimethyl-1H-pyrrol-3-yl]carbonyl]amino]ethyl]-1-piperazinyl]-1,1-dimethyl-2-oxoethyl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

L18 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:906195 HCAPLUS

DOCUMENT NUMBER: 138:4618

TITLE: Preparation of 3-quinoline-2(1H)-ylideneindolin-2-one

derivatives as vascular endothelial growth factor

(VEGF) inhibitors

INVENTOR(S): Samizu, Kiyohiro; Hisamichi, Hiroyuki; Matsuhisa,

Akira; Kinoyama, Isao; Hayakawa, Masahiko; Taniguchi, Nobuaki; Ideyama, Yukitaka; Kuromitsu, Sadao; Yahiro,

Kiyoshi; Okada, Minoru

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094809	A1	20021128	WO 2002-JP5014	20020523 <

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
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                                            CA 2002-2448076
                                                                    20020523 <--
                                20040310
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     EP 1396490
                          A1
                                                                    20020523 <--
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     CN 1511151
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                                             CN 2002-810534
                                                                    20020523 <--
     US 2005090498
                          A1
                                20050428
                                             US 2003-478504
                                                                    20020523
PRIORITY APPLN. INFO.:
                                             JP 2001-155761
                                                                    20010524
                                                                 Α
                                             WO 2002-JP5014
                                                                 W
                                                                    20020523
OTHER SOURCE(S):
                         MARPAT 138:4618
GΙ
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Ι

$$(R^2)_{m} \xrightarrow{E} \stackrel{A}{\underset{H}{\bigvee}} O$$

Novel 3-(1,2-dihydroquinolin-2-ylidene)indolin-2-one derivs. represented AB by the following general formula (I) or salts thereof [wherein A, B, E, G, J= N, CH; R1, R2 = lower alkyl, alkenyl, or alkynyl, Ra, X-(C1-8 alkylene optionally substituted by ORb)-Ra, X-C1-8 alkenylene-Ra, X-C1-8 alkynylene-Ra, provided that R1 and R2 are not substituted on N atom; X = O, CO, CO2, O2C, S, SO, SO2, NRb, NRbSO2, SO2NRb, CONRb, NRbCO, NRbCONRb, NRbCO2, O2CNRb, a single bond; wherein Ra = halo-lower alkyl, halo, NO2, cyano, ORb, O-lower alkylene-NRbRc, CO2Rb, CORb, CONRbRc, NRbRc, NRd-lower alkylene-NRbRc, etc.; Rb, Rc, Rd = H, lower alkyl, lower alkylene-RIN; RIN = (un)substituted saturated heterocyclyl, cycloalkyl, aryl, or heteroaryl; n, m = an integer of 0-4; provided that when A, B, E, E, G, and J are simultaneously C, they are not simultaneously N] are prepared Theses compds. have excellent effects of inhibiting VEGF and angiogenesis and an antitumor effect and, therefore, are useful as appropriate VEGF inhibitors, angiogenesis inhibitors and anticancer agents. They are useful as remedies for diseases in which angiogenesis participates, e.g. solid tumors and diabetic retinopathy. Thus, 0.3 mL benzoyl chloride was added to a solution of 510 mg 6-[2-(1H-1,2,3-triazol-1yl)ethoxy]quinoline N-oxide in 25 mL CHCl3 under ice-cooling and stirred at the same temperature for 30 min, followed by adding 265 mg indolidin-2-one, and the resulting mixture was refluxed at 90° for 8 h to give 3-[6-[2-(1H-1,2,3-triazol-1-yl)ethoxy]quinolin-2(1H)-ylidene]isoindolin-2one (II). II and 5-fluoro-3-(quinolin-2(1H)-ylidene)isoindolin-2-one showed IC50 of 0.14 and 0.00097 µM, resp., for inhibiting the human recombinant VEGF-promoted uptake of [3H] thymidine in human umbilical vein endothelial cells (HUVEC).

IT 476656-85-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors, and antitumor agents) 476656-85-6 HCAPLUS

RN

2H-Indol-2-one, 1,3-dihydro-5-(phenylmethoxy)-3-(2(1H)-quinolinylidene)-CN (CA INDEX NAME)

IT 476657-67-7P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors, and antitumor agents)

RN 476657-67-7 HCAPLUS

2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy)-3-(2(1H)-quinolinylidene)-CN(CA INDEX NAME)

IT 458526-10-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-quinoline-2(1H)-ylideneindolin-2-one derivs. as vascular endothelial growth factor (VEGF) inhibitors, angiogenesis inhibitors, and antitumor agents)

RN458526-10-8 HCAPLUS

2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy)- (9CI) (CA INDEX NAME) CN

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 45 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

2002:814851 HCAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 137:310930 Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-TITLE: d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M. PATENT ASSIGNEE(S): Abbott Laboratories, USA U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. SOURCE: Ser. No. 663,780. CODEN: USXXCO DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. PATENT NO. ______ --------------US 2002156081 A1 20021024 US 2001-815310 20010322 <--B2 20050726 US 6921763 US 6660744 B1 20031209 US 2000-663780 20000915 <--AA CA 2440724 20021017 CA 2002-2440724 20020322 <-- 20021017 WO 2002-US9104 20020322 <--WO 2002080926 A1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG A1 20040204 EP 2002-746301 20020322 <--AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20040811 CN 2002-810250 20020322 <--Α JP 2004531513 T2 20041014 JP 2002-578965 20020322 A 20041109 BA 2003-6886
A 20031121 NO 2003-4176
A 20041230 BG 2003-108269
US 1999-1546201 BR 2002005889 20041109 BR 2002-5889 20020322 ZA 2003006886 20030903 <~-NO 2003004176 20030919 <--BG 2003-108269 20031014 BG 108269 US 1999-154620P P 19990917 US 2000-663780 A2 20000915 PRIORITY APPLN. INFO.: A 20010322 US 2001-815310

WO 2002-US9104

W 20020322

OTHER SOURCE(S): MARPAT 137:310930

GΙ

$$NH_2$$
 NH_2
 NH_2

AΒ Title compds. I [wherein G = (un) substituted 5-6 membered (azahetero) aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un) substituted (cyclo) alkyl, or aryl(alkyl); R3 = independently H, OH, or (un) substituted alkyl, alkyl-CO, (hetero) aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM . Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 461702-74-9, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 461702-74-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

IT 461702-75-0P, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate 461702-83-0P, 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 461702-75-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 461702-74-9 CMF C33 H32 N8 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 461702-82-9 CMF C33 H32 N8 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

L18 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:793426 HCAPLUS

DOCUMENT NUMBER: 137:310925

TITLE: Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-

d]pyrimidin-3-amines as protein kinase inhibitors with

antiangiogenic properties

INVENTOR(S):
Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt;

Calderwood, David; Wishart, Neil; Arnold, Lee D.;

Friedman, Michael M.

PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 867 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	
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		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR				·	•	·	
JP	2004	5315	13		T2		2004	1014		JP 2	002-	5789	65		2	0020	322	
BR	2002	0058	89					BR 2002-5889										
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PRIORIT	PRIORITY APPLN. INFO.:								US 2	001-	8153	10		A 2	0010	322		
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OTHER SOURCE(S):				MAR	TAG	137:	3109	25										

GI

AB Title compds. I [wherein G = (un) substituted 5-6 membered (azahetero) aryl; R2 = H or (un) substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un) substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl,

alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un) substituted (cyclo) alkyl, or aryl(alkyl); R3 = independently H, OH, or (un) substituted alkyl, alkyl-CO, (hetero) aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of \leq 50 μ M. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of \leq 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data). 461702-74-9, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties) 461702-74-9 HCAPLUS 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-

d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX

RN 461702-75-0 HCAPLUS

IT

RN

CN

CN

NAME)

1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI)

(CA INDEX NAME)

CM 1

CRN 461702-74-9 CMF C33 H32 N8 O3

$$\begin{array}{c|c} H \\ N \\ N \\ N \\ NH_2 \end{array}$$

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 461702-82-9 CMF C33 H32 N8 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:777727 HCAPLUS

DOCUMENT NUMBER: 137:288985

TITLE: Inhibitors of prenyl-protein transferase

INVENTOR(S): Desolms, S. Jane; Shaw, Anthony W.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 109 pp.

pyrrolidinyl] - (9CI) (CA INDEX NAME)

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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APPLICATION NO. DATE
    PATENT NO.
                       KIND DATE
                                          ______
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                              20021010 WO 2002-US9208
    WO 2002078702
                        A1
                                                                20020326 <--
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
            UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                          US 2001-280610P P 20010330
OTHER SOURCE(S):
                        MARPAT 137:288985
    The present invention is directed to compds. which inhibit a
    prenyl-protein transferase (FTase) and the farnesylation of the oncogene
    protein Ras. The compds. of the present invention comprise non-prodrug,
    non-thiol compds. that contain a spirocyclic pyrrolidinyl moiety. The
    invention is further directed to chemotherapeutic compns. containing the
    compds. of this invention and methods for inhibiting a prenyl-protein
    transferase and the prenylation of the oncogene protein Ras.
IΤ
    467424-14-2
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
    (Biological study); USES (Uses)
        (inhibitors of prenyl-protein transferase)
RN
    467424-14-2 HCAPLUS
    Benzonitrile, 2-(1H-indol-7-yloxy)-4-[2-(1-methyl-1H-imidazol-5-yl)-2-
CN
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REFERENCE COUNT: 1 THERE AN

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:754390 HCAPLUS

DOCUMENT NUMBER:

137:263056

TITLE:

Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-

d]pyrimidin-3-amines as protein kinase inhibitors with

antiangiogenic properties

INVENTOR(S):

Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt;

Calderwood, David; Wishart, Neil; Arnold, Lee D.;

Friedman, Michael M.

PATENT ASSIGNEE(S):

Abbott GmbH & Co. KG, Germany

SOURCE:

PCT Int. Appl., 440 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE			APPLICATION NO.					DATE				
WO	2002	0769	86		A1		2002	1003		WO 2	002-1	US89	96		2	0020	322 <
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		CO,	CR,	CU,	CZ,	DE,	ĎK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,
		TJ,	TM														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	TR,
		BF,	ВJ,			•	CM,					-	-	-	-	-	
CA	2440	714															322 <
ĒΡ	1379	528			A1		2004	0114		EP 2	002-	7285	46		2	0020	322 <
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		•	•	•	•	•	RO,	•	•	•							
	2002																322 <
JP	2005	5018														0020	
	2004				A1		2004								_		719 <
ZA	2003	0068			Α		2004										903 <
	2003		77				2003				003-				_		919 <
	1082				Α		2005	0430								0031	
PRIORIT	Y APP	LN.	INFO	.:						US 2	001-	2780	47P		P 2	0010	322

WO 2002-US8996 W 20020322

OTHER SOURCE(S):

MARPAT 137:263056

GI

Title compds. I [wherein G = (un) substituted 5-6 membered (azahetero) aryl; AB R2 = H or (un) substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un) substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un) substituted (cyclo) alkyl, or aryl(alkyl); R3 = independently H, OH, or (un) substituted alkyl, alkyl-CO, (hetero) aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5,tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of \leq 50 μ M. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM . Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 461702-75-0P 461702-83-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of (azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties)

461702-75-0 HCAPLUS

RN

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 461702-74-9 CMF C33 H32 N8 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 461702-83-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-4-(phenylmethoxy)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 461702-82-9 CMF C33 H32 N8 O3

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN

IT 461702-74-9, N-[4-[4-Amino-1-(4-piperidyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(benzyloxy)-1H-2-indolecarboxamide RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of (azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3amines as protein kinase inhibitors with antiangiogenic properties)
461702-74-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-[4-amino-1-(4-piperidinyl)-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-methoxyphenyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:695948 HCAPLUS

DOCUMENT NUMBER:

137:232556

TITLE: Preparation of indolones as angiogenesis

inhibitors.

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND DATE	APPLICATION NO.	
WO 2002070478		WO 2002-GB947	
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		DZ, EC, EE, ES, FI,	
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LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ,	NO, NZ, OM, PH,
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM,	TN, TR, TT, TZ,
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW, AM, AZ, BY,	KG, KZ, MD, RU,
TJ, TM			
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM,	ZW, AT, BE, CH,
CY, DE, DK,	ES, FI, FR, GB,	GR, IE, IT, LU, MC,	NL, PT, SE, TR,
BF, BJ, CF,	CG, CI, CM, GA,	GN, GQ, GW, ML, MR,	NE, SN, TD, TG
EP 1370527	A1 20031217	EP 2002-702529	20020304 <
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	LV, FI, RO, MK,		•
		JP 2002-569798	
US 2004147589	A1 20040729	US 2004-469834	20040116 <
PRIORITY APPLN. INFO.:		EP 2001-400583	
		WO 2002-GB947	W 20020304
OTHER SOURCE(S):	MARPAT 137:2325	56	

$$(R^1)_p$$
 $(CH_2)_n X$
 $(R^4)_q$
 $(R^2)_n X$
 $(R^4)_n X$
 $(R^4)_n X$
 $(R^4)_n X$
 $(R^4)_n X$

Use of title compds. [I; X = O, S, SO, SO2, NR5, CO, CONR5, SO2NR5; R1 = amino, halo, OH, OPO3H2, alkyl, alkoxy, wherein the amino group is optionally substituted by an amino acid residue and the OH group is optionally esterified; R2 = H, alkyl; R3 = H, halo, OH, hydroxyalkyl, cyano, cyanoalkyl, carboxy, carboxyalkyl, alkanoyl, alkanoylalkyl, carbamoyl, carbamoylalkyl, alkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkoxycarbonylamino, amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, ureido, alkylureyleno; R4 = alkyl, alkoxy, halo; R5 = H, alkyl; n = 0, 1; p = 0-3; q = 0-2] for manufacture of a medicament for treatment of angiogenesis-associated disease is claimed (no data). Thus, 2-NO2-5-(phenylthio)phenylacetic acid (preparation given) was heated at 100° for 10 h with Zn, H2SO4, and EtOH to give 31% 5-(phenylthio)-1,3-dihydro-2H-indol-2-one.

458525-84-3P, 5-(Phenylsulfanyl)-1,3-dihydro-2H-indol-2-one IT 458525-85-4P, 5-(4-Aminophenoxy)-1,3-dihydro-2H-indol-2-one 458525-86-5P, 5-(4-Aminophenylsulfanyl)-1,3-dihydro-2H-indol-2-one 458525-87-6P, 5-(4-Hydroxyphenylsulfanyl)-1,3-dihydro-2H-indol-2one 458525-88-7P, 6-(3-Aminobenzyloxy)-1,3-dihydro-2H-indol-2one 458525-89-8P 458525-90-1P 458525-91-2P 458525-92-3P 458525-93-4P 458525-94-5P 458526-08-4P 458526-09-5P 458526-10-8P 458526-11-9P 458526-12-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of indolones as angiogenesis inhibitors) RN458525-84-3 HCAPLUS 2H-Indol-2-one, 1,3-dihydro-5-(phenylthio)- (9CI) (CA INDEX NAME) CN

RN 458525-85-4 HCAPLUS CN 2H-Indol-2-one, 5-(4-aminophenoxy)-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 458525-86-5 HCAPLUS CN 2H-Indol-2-one, 5-[(4-aminophenyl)thio]-1,3-dihydro- (9CI) (CA INDEX NAME)

RN 458525-87-6 HCAPLUS
CN 2H-Indol-2-one, 1,3-dihydro-5-[(4-hydroxyphenyl)thio]- (9CI) (CA INDEX NAME)

RN 458525-88-7 HCAPLUS CN 2H-Indol-2-one, 6-[(3-aminophenyl)methoxy]-1,3-dihydro- (9CI) (CA INDEX NAME)

$$H_2N$$
 CH_2-O H N C

RN 458525-89-8 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458525-90-1 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]-3-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458525-91-2 HCAPLUS

CN Acetamide, 2-amino-N-[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} O \\ \parallel \\ H_2N-CH_2-C-NH \\ \hline \\ O \\ \hline \\ NH \\ \end{array}$$

RN 458525-92-3 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458525-93-4 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[(2,3-dihydro-2-oxo-1H-indol-6-yl)oxy]methyl]phenyl]amino]-5-oxo-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458525-94-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-[[4-(phosphonooxy)phenyl]thio]- (9CI) (CA INDEX NAME)

RN 458526-08-4 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 458526-09-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-1-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$Ph-CH_2-O$$
Me

RN 458526-10-8 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-4-(phenylmethoxy) - (9CI) (CA INDEX NAME)

RN 458526-11-9 HCAPLUS

CN Pentanoic acid, 4-amino-5~[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, hydrochloride (20:19), (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●19/20 HCl

RN 458526-12-0 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, hydrochloride (10:9), (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●9/10 HCl

IT 458525-99-0P 458526-00-6P 458526-01-7P 458526-02-8P 458526-05-1P 458526-06-2P

458526-07-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolones as angiogenesis inhibitors)

RN 458525-99-0 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-

yl)oxy]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458526-00-6 HCAPLUS

CN Carbamic acid, [(1S)-2-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-1-(hydroxymethyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458526-01-7 HCAPLUS

CN Carbamic acid, [2-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 458526-02-8 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458526-05-1 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-6-[(3-nitrophenyl)methoxy]- (9CI) (CA INDEX NAME)

$$O_2N$$
 CH_2-O M N O

RN 458526-06-2 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[3-[[(2,3-dihydro-2-oxo-1H-indol-6-yl)oxy]methyl]phenyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 458526-07-3 HCAPLUS

CN Phosphoric acid, 4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)thio]phenyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:637636 HCAPLUS

DOCUMENT NUMBER:

137:185515

TITLE:

Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric

oxide synthase

Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; INVENTOR(S):

Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga

Μ.

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE:

PCT Int. Appl., 137 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE			APPLICATION NO.						DATE					
WO	2002	0645	45		A1	_	2002	0822		WO 2	002-	EP14	44		2	0020	212	<
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ΜL,	MR,	NE,	SN,	TD,	TG	
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EE	2003	0036	9		Α		2003	1015		EE 2	003-	369			2	0020	212	<
EP	1373	191			A1		2004	0102		EP 2	002-	7220	67		2	0020	212	<
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BR	2002	0072	11		Α		2004	0127		BR 2	002-	7211			2	0020	212	<
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NO	2003	0035	65		Α		2003	1013	1	NO 2	003-	3565			2	0030	812	<
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										WO 2	002-	EP14	44	1	W 2	0020	212	
JP NZ US ZA BG NO	2004 5274 2003 2003 1080 2003 Y APP	5187 70 0550 0054 76 0035 LN.	19 93 13 65		T2 A A1 A A		2004 2005 2003 2004 2005	0624 0429 0320 0428 0531 1013		JP 2 NZ 2 US 2 ZA 2 BG 2 NO 2 EP 2	002- 002- 002- 003- 003- 003-	5644 5274 7316 5413 1080 3565	78 70 0 76	į	2 2 2 2 2 2 2 2 A 2	0020: 0020: 0020: 0030: 0030: 0030:	212 212 213 714 807 812 213	< - < - < -

OTHER SOURCE(S):

MARPAT 137:185515

GI

Ι

II

Title compds. [I; R1-R4 =; A = CH2, CH0H, CH(C1-C3-alkyl); B = CH2, AB CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepared and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manufacture of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinymetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA(percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compound II was prepared from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50(μ M) = 6.0 and TIR(max) = 2.80.

IT 450353-75-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)

RN 450353-75-0 HCAPLUS

CN 1H-Indole-2-carboxamide, N-(2,3-dihydro-1H-inden-2-yl)-5-(phenylmethoxy)(9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:514276 HCAPLUS

DOCUMENT NUMBER: 137:63079

TITLE: Preparation of aminobenzoic acids and their use as

vascular endothelial growth factor (VEGF) receptor

antagonists

INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Takayama, Tetsuo; Sato,

Masakazu; Yamagishi, Takehiro; Shibuya, Masashi

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193923 PRIORITY APPLN. INFO.:	A2	20020710	JP 2000-395413 JP 2000-395413	20001226 < 20001226
			OF 2000-393413	20001220
OTHER SOURCE(S):	MARPAT	137:63079		

Ι

$$R^3$$
N-CO-(CH₂) n-X-A-R4
 CO_2R^1

$$Q^2 = \frac{1}{Y}$$

AB Title compds. I [R1 = H, C1-6 alkyl; R2 = C1-6 alkylthio, carboxyphenoxy, alkoxycarbonylphenoxy; R3 = H, C1-6 alkyl; R4 = C14-20 alkyl; X = Q1, Q2; Y = O, NH, :N; A = O, (C1-6 alkyl-substituted) amide group; n = 0, 1] or

their medically acceptable salts, useful for treatment of diabetic retinopathy, rheumatoid arthritis, solid tumor, etc., are prepared. Thus, amidation of Me 5-amino-2-methylthiobenzoate with 6-(octadecyloxy)-2-naphthoic acid gave I [R1 = Me, R2 = MeS, R3 = H, XAR4 = 6-(octadecyloxy)-2-naphthyl, n = 0], which was hydrolyzed to give the corresponding carboxylic acid derivative. The product inhibited binding of VEGF to its receptor with IC50 of 0.87 μM .

IT 1215-59-4, 5-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminobenzoic acids as vascular endothelial growth factor receptor antagonists)

RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

IT 402933-28-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminobenzoic acids as vascular endothelial growth factor receptor antagonists)

RN 402933-28-2 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

L18 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:353460 HCAPLUS

DOCUMENT NUMBER: 136:355230

TITLE: Preparation of tetrahydrocyclopent[b]indoles,

tetrahydrocarbazoles, hexahydrocyclohept[b]indoles,

and related compounds with cytotoxic and

antiangiogenic activity.

INVENTOR(S): Giannini, Giuseppe; Marzi, Mauro; Tinti, Maria

Ornella; Pisano, Claudio

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A.,

Italy

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2002036597
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                                             WO 2001-IT526
                           A1
                                                                     20011016 <--
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     IT 1317926
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                           AA
     CA 2427568
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                           A5
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     EP 1343789
                           A1
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                                                                     20011016 <--
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     BR 2001015119
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     AT 302780
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     US 6887892
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PRIORITY APPLN. INFO.:
                                             IT 2000-RM570
                                                                  Α
                                                                     20001103
                                             WO 2001-IT526
                                                                     20011016
OTHER SOURCE(S):
                          MARPAT 136:355230
GΙ
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$$Q^1 = \begin{bmatrix} R & & & \\ & & & \\ & & & \\ R^1 & & & R^2 \end{bmatrix}$$

AB Title compds. [I; X = CH, N; X1 = O, S, N, CH; R, R1 = H, OH, OR5, NO2, amino, CO2H, alkoxycarbonyl; RR1 = aliphatic or aromatic cyclic group having 5-6

atoms; R5 = alkyl, benzyl; 2 vicinal R5 = CH2; when X1 = N, CH, then R2 = H, Ph, PhCH2, alkyl; n = 0-4; R3, R4 = H, OH, OR6; R6 = alkyl; when R3 = R4 = vicinal OR6, then R6 = isopropylidene; A = Q1, A1 = H; or A1 = Q1, A = H, R7; R7 = CHO, CH:NOH, (HO-, R6O-substituted) alkyl], were prepared Thus, 1-(indol-3-yl)-2,3-O-isopropylidene-4-(2,3-O-isopropylideneethyl)tetrahydrocarbazole (preparation outlined) showed IC50 = 21.1 µM against MCF-7 cells.

IT 422323-85-1P 422323-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydrocyclopentindoles, tetrahydrocarbazoles, hexahydrocycloheptindoles, and related compds. with cytotoxic and antiangiogenic activity)

RN 422323-85-1 HCAPLUS

CN Cyclohept[b]indole, 5,6,7,8,9,10-hexahydro-2-(phenylmethoxy)-6-[5-

(phenylmethoxy)-1H-indol-3-yl]- (9CI) (CA INDEX NAME)

RN422323-87-3 HCAPLUS

Cyclohept[b]indole, 5,6,7,8,9,10-hexahydro-2-(phenylmethoxy)-10-[5-CN(phenylmethoxy) -1H-indol-3-yl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ O-CH_2-Ph \\ NH \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

2

ACCESSION NUMBER:

2001:886064 HCAPLUS

DOCUMENT NUMBER:

136:20012

TITLE:

Synthetic preparation of indole derivatives with

potential vascular damaging activity

INVENTOR(S):

Arnould, Jean-Claude; Bird, Thomas Geoffrey; Boyle,

Francis Thomas; Blakey, David Charles

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca Uk Ltd. Coperar.

Prawning

SOURCE:

PCT Int. Appl., 89 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ______ WO 2001092224 A1 20011206 WO 2001-GB2335 20010525 <--W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2406979
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                                                                   20010525 <--
     EP 1289952
                                            EP 2001-931944
                          Α1
                                20030312
                                                                   20010525 <--
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     BR 2001011230
                          Α
                                20030610
                                            BR 2001-11230
                                                                   20010525 <--
     JP 2003535078
                          T2
                                20031125
                                            JP 2002-500839
                                                                   20010525 <---
     NZ 522074
                          Α
                                20040625
                                            NZ 2001-522074
                                                                   20010525 <--
     ZA 2002008938
                          Α
                                20040204
                                            ZA 2002-8938
                                                                   20021104 <--
     US 2003216356
                         A1
                                20031120
                                            US 2002-276347
                                                                   20021113 <--
     NO 2002005696
                         Α
                                20021127
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PRIORITY APPLN. INFO.:
                                            EP 2000-401551
                                                               A 20000531
                                            EP 2000-402956
                                                              A 20001025
                                            WO 2001-GB2335
                                                              W 20010525
OTHER SOURCE(S):
                         MARPAT 136:20012
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GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a compound of formula I [R1, R2 = independently H, halogen, CN, hydrocarbyl group or a group of formula II: wherein W = aryl or heterocyclic group, R4 = independently H, halogen, OH, amino, alkanoylamino, OPO3H2, or hydrocarbyl group, wherein the amino group is optionally substituted by an amino acid residue and the hydroxy group is optionally esterified or two R4 groups together form an optionally substituted cyclic or heterocyclic group; X = S, O, S(O), S(O2), or NH; P= 0,1,2,3 or 4; q = 1,2,3 or 4; R3, R10 = independently H, lower alkyl ora group of formula III: wherein Y = NH, O or a bond; Z = NH, O, C(O) or a bond; r = 0,1,2,3 or 4; t = 0 or 1; R6 = H, hydrocarbyl group or a group of formula IV: wherein n = 1,2,3,4,5 or 6; R7, R8 = independently H or hydrocarbyl group; R11 = H or lower alkyl; or a salt or solvate thereof; provided that: when R1 = unsubstituted SPh, R2,R10, and R11 = H then R3 is neither H nor- C(0)OEt; and R1, R2 and R3 are not all H.]. Thus, 5-(4-hydroxyphenylsulphanyl)-2-amino-1H-indole-3-carbonitrile (V) was produced from 4-(4-hydroxyphenylsulphanyl)-2-chloro-nitrobenzene and malononitrile in 62% yield. Such compds. are predicted to cause the selective destruction of tumor vasculature and they may therefore be used to inhibit and/or reverse, and/or alleviate symptoms of angiogenesis and/or any disease state associated with angiogenesis. For example, V has an activity of 36% in the colchicine binding site competitive assay at 10 μM and 55% in the cell detachment assay at 100 μM and 6-methyl-5-fluoro-2-amino-1H-indole-3carbonitrile (VI) has an activity of 31% in the colchicine binding site competitive assay at 10 μM and 34% in the cell detachment assay at 100 μΜ.

IT 378236-89-6P 378236-96-5P 378236-97-6P 378237-07-1P 378237-10-6P 378237-12-8P 378237-15-1P 378237-25-3P 378237-30-0P 378237-31-1P 378237-32-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(indole derivs. with potential vascular damaging activity)

RN 378236-89-6 HCAPLUS

1H-Indole-3-carbonitrile, 2-amino-5-(3,4,5-trimethoxyphenoxy)- (9CI) CN (CA INDEX NAME)

RN 378236-96-5 HCAPLUS

CN Acetamide, N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]- (9CI) (CA INDEX NAME)

RN 378236-97-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-aminophenoxy)- (9CI) (CA INDEX NAME)

RN 378237-07-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 378237-10-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 378237-12-8 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy)- (9CI) (CA INDEX NAME)

RN 378237-15-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3-aminophenyl)methoxy]-1-methyl-(9CI) (CA INDEX NAME)

$$H_2N$$
 CH_2-O
 N
 N
 Me

RN 378237-25-3 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)

RN 378237-30-0 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(3,4,5-trimethoxyphenoxy)(9CI) (CA INDEX NAME)

RN 378237-31-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy)-1-methyl- (9CI) (CA INDEX NAME)

RN 378237-32-2 HCAPLUS

CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(3,4,5-trimethoxyphenoxy)- (9CI) (CA INDEX NAME)

(indole derivs. with potential vascular damaging activity)

RN 378236-69-2 HCAPLUS

CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-, phenyl ester (9CI) (CA INDEX NAME)

RN 378236-71-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-hydroxyphenyl)thio]- (9CI) (CA INDEX NAME)

RN 378236-73-8 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3,4-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

RN 378236-76-1 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[(3-methoxyphenyl)thio]- (9CI) (CA INDEX NAME)

$$\stackrel{\text{CN}}{\longrightarrow} \text{NH}_2$$

RN 378236-78-3 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[(4-fluorophenyl)thio]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{CN} & \text{NH}_2 \\ \hline & \text{NH} & \\ \end{array}$$

RN 378236-85-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-methoxyphenoxy)- (9CI) (CA INDEX NAME)

RN 378236-87-4 HCAPLUS CN 1H-Indole-3-carbonitrile, 2-amino-5-[(2,5-dimethoxyphenyl)thio]- (9CI) (CA INDEX NAME)

$$\stackrel{\mathsf{OMe}}{\underset{\mathsf{OMe}}{\bigvee}} s \stackrel{\mathsf{CN}}{\underset{\mathsf{NH}}{\bigvee}} \mathsf{NH}_2$$

RN 378236-93-2 HCAPLUS
CN Carbamic acid, [3-cyano-5-(phenylthio)-1H-indol-2-yl]-,
3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (5:2) (9CI) (CA
INDEX NAME)

Phs
$$CN$$
 $NH-C-O-(CH2)3 N $N$$

●2/5 HCl

RN 378236-94-3 HCAPLUS
CN 1H-Indole-1-carboxylic acid, 2-amino-3-cyano-5-(phenylthio)-,
3-(4-methyl-1-piperazinyl)propyl ester, hydrochloride (10:47) (9CI) (CA
INDEX NAME)

PhS
$$NH_2$$
 NH_2 $NH_$

●47/10 HCl

RN 378236-99-8 HCAPLUS
CN Acetamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} O & \\ H_2N-CH_2-C-NH & CN \\ \hline \\ NH_2 & \\ \end{array}$$

RN 378237-01-5 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-03-7 HCAPLUS

CN Pentanoic acid, 4-amino-5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-5-oxo-, hydrochloride (20:23), (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

●23/20 HCl

RN 378237-05-9 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●7/5 HCl

RN 378237-08-2 HCAPLUS

CN 1-Piperazinebutanamide, N-[3-cyano-6-(phenylmethoxy)-1H-indol-2-yl]-4-methyl- γ -oxo-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

●11/10 HCl

RN 378237-13-9 HCAPLUS

CN 1-Piperazinebutanoic acid, 4-methyl- γ -oxo-, 4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ N & & & \\ & & & \\ N & & \\ \end{array}$$

RN 378237-20-8 HCAPLUS

CN Acetamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & CN \\ H_2N-CH_2-C-NH & CH_2-O \\ \hline \end{array}$$

●19/10 HCl

RN 378237-22-0 HCAPLUS

CN Propanamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-3-hydroxy-, hydrochloride (5:7), (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●7/5 HCl

RN 378237-27-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 378237-28-6 HCAPLUS

CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 378237-29-7 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(4-hydroxy-3,5-dimethoxyphenoxy)(9CI) (CA INDEX NAME)

RN 378237-33-3 HCAPLUS

CN 1H-Indole-1-acetamide, 2-amino-3-cyano-5-(4-hydroxy-3,5-dimethoxyphenoxy)-(9CI) (CA INDEX NAME)

MeO
$$\stackrel{\text{CN}}{\longrightarrow}$$
 $\stackrel{\text{NH}_2}{\longrightarrow}$ $\stackrel{\text{CH}_2-\text{C-NH}_2}{\longrightarrow}$

RN 378237-35-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[3,5-dimethoxy-4-(phosphonooxy)phenoxy]-1-methyl- (9CI) (CA INDEX NAME)

$$H_2O_3PO$$
 OMe
 OMe

RN 378237-36-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[4-(phosphonooxy)phenoxy]- (9CI) (CA INDEX NAME)

$$H_2O_3PO$$
 O
 NH_2
 NH

RN 378245-38-6 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-[[3-[(2S)-2-amino-3-hydroxy-1-oxopropyl]phenyl]methoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 91531-98-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(indole derivs. with potential vascular damaging activity)

RN 91531-98-5 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME)

IT 378236-98-7P 378237-00-4P 378237-02-6P

378237-04-8P 378237-06-0P 378237-14-0P

378237-16-2P 378237-17-3P 378237-19-5P

378237-21-9P 378237-23-1P 378237-24-2P

378237-26-4P 378237-34-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(indole derivs. with potential vascular damaging activity)

RN 378236-98-7 HCAPLUS

CN Carbamic acid, [2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 378237-00-4 HCAPLUS

CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-02-6 HCAPLUS

CN Pentanoic acid, 5-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-oxo-, 1,1-dimethylethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-04-8 HCAPLUS

CN Propanamide, 2-amino-N-[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]-3-

(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-06-0 HCAPLUS

CN Carbamic acid, [(1S)-2-[[4-[(2-amino-3-cyano-1H-indol-5-yl)oxy]phenyl]amino]-1-[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-14-0 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[(3-nitrophenyl)methoxy](9CI) (CA INDEX NAME)

$$CH_2-O$$
 NH_2
 NH_2
 NH_2

RN 378237-16-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 378237-17-3 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 378237-19-5 HCAPLUS

CN Carbamic acid, [2-[[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{t-BuO-C-NH-CH}_2 - \text{C-NH} & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 378237-21-9 HCAPLUS

CN Propanamide, 2-amino-N-[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]-3-(1,1-dimethylethoxy)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-23-1 HCAPLUS

CN Carbamic acid, [(1S)-2-[[3-[[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]methyl]phenyl]amino]-1-[(1,1-dimethylethoxy)methyl]-2-oxoethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 378237-24-2 HCAPLUS

CN 1H-Indole-3-carbonitrile, 2-amino-1-methyl-5-[4-(phenylmethoxy)phenoxy]- (9CI) (CA INDEX NAME)

RN 378237-26-4 HCAPLUS

CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]phenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & \\ Ph-CH_2-O-P-O \\ Ph-CH_2-O \end{array}$$

RN 378237-34-4 HCAPLUS

CN Phosphoric acid, 4-[(2-amino-3-cyano-1-methyl-1H-indol-5-yl)oxy]-2,6-dimethoxyphenyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & OMe \\ Ph-CH_2-O-P-O & CN \\ Ph-CH_2-O & MeO & N \end{array}$$

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:836852 HCAPLUS

DOCUMENT NUMBER: 136:112229

TITLE: Synthetic 2-Aroylindole Derivatives as a New Class of

Potent Tubulin-Inhibitory, Antimitotic Agents

AUTHOR(S): Mahboobi, Siavosh; Pongratz, Herwig; Hufsky, Harald;

Hockemeyer, Joerg; Frieser, Markus; Lyssenko, Alexei; Paper, Dietrich H.; Buergermeister, Jutta; Boehmer, Frank-D.; Fiebig, Heinz-Herbert; Burger, Angelika M.;

Baasner, Silke; Beckers, Thomas

CORPORATE SOURCE: Faculty of Chemistry and Pharmacy Institute of

Pharmacy, University of Regensburg, Regensburg,

D-93040, Germany

SOURCE: Journal of Medicinal Chemistry (2001),

44(26), 4535-4553

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:112229

A new class of simple synthetic antimitotic compds. based on 2-aroylindoles was discovered. (5-Methoxy-1H-2-indolyl)-phenylmethanone (I) as well as analogous 3-fluorophenyl- and 3-methoxyphenyl derivs. displayed high cytotoxicity of IC50 = 20 to 75 nM against the human HeLa/KB cervical, SK-OV-3 ovarian, and U373 astrocytoma carcinoma cell lines. The inhibition of proliferation correlated with the arrest in the G2/M phase of the cell cycle. In in vitro assays with tubulin isolated from bovine brain, in general antiproliferative activity correlated with inhibition of tubulin polymerization Thus, the antimitotic activity of 2-aroylindoles is explained by interference with the mitotic spindle apparatus and destabilization of microtubules. In contrast to colchicine, vincristine, nocodazole, or taxol, I did not significantly affect the GTPase activity of β -tubulin. Interestingly, selected compds. inhibited angiogenesis in the chorioallantoic membrane (CAM) assay. In xenograft expts., I was highly active after oral administration at 200 mg/kg against the human amelanocytic melanoma MEXF 989 in athymic nude mice. We conclude, that 2-aroylindoles constitute an interesting new class of antitubulin agents with the potential to be clin. developed for cancer treatment.

IT 170147-26-9P 370581-40-1P 370581-41-2P 370581-42-3P 370581-43-4P 370581-44-5P 370581-45-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents) RN 170147-26-9 HCAPLUS

CN Methanone, phenyl[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ \text{Ph-} & \text{CH}_2 - \text{O} \end{array}$$

RN 370581-40-1 HCAPLUS

CN Methanone, (3-chlorophenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

RN 370581-41-2 HCAPLUS

CN Methanone, (4-chlorophenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & 0 \\ \hline \\ Ph-CH_2-O \end{array}$$

RN 370581-42-3 HCAPLUS

CN Methanone, (4-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & 0 \\ \hline N & C \\ \hline \end{array}$$

RN 370581-43-4 HCAPLUS

CN Methanone, [5-(phenylmethoxy)-1H-indol-2-yl](3,4,5-trimethoxyphenyl)-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{OMe} \\ \\ \text{Ph-} & \text{CH}_2-\text{O} \\ \end{array}$$

RN 370581-44-5 HCAPLUS

CN Methanone, (2-methoxyphenyl) [5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ N & C \\ \end{array}$$

RN 370581-45-6 HCAPLUS

CN Methanone, (3-methoxyphenyl)[5-(phenylmethoxy)-1H-indol-2-yl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & 0 \\ \hline N & C \\ \hline \end{array}$$
 OMe

IT 170147-24-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents)

RN 170147-24-7 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{Ph-CH_2-O} & & & \\$$

IT 370580-70-4P 370580-71-5P 370580-74-8P

370580-77-1P 370580-78-2P 370580-81-7P

370580-83-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aroylindoles as tubulin-inhibitory antimitotic agents) RN 370580-70-4 HCAPLUS

CN 1H-Indole, 2-benzoyl-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

RN 370580-71-5 HCAPLUS
CN 1H-Indole, 2-(3-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI)
(CA INDEX NAME)

RN 370580-74-8 HCAPLUS
CN 1H-Indole, 2-(4-chlorobenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)- (9CI)
(CA INDEX NAME)

RN 370580-77-1 HCAPLUS
CN 1H-Indole, 2-(4-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)(9CI) (CA INDEX NAME)

$$O = S - Ph$$

$$Ph - CH_2 - O$$

$$O = S - Ph$$

RN 370580-78-2 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)-1-(phenylsulfonyl)-2-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)

RN 370580-81-7 HCAPLUS

CN 1H-Indole, 2-(2-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

RN 370580-83-9 HCAPLUS

CN 1H-Indole, 2-(3-methoxybenzoyl)-5-(phenylmethoxy)-1-(phenylsulfonyl)-(9CI) (CA INDEX NAME)

$$O = S - Ph$$

$$N = CH_2 - O$$

$$O = S - Ph$$

$$O$$

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:597980 HCAPLUS

DOCUMENT NUMBER:

135:180700

TITLE:

Preparation of indol-3-ylpropionates as integrin

inhibitors.

INVENTOR(S):

Goodman, Simon; Gottschlich, Rudolf; Wiesner, Matthias

Merck Patent G.m.b.H., Germany

PATENT ASSIGNEE(S):

PCT Int. Appl., 87 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE		1	APPL	ICAT	ION I	NO.		D	ATE		
WO	2001	0588	93		A2		2001	0816	1							0010	105	<
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		LU, SD,	LV,	MA, SG,	MD,	MG	MK, SL,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
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	1254				A2		2002	1106]	EP 2	001-	90362	24		2	0010	105	<
EP	1254	133			В1		2005	0420										
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		ΙE,	SI,	LT,	LV,	FI,	RO,											
	2001						2003	0121	1	3R 2	001-	8154			2	0010		
	2003		19		T2		2003	1014	,	JP 2	001-	55844	43		2	0010	105	<
	5212				A E C2		2004	0227	1	NZ 2	001-	5212	50		2	0010	105	<
AT	2936	20			E		2005	0515	7	AT 2	001-	90362	24			0010		
	2257				C2		2005	0727	I	RU 2	002-	1233	32		2	0010	105	
	1254				Τ.		2005	0930]	PT 2	001-	90362	24					
	2240				Т3		2005	1016	1	ES 2	001-	1903	524		2	0010	105	
	2002				Α		2002			10 2	002-	3770			2	0020	809	<
	2003		28		A1		2003	0306	τ	JS 2	002-	20340	06		2	0020	809	<
US	6743	810			B2		2004	0601										
	2002						2003	1210				7273				0020	910	<
US	2004	1382	84		A1		2004	0715	Ţ	JS 2	004-	75081	79		2	0040	105	<
PRIORIT	Y APP	LN.	INFO	. :					I	DE 2	000-	10006	5139	1	A 2	0000	211	
												EP84		1	₩ 2	0010		
									ζ	JS 2	002-	2034(06		A2 2	0020	809	
OTHER CO	ATD CE	101 .			MANTOT	חמר	125.	1007/	٠.									

OTHER SOURCE(S): MARPAT 135:180700

GI

$$R^{4}$$
 X
 $CO_{2}R^{1}$
 R^{3} (CH₂) $R^$

Title compds. [I; A, B = O, S, NH, NR7, CO, CONH, bond; X = (substituted) AB alkylene; R1 = H, Z, (CH2)oAr; R2 = H, R7, COZ; R3 = NHR6, NR6C(:NR6)NHR6, Het; R4, R5 = H, O, R7, (CH2)oAr, OAr, etc.; R6 = H, COR7, COAr, R7, CO2R7, SO2R7, etc.; R7 = alkyl, cycloalkyl; Z = alkyl; Ar = (substituted) aryl; Het = (unsatd.) (substituted) mono- or bicyclic N-heterocyclyl; m = 0-6; n, o = 0-2], were prepared as integrin inhibitors useful for combating thrombosis, myocardial infarcts, coronary heart disease, arteriosclerosis, inflammation, tumors, osteoporosis, rheumatic arthritis, macular degenerative diseases, diabetic retinopathy, infections, restenosis after angioplasty, and pathol. conditions which are maintained or propagated by angiogenesis (no data). Thus, 6-benzyloxyindole, PhCHO, Meldrum's acid, and L-proline were stirred 3 h in MeCN to give 5-[phenyl-(6-0benzylindol-3-yl)methyl]-2,2-dimethyl-1,3-dioxane-4,6-dione. The latter was refluxed with Cu powder in pyridine/EtOH to give Et 3-phenyl-3-(6-0-benzylindol-3-yl)propionate, which was hydrogenated in EtOH over Pd/C to give Et 3-phenyl-3-(6-hydroxyindol-3-yl)propionate. This was converted to 3-phenyl-3-[6-[3-(pyridin-2-ylamino)propoxy]indol-3yl]propionic acid in several steps.

IT 1215-59-4, 5-Benzyloxyindole 15903-94-3,

6-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of indolylpropionates as integrin inhibitors)

RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 15903-94-3 HCAPLUS

CN 1H-Indole, 6-(phenylmethoxy) - (9CI) (CA INDEX NAME)

IT 354822-51-8P 354822-52-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indolylpropionates as integrin inhibitors)

RN 354822-51-8 HCAPLUS

CN 1,3-Dioxane-4,6-dione, 2,2-dimethyl-5-[phenyl[6-(phenylmethoxy)-1H-indol-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 354822-52-9 HCAPLUS

CN 1H-Indole-3-propanoic acid, β -phenyl-6-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2-O & H \\ \hline \\ CH-CH_2-C-OEt \\ \hline \\ Ph & O \end{array}$$

L18 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:338524 HCAPLUS

DOCUMENT NUMBER: 134:340503

TITLE: Preparation of heterocyclylpyrazolinones as protein

kinase inhibitors

INVENTOR(S): Singh, Jasbir; Tripathy, Rabindranath

PATENT ASSIGNEE(S): Cephalon, Inc., USA SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT	NO.			KINI)	DATE		1	APPL	ICAT	ION I	NO.		D.	ATE	
WO	2001	0326	53		A1		2001	0510	1	WO 2	000-1	US30:	226		2	0001	101 <
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,
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		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
US	6455	525			В1		2002	0924	1	US 2	000-	7021	91		2	0001	031 <
CA	2389	807			AA		2001	0510	1	CA 2	000-	2389	807		2	0001	101 <
EΡ	1226	141			A 1		2002	0731		EP 2	-000	9783	38		2	0001	101 <
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR						

TR 200201225	T2	20020821	TR 2002-200201225		20001101 <
JP 2003513091	T2	20030408	JP 2001-534804		20001101 <
BR 2000015568	Α	20030610	BR 2000-15568		20001101 <
NO 2002002095	Α	20020611	NO 2002-2095		20020502 <
ZA 2002003492	Α	20030804	ZA 2002-3492		20020502 <
BG 106771	Α	20030331	BG 2002-106771		20020604 <
US 2003162775	A1	20030828	US 2002-225670		20020822 <
US 6831075	B2	20041214			
PRIORITY APPLN. INFO.:			US 1999-163377P	P	19991104
			US 2000-702191	Α	20001031
			WO 2000-US30226	W	20001101

OTHER SOURCE(S): MARPAT 134:340503

$$R^{1}$$
 R^{1}
 R^{2}
 R^{3}
 R^{2}
 R^{3}

RN

Title compds. e.g., I [R = (un)substituted heterocyclyl or -heteroaryl; R1 = H, (un)substituted alkyl, NH2, acyl, etc.; R2,R3 = H, (un)substituted alkyl, acyl, heterocyclyl, etc.] were prepared Thus, 2-acetylthiazole was condensed with CO(OEt)2 and the product cyclocondensed with H2NNH2 to give 3-(2-thiazolyl)-2-pyrazolin-5-one which was condensed with indole-3-carboxaldehyde to give I (R = 2-thiazolyl, R1 = R2 = H, R3 = 3-indolyl). Data for biol. activity of I were given.

IT 338753-21-2P 338753-27-8P 338753-44-9P 338753-68-7P 338753-70-1P 338756-22-2P 338756-30-2P 338756-32-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclylpyrazolinones as protein kinase inhibitors) 338753-21-2 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(3-furanyl)-2,4-dihydro-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O \\ \hline & N \\ \hline & N \\ \hline & N \\ \end{array}$$

RN 338753-27-8 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(3-furanyl)-2,4-dihydro-4-[[5-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

RN 338753-44-9 HCAPLUS

CN 3H-Pyrazol-3-one, 2,4-dihydro-5-(1-methyl-1H-pyrrol-3-yl)-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & O \\ \hline N & NH \\ \hline N & NH \\ \hline Me \\ \end{array}$$

RN 338753-68-7 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(2-furanyl)-2,4-dihydro-4-[[5-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 - \text{O} & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 338753-70-1 HCAPLUS

CN 3H-Pyrazol-3-one, 5-(2-furanyl)-2,4-dihydro-4-[[4-(phenylmethoxy)-1H-indol-3-yl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & O \\ \hline & N \\ \hline & N \\ \hline & N \\ \end{array}$$

RN 338756-22-2 HCAPLUS

CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-4-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-pyrazinyl- (9CI) (CA INDEX NAME)

RN 338756-30-2 HCAPLUS

CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-pyrazinyl- (9CI) (CA INDEX NAME)

RN 338756-32-4 HCAPLUS

CN 3H-Pyrazol-3-one, 2,4-dihydro-4-[[1-methyl-5-(phenylmethoxy)-1H-indol-3-yl]methylene]-5-(2-thiazolyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:265404 HCAPLUS

DOCUMENT NUMBER: 134:295842

TITLE: Preparation of triazine kinase inhibitors

INVENTOR(S): Armistead, David M.; Bemis, Jean E.; Buchanan, John

L.; Dipietro, Lucian V.; Elbaum, Daniel; Habgood, Gregory J.; Kim, Joseph L.; Marshall, Teresa L.; Geuns-Meyer, Stephanie D.; Novak, Perry M.; Nunes, Joseph J.; Patel, Vinod F.; Toledo-Sherman, Leticia

M.; Zhu, Xiaotian

PATENT ASSIGNEE(S): Kinetix Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIN)	DATE		A	PPL.	ICAT	ION I	NO.		D.	ATE	
WO	2001	 0252	20		 Δ1	-		0412					 811		2	0001	 006 <
,,,	2001 W:								BA,								
	,, ,		•		•		•		EE,		•	-		-		•	•
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									MW,								
		•	•		•	•	-	•	TM,		•	•	•		•	•	•
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	DM.		•	•	•	•				•	•	•		ידי ע	DE	CH	CV
	KW.								SL,								· · · · · · · · · · · · · · · · · · ·
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C A	2386	•				•		•	•	•	•	•			2	0001	006 <
EP	1218																006 <
	R:	•	-	-	-	-	-		GB,	-	IT,	ыŁ,	ьu,	NL,	SE,	MC,	PT,
		•	•	•	•	•	•		CY,						_		
																	006 <
	7706				B2		2004	0226	A								006 <
PRIORIT	Y APP	LN.	INFO	. :					_				76P			9991	
									_				78P			9991:	123
									U	IS 19	999-	1703	78P		P 1	9991:	213
									U	IS 20	000-	1832	63P		P 2	0000	217
									U	IS 20	000-	2155	76P	:	P 2	0000	630
									U	IS 20	000-	2198	01P	:	P 2	0000.	720
									W	10 20	000-1	US27	811	Ī	W 2	0001	006

OTHER SOURCE(S):

MARPAT 134:295842

GI

Title triazine compds. (I) [wherein R1 and R2 = independently R3, R8, AB NHR3, NHR5, NHR6, NR5R5, NR5R6, SR5, SR6, SR3, OR5, OR6, OR3, COR3, or (un) substituted heterocyclyl or alkyl; R3 = independently aryl or (un) substituted Ph or heteroaryl; R5 = independently H, (un) substituted (cyclo)alkyl or alkenyl, alkynyl, cycloalkenyl, aryl, or haloalkyl; R6 = independently COR5, CO2R5, CONR5R5, C(NR5)NR5R5, or SOnR5; R8 = independently (un) substituted mono-, di-, or tricyclic ring system comprising 1-3, 1-6, or 1-9 heteroatoms, resp.; n = 1-2] were prepared as inhibitors of enzymes that bind to ATP or GTP and/or catalyze phosphoryl transfer. For example, amination of 2,4-dichloro-1,3,5-triazine (preparation given) with 3,4,5-trimethoxyaniline in DMF, followed by a second amination with 4-aminoveratrole in the presence of diisopropylethylamine in EtOH, yielded II. In kinase inhibition studies, II gave IC50 values of < 0.4 μg/mL for KDR-1, PDGFRB-1, and Flt-1; 0.4 to 2.4 μg/mL for Lck-1; 3.5 to 4.5 μ g/mL for EGFR-1, Tek-1, and EPGB4-1; and > 4.5 μ g/mL for IGFR-1, AKT3-1, Met-1, Zap-1, Itk-1, FGFR1-1, and Fyn-1. I and compns. comprising them are useful for the treatment of disease or disease symptoms related to kinase inhibition, such as angiogenesis or vasculogenesis (no data).

IT 333727-64-3P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazine kinase inhibitors for inhibiting

angiogenesis or vasculogenesis)

RN 333727-64-3 HCAPLUS

1,3,5-Triazin-2-amine, 4-[5-(phenylmethoxy)-1H-indol-1-yl]-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

$$\mathsf{Ph}\mathsf{-}\mathsf{CH}_2\mathsf{-}\mathsf{O}$$

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:137023 HCAPLUS

DOCUMENT NUMBER: 134:178552

TITLE: 3(5)-Acylaminopyrazole derivatives, process for their

preparation and their use as antitumor agents

INVENTOR(S): Pevarello, Paolo; Orsini, Paolo; Traquandi, Gabriella;

Varasi, Mario; Fritzen, Edward L.; Warpehoski, Martha

A.; Pierce, Betsy S.; Brasca, Maria Grabriella

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy; Pharmacia & Upjohn

Company

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	rent 1										ICAT					DATE		
	2001															20000	505	<
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR	CU,	CZ,	
		DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID	IL,	IS,	
		JP,	KE,	KG,	KΡ,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA	MD,	MG,	
		MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI	SK,	SL,	
		TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ	BY,	KG,	
		KZ,	MD,	RU,	ТJ,	TM												
	RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZW,	AT,	BE,	CH	CY,	DE,	
		DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG					
CA	2383	555			AA		2001	0222		CA 2	000-	2383	555		2	20000	505	<
ΑU	2000	0497	14		A5		2001	0313		AU 2	000-	4971	4		2	20000	505	<
EP	1202	733			A1		2002	0508		EP 2	000-	9319	06		2	20000	505	<
EP	1202	733			B1		2005	1005										
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE	MC,	PT,	
								MK,										
	2000																	
JP	2003	5073	29		T2		2003	0225		JP 2	001-	5165	35		2	0000	505	<
EE	2002	0006														20000	505	<
NZ	5172	37			Α		2004	0227		NZ 2	000-	5172	37		2	20000	505	<
US	6218	418			В1		2001	0417								20000	922	<
NO	2002	0006	84		Α		2002	0403	;	NO 2	002-	684			2	20020	211	<
HR	2002	0001	28		A1		2003	0430		HR 2	002-	128			2	20020	212	<
ZA	2002	0015	11		Α		2003	0311		ZA 2	002-	1511			2	20020	222	<
BG	1064	80			Α		2002	0930		BG 2	002-	1064	80		2	20020	305	<
RIORITY	APP	LN.	INFO	.:					•	US 1	999-	3728	31		A :	19990	812	
									•	US 2	000-	5604	00		A1 2	20000	428	
									•	WO 2	000-1	US66:	99	,	W 2	20000	505	
ססטיי	שממונר	101.			M/ATO1	ידיאר	124.	1705	- n									

OTHER SOURCE(S): MARPAT 134:178552

GΙ

AB Compds. which are 3-acylaminopyrazole derivs. (I; e.g. N-(5-cyclopropyl-1H-pyrazol-3-yl)-2,2-diphenylacetamide) wherein R is C3-C6 cycloalkyl group optionally substituted by a straight or branched C1-C6 alkyl or arylalkyl group; R1 is a straight or branched C1-C6 alkyl, C2-C4 alkenyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, arylalkyl, arylcarbonyl, aryloxyalkyl or arylalkenyl group, each of which may be optionally further substituted as indicated in the description; or a pharmaceutically acceptable salt thereof, processes for their preparation and their therapeutic uses. The compds. are useful for the treatment of

cancer, cell proliferative disorders, Alzheimer's disease, viral infections, auto-immune diseases or neurodegenerative diseases, but no quant. test results are presented. The cancer is selected from carcinoma, squamous cell carcinoma, hematopoietic tumors of myeloid or lymphoid lineage, tumors of mesenchymal origin, tumors of the central and peripheral nervous system, melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma. The cell proliferative disorder is selected from benign prostate hyperplasia, familial adenomatosis polyposis, neuro-fibromatosis, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis and post-surgical stenosis and restenosis. The method of treatment provides tumor angiogenesis and metastasis inhibition, cell cycle inhibition or cdk/cyclin dependent inhibition, and treatment or prevention of radiotherapy-induced or chemotherapy-induced alopecia. A process for preparing the 3-aminopyrazole derivative or the pharmaceutically acceptable

salt

thereof, comprising: (a) reacting RCO2R2 (R2 = alkyl), with MeCN in the presence of a basic agent, to obtain RC(O)CH2CN; (b) reacting RC(O)CH2CN with hydrazine hydrate to obtain an 3-amino-5-R-1H-pyrazole; (c) oxidizing the 3-amino-5-R-1H-pyrazole to obtain the nitro analog; (d) reacting the nitro compound with tert-butoxycarbonyl anhydride (Boc2O) to obtain the N-Boc derivative; (e) reducing this BOC derivative to obtain the amino analog;

(f)

reacting this amino compound with RIC(0)X (X = OH or a suitable leaving group) to obtain the N1-Boc-protected I; and (g) hydrolyzing this intermediate in an acidic medium to obtain I. Other methods of preparation are also claimed.

IT 326824-40-2P, 2-[5-(Benzyloxy)-1H-indol-3-yl]-N-(5-cyclopropyl-1Hpyrazol-3-yl)acetamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(acylaminopyrazole derivs., process for preparation and use as antitumor agents)

RN 326824-40-2 HCAPLUS

CN 1H-Indole-3-acetamide, N-(5-cyclopropyl-1H-pyrazol-3-yl)-5-(phenylmethoxy)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-CH}_2-\text{O} & & & \\ & & & \\ \end{array}$$

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:900841 HCAPLUS

DOCUMENT NUMBER: 134:37031

TITLE: FVIIA/TF activity inhibiting compounds

INVENTOR(S): Jakobsen, Palle; Persson, Egon

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den. SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

							API						ATE	
WO	200007 200007 200007	7246		A2	20	001221							0000	613 <
	W: A C I I S RW: G	E, AG, U, CZ, D, IL, V, MA, E, SG, A, ZW,	AL, DE, IN, MD, SI, AM, KE,	AM, DK, IS, MG, SK, AZ, LS,	AT, A DM, D JP, K MK, M SL, T BY, K MW, M	U, AZ, Z, EE, E, KG, N, MW, J, TM, G, KZ, Z, SD,	BA, BE ES, FI KP, KF MX, MZ TR, TI MD, RU SL, SZ IE, II	G, GB, R, KZ, Z, NO, T, TZ, J, TJ, Z, TZ,	GD, LC, NZ, UA, TM	GE, LK, PL, UG,	GH, LR, PT, US,	GM, LS, RO, UZ,	HR, LT, RU, VN,	HU, LU, SD, YU,
EP	119227 R: A	F, CG, 0 T, BE,	CI,	CM, A2 DE,	GA, G 20 DK, E	N, GW, 020403 S, FR,	ML, MF	R, NE, 2000-	SN, 93495	TD, 51	TG	2	0000	613 <
US	200353 623887 644443	8 4	·	T2 B1	20 20	031021 010529	US US DK US DK US DK US DK US	2000-	61601 84482 840 13971 910 14141 1241 15286 DK316	10 28 14P 16P 53P	2 1 2 1 1 V	2 2 A 1 P 1 A 1 P 1 A 1 P 1	0000 0010 9990 9990 9990 9990 9990	617 625 629 903 908 613

AB The invention relates to compds. inhibiting the activation of FX to FXa by TF/FVIIa. The compds. are anticoagulants. The invention also relates to a method of identifying a drug candidate.

IT 313236-56-5 313236-57-6 313236-59-8 313236-60-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(FVIIA/TF activity inhibiting compds.)

RN 313236-56-5 HCAPLUS

CN 1,3-Propanediamine, N-[[6-chloro-1-[(3,4-dichlorophenyl)methyl]-5-(phenylmethoxy)-1H-indol-3-yl]methyl]-N,N',N'-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_{2}\text{N-} (\text{CH}_{2})_{3} - \text{N-} \text{CH}_{2} \\ \text{Ph-} \text{CH}_{2} - \text{O} \\ \text{Cl} \end{array}$$

RN 313236-57-6 HCAPLUS

CN 4-Piperidinamine, N,N-dimethyl-1-[[5-(phenylmethoxy)-1H-indol-3-yl]methyl]-

(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & & \\ \text{Ph-CH}_2-\text{O} \end{array} \\ \begin{array}{c} \text{N} \\ \text{CH}_2 \\ \end{array} \\ \begin{array}{c} \text{N} \\ \text{N} \\ \end{array}$$

RN 313236-59-8 HCAPLUS

CN 1H-Indole-3-carboxamide, 1-[(3,4-dichlorophenyl)methyl]-N-[3-(dimethylamino)propyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$Me_2N - (CH_2)_3 - NH - C$$
 $C1$ $Ph - CH_2 - O$ $N - CH_2$

RN 313236-60-1 HCAPLUS

CN 1H-Indole-3-ethanamine, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-N,N-dimethyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me}_2\text{N-CH}_2\text{-CH}_2 \\ \text{Ph-CH}_2\text{-O} \\ \text{Cl} \end{array}$$

L18 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:688234 HCAPLUS

DOCUMENT NUMBER:

133:266589

TITLE:

Preparation of heterocyclic derivatives as chemokine receptor antagonists effective against HIV, tumor, and

allergy

INVENTOR(S):

Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao;

Zhou, Yuanxi; Schols, Dominique

PATENT ASSIGNEE(S):

Anormed Inc., Can.

SOURCE:

PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000056729	A1	20000928	WO 2000-CA321	20000324 <

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PRIORITY APPLN. INFO.:
                                             US 1999-125823P
                                                                  P 19990324
                                             US 2000-535314
                                                                  A3 20000324
                                                                  W
                                             WO 2000-CA321
                                                                     20000324
```

OTHER SOURCE(S):

MARPAT 133:266589

GΙ

$$Q = \begin{pmatrix} A \\ P \end{pmatrix} V - \begin{pmatrix} A \\ B \end{pmatrix}$$

AB Title compds. [YW(X)(Z)(CR1R2)nArCR3R4N(R5)(CR6R7)qR8; W = N, Y is void; WY = CH; R1 to R7 may be the same or different and are independently selected from H, straight, branched or cyclic C1-6 alkyl; R8 = substituted heterocyclic group or a substituted aromatic group; Ar = aromatic or heteroarom.

ring each optionally substituted at single or multiple, non-linking positions with electron-donating or withdrawing groups; n and q are

independently = 0-2; X = Q, Q1; A = optionally substituted, saturated or unsatd. 5 or 6-membered ring; P = optionally substituted carbon atom, optionally substituted nitrogen atom, sulfur or oxygen atom; B = optionally substituted 5 to 7-membered ring; Ring A and Ring B in the above formula can be connected to the group W from any position via the group V; V = bond, (CH2)m, CO; m = 0-2; Z = H, optionally substituted C1-6 alkyl group, C0-6 alkyl group substituted with an optionally substituted aromatic or heterocyclic group, optionally substituted C0-6 alkylamino, C3-7 cycloalkylamino group, optionally substituted carbonyl group or sulfonyl], pharmaceutically acceptable acid addition, salts, metal complexes, stereoisomers, isomer mixts., and pharmaceutical composition are prepared

Title

CN

compds. are having protective effects against infection by HIV through binding to chemokine receptors, including CXCR4 and CCR5 and inhibiting the subsequent binding of their natural ligands. Thus, the title compound I was prepared and tested for inhibition of HIV-1 NL4.3 or IIIB replication in MT-4 cells and exhibited EC50's of less than $20\mu g/mL$.

IT 297770-83-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic derivs. as chemokine receptor antagonists effective against HIV, tumor, and allergy)

RN 297770-83-3 HCAPLUS

1,4-Benzenedimethanamine, N-[[5-(phenylmethoxy)-1H-indol-3-yl]methyl]-N,N'-bis(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ CH_2 - N - CH_2 \\ CH_2 \\ N \\ \end{array}$$

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:608722 HCAPLUS

DOCUMENT NUMBER:

133:193079

TITLE:

Preparation of arylsulfonylheterocyclylhydroxamic

acids and related compounds as matrix metalloprotease

inhibitors

INVENTOR(S):

Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidahar N.

PATENT ASSIGNEE(S):

SOURCE:

G.D. Searle and Co., USA PCT Int. Appl., 851 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

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	1230	219			A1		2002	0814		EP 2	000-	9133	17		2	0000:	222	<
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ZA	2001	0067	80		Α		2002	0816		ZA 2	001-	6780			2	0010	816	<
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US	6750	233			B2		2004	0615										
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									1	US 1	998-	9534	7P	:	P 1	9980	B04	
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														:				
									1	WO 2	000-1	US25	18	Ţ	W 2	0000	222	
		1																

OTHER SOURCE(S): MARPAT 133:193079

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation

given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound I. I inhibited MMP-2 with IC50 = 0.2 nM. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.

IT 226390-30-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226390-30-3 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226390-29-0 CMF C23 H25 N3 O7 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 226399-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226399-13-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:592560 HCAPLUS

DOCUMENT NUMBER: 133:198575

TITLE: Compositions and methods for use in targeting vascular

destruction

INVENTOR(S): Pero, Ronald W.; Sherris, David

PATENT ASSIGNEE(S): Oxigene, Inc., USA SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT	NO.			KIN	o :	DATE			APPL	ICAT	ION I	NO.		D	ATE	
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								NZ,									
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		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
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CA	2455	956			AA		2000	0824		CA 2	000-	2455	956		2	0000	216 <
EP	1152	764			A1		2001	1114		EP 2	000-	9146	06		2	0000	216 <
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US	6538	038			В1		2003	0325	•	US 2	000-	5054	02		2	0000:	216 <
AU	7765	11			B2		2004	0909		AU 2	000-	3597	3		2	0000:	216 <
EP	1547	603			A2		2005	0629		EP 2	004-	7658	2		2	0000	216
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PRIORITY APPLN. INFO.: US 1999-120478P P 19990218 CA 2000-2358925 A3 20000216 EP 2000-914606 A3 20000216 US 2000-505402 A1 20000216 WO 2000-US3996 20000216

MARPAT 133:198575 OTHER SOURCE(S):

Treatment of warm-blooded animals having a tumor or non-malignant hypervascularization, by administering a sufficient amount of a cytotoxic agent formulated into a phosphate prodrug form having substrate specificity for microvessel phosphatases, so that microvessels are destroyed preferentially over other normal tissues, because the less cytotoxic prodrug form is converted to the highly cytotoxic dephosphorylated form.

91531-98-5D, Amphethinile, derivs. TΤ

> RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(prodrugs for use in targeting vascular destruction)

91531-98-5 HCAPLUS RN

1H-Indole-3-carbonitrile, 2-amino-5-(phenylthio)- (9CI) (CA INDEX NAME) CN

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS 1 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:573671 HCAPLUS

DOCUMENT NUMBER:

133:177183

TITLE:

Preparation of quinazoline derivatives as

angiogenesis inhibitors

INVENTOR(S):

Hennequin, Laurent Francois Andre; Ple, Patrick; Stokes, Elaine Sophie Elizabeth; Mckerrecher, Darren

Astrazeneca UK Limited, UK; Zeneca-Pharma S.A.

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 346 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D :	DATE			APPL	ICAT	ION I	NO.		D	ATE	
WO 2000	0472	12		7.1	-	2000	0017	,	 ₩Ω 2:	000	ידנסי	·		2	2000	200 -
WO 2000	04/2	12		ΗI		2000	001/		WO Z	000-	GD3 /.	3		۷.	00002	200 <
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EP	1154	774			В1	200	50622										
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									WO 2	000-	GB37	3		W 2	0000	208	
OFFITTED OF		(~)				- m	1001										

OTHER SOURCE(S): MARPAT 133:177183

AB The title compds. (I) [wherein A = an 8-, 9-, 10-, 12- or 13-membered bicyclic or tricyclic ring optionally containing 1-3 O, N, and/or S heteroatoms; Z = O, NH, S, CH2, or a bond; n = 0-5; m = 0-3; R2 = H, OH, halo, CN, NO2, CF3, alkyl(sulfanyl), alkoxy, NR3N4, or R5X1; R3 and R4 = independently H or alkyl; X1 = a bond, O, CH2, OC(O), CO, S, SO, SO2, NR6CO, CONR7, SO2R8, NR9SO2, or NR10; R5 = H or (un)substituted alkyl, alkenyl, alkynyl, or heterocyclyl, etc.; R6-R10 = independently H or (alkoxy)alkyl] were prepared for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals. For instance, II was synthesized in a 9-step sequence starting with the cyclization of 2-amino-4-benzyloxy-5-methoxybenzamide using Gold's reagent

in dioxane to form 7-benzyloxy-6-methoxy-3,4-dihydroquinazolin-4-one (84%). I and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis (no data).

IT 2439-68-1, 5-Benzyloxy-1-methylindole 4790-04-9,

5-Benzyloxy-6-methoxyindole

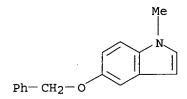
RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of quinazolines as angiogenesis inhibitors by cyclization of 2-aminobenzamides and subsequent

derivatization)

RN 2439-68-1 HCAPLUS

CN 1H-Indole, 1-methyl-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 4790-04-9 HCAPLUS

CN 1H-Indole, 6-methoxy-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} & \text{H} \\ \text{N} \\ \text{Ph-CH}_2 - \text{O} \end{array}$$

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:383910 HCAPLUS

DOCUMENT NUMBER:

133:26859

TITLE:

Methods of reducing serum glucose and triglyceride

levels and for inhibiting angiogenesis using

substituted indole-alkanoic acids

INVENTOR(S):

Sredy, Janet; Jacot, Jorge

PATENT ASSIGNEE(S):

The Institutes for Pharmaceutical Discovery, Inc., USA

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032180	A2	20000608	WO 1999-US28483	19991201 <
WO 2000032180	A3	20001116		
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CZ, DE,	DK, EE, ES	, FI, GB, G	D, GE, GH, GM, HR,	HU, ID, IL, IN,
IS, JP,	KE, KG, KP	, KR, KZ, L	C, LK, LR, LS, LT,	LU, LV, MA, MD,
MG, MK,	MN, MW, MX	, NO, NZ, F	L, PT, RO, RU, SD,	SE, SG, SI, SK,

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SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
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                                 20010821
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                           B1
                                 20040428
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                                                                      19991201 <--
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                                                                      19991201 <--
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                                 20051115
PRIORITY APPLN. INFO.:
                                              US 1998-110395P
                                                                   P 19981201
                                              US 1999-452252
                                                                   A1 19991201
                                              WO 1999-US28483
                                                                   W
                                                                     19991201
```

OTHER SOURCE(S): MARPAT 133:26859

AB Methods are disclosed for reducing serum glucose and triglyceride levels and for inhibiting angiogenesis, the methods comprising administration of substituted indole-alkanoic acids to patients in need of such treatment. Also disclosed are such compds. useful in the treatment of angiogenesis, hyperglycemia, hyperlipidemia and chronic complications arising from diabetes mellitus. Also disclosed are pharmaceutical compns. containing the compds. Preparation of the compds. of the

invention is included.

IT 245116-96-5P 245117-09-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(indole-alkanoic acid derivative preparation for reducing serum glucose and triglyceride levels and for inhibiting angiogenesis)

RN 245116-96-5 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-(phenylmethoxy)-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F \\ S \\ N \\ \hline \\ CH_2 - CO_2H \\ \end{array}$$

RN 245117-09-3 HCAPLUS

CN 1H-Indole-1-acetic acid, 5-phenoxy-3-[(4,5,7-trifluoro-2-benzothiazolyl)methyl]- (9CI) (CA INDEX NAME)

$$F$$
 S
 CH_2
 CH_2-CO_2H

IT 78304-53-7P, 5-Phenoxyindole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; indole-alkanoic acid derivative preparation for reducing

serum glucose and triglyceride levels and for inhibiting angiogenesis)

RN 78304-53-7 HCAPLUS

CN 1H-Indole, 5-phenoxy- (9CI) (CA INDEX NAME)

IT 1215-59-4, 5-Benzyloxyindole

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; indole-alkanoic acid derivative preparation for reducing serum glucose and triglyceride levels and for inhibiting angiogenesis
)

RN 1215-59-4 HCAPLUS

CN 1H-Indole, 5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

L18 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:350651 HCAPLUS

DOCUMENT NUMBER:

131:18929

TITLE:

Preparation of arylsulfonylheterocyclylhydroxamic

acids and related compounds as matrix metalloprotease

inhibitors

INVENTOR(S):

Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.; De Crescenzo, Gary A.; Villamil, Clara I.; McDonald,

Joseph J.; Freskos, John N.; Getman, Daniel P.

PATENT ASSIGNEE(S):

G.D. Searle and Co., USA

SOURCE:

PCT Int. Appl., 840 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5 PATENT INFORMATION:

PA'	rent :	NO.			KIND DATE				APPLICATION NO.						DATE			
	WO 9925687																	<
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		CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG							
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EP	1042	290			A1	2	000	1011	I	EP 1	998-	9574	85		1	9981	112	<
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US	2001	0146				2	001	0816	τ	JS 1	998-	1911	29		1	9981	113	<
NO	NO 2000002469					A 20000712									20000512 <			
US	6541	489			B1	2	003	0401	τ	JS 2	000-	5540	82		2	0000	731	<
US	2002	1775	88		A1	2	002	1128	τ	JS 2	001-	9544	51		2	0010	917	<
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RIORIT	Y APP	LN.	INFO	. :					τ	JS 1	997-	6600	7P		P 1	9971	114	
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									V	NO 1	998-	US23:	242		W 1	9981	112	
							Ţ	US 1999-256948					B3 1	19990224				
									Ţ	JS 2	000-	5540	82		A3 2	0000	731	
THER SO	ER SOURCE(S):					AT 1:	31:	1892	9									

OTHER SOURCE(S): MARPAT 131:18929

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOCR1R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF

was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 405 sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound (I). I inhibited MMP-2 with IC50 = 0.2 nM.

226390-30-3P

IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226390-30-3 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[(hydroxyamino)carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 226390-29-0 CMF C23 H25 N3 O7 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 226399-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 226399-13-9 HCAPLUS

CN 1H-Indole-2-carboxamide, N,N-dimethyl-6-[4-[[tetrahydro-4-[[[(tetrahydro-2H-pyran-2-yl)oxy]amino]carbonyl]-2H-pyran-4-yl]sulfonyl]phenoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:271331 HCAPLUS

DOCUMENT NUMBER: 130:311803

TITLE: Preparation of aminobutanoic acid derivatives as

inhibitors of matrix metalloproteinases Takahashi, Kanji; Sugiura, Tsuneyuki Ono Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., SOURCE: PCT Int. Appl., 557 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

INVENTOR(S):

PA	CENT :	NO.			KIND DATE				APPL	ICAT	ION I	DATE						
WO	WO 9919296																	
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		KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	
		NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	
		UG,	US,	UΖ,	VN,	ΥU,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM		
	RW:											BE,					ES,	
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EP 1024134					A1	A1 20000802				EP 1	998-	9477		19980907 <				
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
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ZA	ZA 9809113													981				
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RU 2215735	C2	20031110	RU	2000-111472		19981007 <
TW 568897	В	20040101	TW	1998-87116686		19981009 <
NO 2000001813	Α	20000609	NO	2000-1813		20000407 <
MX 200003465	Α	20001113	MX	2000-3465		20000407 <
US 6420427	B1	20020716	US	2000-529056		20000407 <
PRIORITY APPLN. INFO.:			JP	1997-291834	Α	19971009
			JP	1998-28533	Α	19980210
			JP	2000-515869	A3	19980907
			WO	1998-JP4529	W	19980907
			JP	2000-322746	A3	19981007

OTHER SOURCE(S):

MARPAT 130:311803

GI

AΒ Aminobutanoic acid derivs. represented by general formula (I) and salts thereof [wherein R1 = CO2R10, CONHOR10, CONHNHR10, (CH2)nSR50, Y-P(:0)(OR51)2; R10 = H, C1-8 alkyl, Ph, phenyl- or C1-8 alkoxy-C1-8 alkyl, PhO2C, PhCH2O2C, C1-8 alkoxycarbonyl; wherein n = 0-3; R50 = H, C1-8 alkyl, C1-8-alkyl-carbonyl, PhCO, SH, C1-8 alkylthio, SPh; R51 = H, C1-8 alkyl, Ph; Y = single bond, CH2, O; R2-R7 = H, C2-8 alkenyl, (un) substituted SH, OH, or NH2, CO2H, C1-8 alkyl-carbonyl, C1-8 alkoxy-carbonyl, (un) substituted carbocyclyl or heterocyclyl, (un) substituted C1-8 alkyl or C2-8 alkenyl; or R3 and R4 or R5 and R6 together represents C1-8 alkylene; or R2 and R3, R4 and R5, or R6 and R7 together represent C2-8 alkylene; when R8 = H, (un)substituted C1-8 alkyl, or C1-8 alkoxy-carbonyl, R9 = (un)substituted carbocyclyl; or when R8 = (un) substituted carbocyclyl or heterocyclyl, R9 = (un) substituted C1-8 alkyl or C1-8 alkoxy, (un)substituted carbocyclyl; M = C1-8 alkylene; J = single bond, O, S, NH, C1-8 alkyl-N] are prepared and claimed. Also claimed are matrix metalloproteinases containing I as the active ingredients and drugs containing I as the active ingredients for the prevention and/or treatment of rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontal diseases, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatic cirrhosis, corneal injury, diseases due to metastasis and infiltration of cancer cells or proliferation thereof, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to transmigration of white blood cells or infiltration thereof, neovascularization, multiple sclerosis, aortic aneurysm, or endometritis. For example, the title compound (II) showed IC50 of 26 nM against human stromelysin. A table and an ampule formulation containing II were described. IT

223466-05-5P 223466-31-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobutanoic acid derivs. as inhibitors of matrix metalloproteinases for prevention and treatment of diseases)

RN 223466-05-5 HCAPLUS

CN Butanoic acid, 4-[[[5-(phenylmethoxy)-1H-indol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

$$^{\text{H}}_{\text{N}}$$
 $^{\text{C-}}_{\text{NH-}}$ $^{\text{CH}_2}$ $^{\text{C-}}_{3}$ $^{\text{CO}_{2}}$ $^{\text{H}}_{\text{Ph-}}$ $^{\text{CH}_{2}-}_{3}$ $^{\text{CO}_{2}}$ $^{\text{H}}_{\text{N}}$

RN 223466-31-7 HCAPLUS

CN 1H-Indole-2-carboxamide, N-[4-(hydroxyamino)-4-oxobutyl]-5-(phenylmethoxy)(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \circ & & \circ \\ & & & \parallel & & \parallel \\ & & & C-NH-(CH_2)_3-C-NH-OH \end{array}$$

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 36 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:222914 HCAPLUS

DOCUMENT NUMBER:

130:267341

TITLE:

Preparation of oxindoles as protein tyrosine kinase

and protein serine/threonine kinase inhibitors.

INVENTOR (S):

Davis, Stephen Thomas; Dickerson, Scott Howard; Frye, Stephen Vernon; Harris, Philip Anthony; Hunter, Robert

Neil, III; Kuyper, Lee Frederick; Lackey, Karey

Elizabeth; Luzzio, Michael Joseph; Veal, James Marvin;

Walker, Duncan Herrick

PATENT ASSIGNEE(S): SOURCE:

Glaxo Group Limited, UK PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT 1	PATENT NO.			KIN	D :	DATE			APPL	ICAT:	ION I	. OI		D	ATE	
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	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,

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                           Т3
                                 20041216
                                             ES 1998-951342
                                                                      19980903
    ES 2221211
                                 20020409
                                             US 1999-262351
                                                                      19990304 <--
    US 6369086
                          B1
     MX 200002254
                                 20001030
                                             MX 2000-2254
                                                                      20000303 <--
                          Ά
     US 6387919
                                 20020514
                                             US 2000-486960
                                                                     20000606 <--
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                                             US 2001-924431
     US 2003004351
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                                                                      20010808 <--
                                 20030401
     US 6541503
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     US 2003069430
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                                                                      20011130 <--
                          Α1
PRIORITY APPLN. INFO.:
                                             GB 1997-18913
                                                                     19970905
                                                                  Α
                                             WO 1998-EP5559
                                                                     19980903
                                                                  W
                                             US 1999-262351
                                                                  A3 19990304
                                             US 2000-486960
                                                                  A3 20000606
```

OTHER SOURCE(S):

MARPAT 130:267341

R3 N I

AB Title compds. [I; X = N, CH, CCF3, CA; A = aliphatyl; R1 = H, SH, OH, HOA, heterocyclyl, AHN, A2N, A2NCO, halo, cyano, NO2, etc.; R2 = H, A, HONA, alkoxy, HOA, heterocyclyl, A2NSO2, halo, NO2, OH, ASO2, etc.; R3 = H, A, OH, HOA, A2N, aryl, aryloxy, hydroxyaryl, heterocyclyl, hydroxyheterocyclyl, etc.; R4 = SO3H, SO2A, A2N, A2NCO, heterocyclylamino, heterocyclylsulfonyl, etc.; R5 = H; R1R2, R4R5 = fused ring], were prepared Thus, (Z)-N-(3-hydroxy-2,2-dimethylpropyl)-4-[(7-oxo-6,7-dihydro-1-thia-3,6-diaza-as-indacen-8-ylidenemethyl)amino]benzenesulfonamide [prepared from 8-ethoxymethylene-6,8-dihydro-1-thia-3,6-diaza-as-indacen-7-one and 4-amino-N-(3-hydroxy-2,2-dimethylpropyl)benzenesulfonamide] inhibited protein kinases CDK1, CDK2, and UL97 with IC50 = 1-10 nM.
TT 222034-96-OP 222035-48-5P

IT 222034-96-0P 222035-48-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxindoles as protein tyrosine kinase and protein serine/threonine kinase inhibitors)

RN 222034-96-0 HCAPLUS

CN Benzenesulfonamide, 4-[(1,2-dihydro-2-oxo-4-phenoxy-3H-indol-3-ylidene)hydrazino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & O & O & O \\
 & N & O & O & O \\
 & N - NH & O & O & O
\end{array}$$
OPh

RN 222035-48-5 HCAPLUS

CN Benzenesulfonamide, 4-[(2Z)-(1,2-dihydro-2-oxo-6-phenoxy-3H-indol-3-ylidene)hydrazino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 222036-24-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxindoles as protein tyrosine kinase and protein serine/threonine kinase inhibitors)

RN 222036-24-0 HCAPLUS

CN 1H-Indole-2,3-dione, 6-phenoxy- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:756964 HCAPLUS

DOCUMENT NUMBER: 128:22920

TITLE: Oxindolylquinazoline derivatives as

angiogenesis inhibitors

INVENTOR(S): Thomas, Andrew Peter; Hennequin, Laurent Francois

Andre; Lohmann, Jean-jacques Marcel; Ple, Patrick

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.; Thomas, Andrew

Peter; Hennequin, Laurent Francois Andre; Lohmann,

Jean-Jacques Marcel; Ple, Patrick

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT NO.			DATE	APPLICATION NO).	DATE
WO	9742187				WO 1997-GB1211		19970502 <
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	DK, I	EE, ES,	FI, GB,	GE, GH,	HU, IL, IS, JP, H	Œ, KG,	KP, KR, KZ,
	· LC,	LK, LR,	LS, LT	LU, LV,	MD, MG, MK, MN, N	IW, MX, I	NO, NZ, PL,
	•				SK, TJ, TM, TR, T	T, UA,	UG, US, UZ,
	•				MD, RU, TJ, TM		
	•				AT, BE, CH, DE, I		
	•				SE, BF, BJ, CF, C	G, CI,	CM, GA, GN,
	•		SN, TD				
	9726475				AU 1997-26475		
					EP 1997-918293	3	19970502 <
EP	912557			20030709			
	R: CH, 1						
JP	200051011						
				19971106			19970505 <
US	6265411		B1	20010724	US 1998-180310)	19981106 <
PRIORIT	Y APPLN. II	NFO.:			EP 1996-400956	5 A	19960506
					EP 1996-400957	' A	19960506
					EP 1996-402762	. A	19961217
					EP 1996-402763	A	19961217
					WO 1997-GB1211	. W	19970502
OTHER S	OURCE(S):		MARPAT	128:2292)		

GI

AB Title compds. I [R = H, alkyl, alkoxymethyl, dialkoxymethyl, alkanoyl and the benzene rings may be further substituted] were prepared for use in inhibiting angiogenesis and reducing vascular permeability (no data). Thus, 4,5-dimethoxyanthranilic acid was converted to 6,7-dimethoxyquinazoline by treatment with HCONH2 and was treated with

1-methyloxindole to give 6,7-dimethoxy-4-(1-methyl-3-oxindolyl)quinazoline.

IT 74864-80-5 156232-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxindolylquinazoline derivs. as angiogenesis and vascular permeability inhibitors)

RN 74864-80-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-(methylthio)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 156232-24-5 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

TT 74864-81-6P 199327-49-6P 199327-51-0P 199327-57-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxindolylquinazoline derivs. as **angiogenesis** and vascular permeability inhibitors)

RN 74864-81-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 199327-49-6 HCAPLUS

CN 2H-Indol-2-one, 1-(diethoxymethyl)-1,3-dihydro-3-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

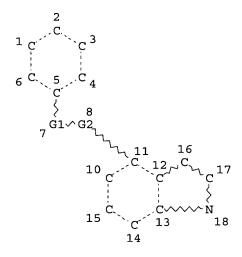
RN 199327-51-0 HCAPLUS

CN 2H-Indol-2-one, 1-(diethoxymethyl)-1,3-dihydro-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 199327-57-6 HCAPLUS

CN 2H-Indol-2-one, 1,3-dihydro-3-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

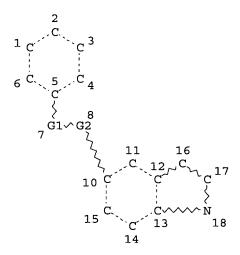
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REP G1=(0-1) CH2 VAR G2=O/S NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

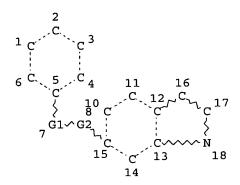
STEREO ATTRIBUTES: NONE L5 STR



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GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 17

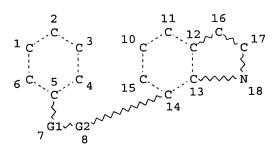
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STEREO ATTRIBUTES: NONE



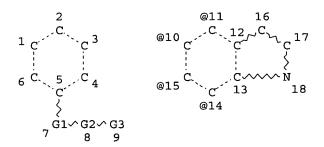
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L12 11678 SEA FILE=REGISTRY SSS FUL L3 OR L5 OR L7 OR L9

L13 STI



REP G1=(0-1) CH2 VAR G2=O/S VAR G3=10/11/14/15 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

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L16	30199	SEA FILE=HCAPLUS ABB=ON PLU=ON ANGIOGENESIS/CV OR ?ANGIOGENE?
L17	44	SEA FILE=HCAPLUS ABB=ON PLU=ON L15 AND L16
L18	37	SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND PD= <september 29,<="" td=""></september>
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L19	41	SEA FILE=HCAPLUS ABB=ON PLU=ON ("ARNOULD J"/AU OR "ARNOULD J
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		"ARNOULD JEAN CLAUDE"/AU)
L20	3	SEA FILE=HCAPLUS ABB=ON PLU=ON L19 AND L15
L21	0	SEA FILE=HCAPLUS ABB=ON PLU=ON L20 NOT L18
L22	38	SEA FILE=HCAPLUS ABB=ON PLU=ON L19 NOT L18
L23	38	SEA FILE=HCAPLUS ABB=ON PLU=ON L21 OR L22

=>

=> d ibib abs hitstr 123 1-38

L23 ANSWER 1 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:1170531 HCAPLUS

DOCUMENT NUMBER: 143:440254

TITLE: Preparation of 3,4-disubstituted maleimides

derivatives as vascular damaging agents

INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven;

Boyle, Francis Thomas; Gibson, Keith Hopkinson

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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                               20051103
                                           WO 2005-GB1553
    WO 2005102997
                                                                   20050422
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            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
            LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,
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            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
                                           EP 2004-291074
PRIORITY APPLN. INFO.:
                                                                A 20040426
GΙ
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$$\begin{array}{c|c}
 & R^1 \\
 & N \\
 & Ar^2 \\
 & N \\$$

AB Title compds. represented by the formula I [wherein Ar1 = (un) substituted Ph, heteroaryl or heterocyclyl; Ar2 = (un) substituted Ph or heteroaryl; Ar3 = (un) substituted heteroaryl; R1 = H, Me, carboxy, alkyl, etc.; R10 = H or alkyl; and their salts thereof] were prepared as vascular damaging agents. For example, reaction of (preparation given) with 3-chloro-4-phenylpyrrole-2,5-dione provided II in 91% yield. II showed inhibition of colchicine binding by 80% at a concentration of 10 μM. Thus, I and their pharmaceutical compns. are useful as vascular damaging agents for the treatment of angiogenesis or disease states associated with angiogenesis.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 2 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 20

2005:962266 HCAPLUS

DOCUMENT NUMBER:

143:266908

TITLE:

Preparation of substituted thieno[2,3-b]pyrroles as

antagonists of GnRH

INVENTOR(S):

Arnould, Jean-Claude; Harris, Craig Steven;

Jones, Paul

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE:

PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIN	D 1	DATE		i	APPL	ICAT	ION 1	NO.		D	ATE	
						-							-		-		
WO	2005	0804	02		A1	:	2005	0901	Ī	WO 2	005-0	GB56	8		20	0050:	217
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕĒ,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚŻ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
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		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	ΡL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	NE,	SN,	TD,	TG	•	•	•	-	-	-	-	•			
RIT	Y APP	PPLN. INFO.:								EP 2	004-	2904	66	7	A 20	0040	220
R SC	TIRCE	(S) ·			MAR	рат .	143 -	2669									

PRIOR

OTHER SOURCE(S): MARPAT 143:266908

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = AB alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetidin-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5 μM. I are useful for treating a sex hormone related condition. THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 3 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 3 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:792784 HCAPLUS

TITLE: Apparatus for supporting and bending glass-sheets and

application for making curved tempered glass-sheets

INVENTOR(S): Arnould, Jean; Pommera, Christian PATENT ASSIGNEE(S): Saint-Gobain Vitrage International, Fr.

SOURCE: Eur. Pat. Appl., No pp. given

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	- -			
EP 452206	A1	19911016	EP 1991-400947	19910409
EP 452206	B1	19941012		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	B, IT, LI, LU, NL, SE	
CA 2039957	AA	19911014	CA 1991-2039957	19910408
CA 2039957	С	20020402		
ES 2064935	T 3	19950201	ES 1991-400947	19910409
US 5292357	Α	19940308	US 1991-684376	19910412

JP 04228434 A2 19920818 JP 1991-171568 19910415 PRIORITY APPLN. INFO.: FR 1990-4806 A 19900413

AB Unavailable

L23 ANSWER 4 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:182888 HCAPLUS

DOCUMENT NUMBER: 140:235695

TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as

antagonists of gonadotropin-releasing hormone (GnRh)

for treating sex hormone related conditions

INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter,

Alexander Graham; Arnould, Jean Claude;

Lamorlette, Maryannick Andree; Delouvrie, Benedicte;

Hamon, Annie

PATENT ASSIGNEE(S): AstraZeneca AB, Swed

SOURCE:

AstraZeneca AB, Swed.; AstraZeneca UK Limited

PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.						DATE		j		ICAT:				D	ATE	
	2004				A1	-	2004	0304	Ī						2	0030	 819
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
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		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
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EP	1543						2005									0030	
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PRIORIT	PRIORITY APPLN. INFO.:								1	EP 2	002-2	2920	74	1	A 20	0020	821
									Ţ	WO 2	003-0	GB36	31	Ţ	v 20	0030	819
OTHER S	TIRCE		MAR	РΔТ	140:	23569	95										

OTHER SOURCE(S): MARPAT 140:235695

GI

$$R^{5}$$
 S
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{2}

AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclylalkyl, heterocyclylheterocyclylalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclylcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 μM.

II

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 5 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:182887 HCAPLUS

DOCUMENT NUMBER: 140:235694

TITLE: Preparation of thieno-pyrrole compounds as antagonists

of gonadotropin releasing hormone

INVENTOR(S): Arnould, Jean Claude

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT :	PATENT NO.					DATE			APPL	ICAT	ION I	NO.		D	ATE	
					-									-		
WO 2004	WO 2004018479 A1 W: AE, AG, AL, AM, AT,						0304	1	WO 2	003-6	GB36	03		2	00308	318
W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,

PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG A1 20050525 EP 2003-748242 20030818 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: EP 2002-292076 A 20020821 WO 2003-GB3603 W 20030818 OTHER SOURCE(S): MARPAT 140:235694 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [A = bond or (un) substituted alkylene; R1 = H, AB (un) substituted alkyl, cycloalkyl, or cycloalkylalkyl; R2 = (un) substituted mono- or bicyclic aromatic ring structure; R4 = H; R5 = (un) substituted heterocyclic ring containing 1-4 heteroatoms selected from O, N and S, hydroxyalkyl, alkylcarbonyl, etc.; R3 and R3a = independently H, (un) substituted alkyl or together represent a carbonyl; R7 = H or (un) substituted alkyl; R8 and X = when X represents CH, R8 represents NO2, when X represents N, R8 is selected from CN, OH, H, alkoxy, etc., or the combination XR8 equals CO] are prepared and disclosed as compds. useful as gonadotropin releasing hormone antagonists. Thus, e.g., II was prepared via condensation of 2-[2-(1,1-dimethyl-2-oxo-2-pyrrolidin-1-ylethyl)-5-(3,5dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethylamine (preparation given) with diphenyl-N-cyanocarbonimidate and subsequent substitution with 3-(pyridin-4-yl)pyrrolidine. I have activity at a concentration from 1nM to 5µM. The invention also relates to pharmaceutical formulations of said compds., methods of treatment using said compds. and to processes for the preparation of said compds.

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 6 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:90033 HCAPLUS

DOCUMENT NUMBER:

136:151337

TITLE:

Preparation of colchinol derivatives as angiogenesis

inhibitors

INVENTOR(S):

Arnould, Jean Claude

PATENT ASSIGNEE(S): SOURCE:

Angiogene Pharmaceuticals Limited, UK

PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2002008213	A1 20020131	WO 2001-GB2964	20010704
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, PL, PT,

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2410562 AΑ 20020131 CA 2001-2410562 20010704 EP 2001-943701 **A1** 20030416 20010704 EP 1301498 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20030506 BR 2001-12225 20010704 BR 2001012225 Α JP 2004504391 T2 20040212 JP 2002-514119 20010704 20040730 20010704 NZ 522661 Α NZ 2001-522661 20010704 EE 200300015 Α 20041015 EE 2003-15 ZA 2002009778 Α 20040302 ZA 2002-9778 20021202 20030106 NO 2003000055 Α 20030106 NO 2003-55 US 2003-332271 20030107 US 2003195173 A1 20031016 US 6720323 B2 20040413 **A1** US 2003-705198 20031112 US 2004142909 20040722 US 6846925 B2 20050125 20000707 EP 2000-401976 Α PRIORITY APPLN. INFO.: EP 2000-401977 Α 20000707 WO 2001-GB2964 W 20010704 US 2003-332271 A1 20030107

OTHER SOURCE(S):

MARPAT 136:151337

GI

Colchinol derivs., such as I [R1-R3 = OH, phosphoryloxy, alkoxy, ester; R4-R6 = alkoxy; R = N(R7)-A-[CH(Ra)]a-B-[CH(Rb)]b-D; A = CO, ester, CONR8; R8 = H, alkyl, alkoxyalkyl, aminoalkyl, hydroxyalkyl; a = an integer from 1 to 4 inclusive; Ra, Rb = H, OH, amino; B = O, CO, N(R9)CO, CON(R9), N(R9)C(O)O, N(R9)CON(R10), N(R9)SO2, SO2N(R9), a direct single bond; R7, R9, R10 = H, alkyl, alkoxyalkyl, aminoalkyl, hydroxyalkyl; b = O or an integer from 1 to 4 inclusive; D = carboxy, sulfo, tetrazolyl, imidazolyl, phosphoryloxy, hydroxy, amino, N-(alkyl)amino, N,N-di(alkyl)amino, etc.], and pharmaceutically acceptable salt, solvate or pro-drug thereof, were prepared for their use as vascular damaging agents. Thus, reaction between colchinol I [R1-R3, R5 = OMe; R4, R6 = H; R = NH2] and 2[2-(tert-butoxycarbonylamino)acetylamino]acetic acid yielded II (R = BOC) which on treatment with TFA afforded colchinol derivative II (R = H). The prepared colchinol derivs. were tested against s.c. CaNT tumors.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 7 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:51447 HCAPLUS

DOCUMENT NUMBER: 136:102557

TITLE: Preparation of colchinol derivatives as vascular

damaging agents

INVENTOR(S): Arnould, Jean Claude; Lamorlette, Maryannick

Andree

PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

GI

Patent English

LANGUAGE: E:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

, PA	PATENT NO.				KIN	D	DATE			APP	LIC	CAT:	I NO	. 01		Γ	ATE	
WO	2002	0044	34		A1	-	2002	0117		WO	200	01-0	3B29	66		2	0010	704
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB	3, I	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	2, 1	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	KE	E, 1	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	J, 1	ΜW,	MX,	MZ,	NO,	NZ,	PL,	PT,
		RO,	RU,	SD,	SE,	SG	SI,	SK,	SL,	TĴ	Ι, :	ΓM,	TR,	TT,	TZ,	UA,	UG,	US,
		UZ,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG	3,]	ΚΖ,	MD,	RU,	ТJ,	TM		
	RW:			•			MZ,	•	•		•		-				-	-
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΊ	[,]	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM	GA,		-		-			-				
CA	2411	160			AA		2002	0117		CA	20	01-2	2411	160		2	0010	704
EP	1301																0010	
	R:	ΑT,	ΒE,	CH,	DE,	DK	ES,	FR,	GB,	GR	ζ, :	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	, RO,	MK,	CY,	ΑL	٦, ٦	Γ R						
	2001							0610		BR	20	01-:	12224	4		2	0010	704
JP	2004	5027	66		T2		2004	0129		JP	20	02-5	5093	00		2	0010	704
NZ	5228	61			Α		2004									_	0010	704
z_{A}	2002	0097	76		Α		2004	0302		ZA	20	02-9	9776			2	0021	202
NO	2003	0000	56		Α		2003	0106									0030	
PRIORIT	Y APP	LN.	INFO	.:										-			0000	707
										WO	20	01-0	3B29	66		W 2	0010	704
OTHER S	OURCE	(S):			MAR	PAT	136:	1025	57									

$$R^3$$
 R^4
 $N(R^8)R^9$
 R^4
 $OCOR^5$
 MeO
 $OCO(CH_2)_3COR$
 II

AB Colchinol derivs., such as I [R1 - R3 = OH, phosphoryloxy, alkoxy; R4 = R6 = H, NO2, NH2, alkylamino, OH, F, alkoxy, alkyl; R5 = A-X-Y-B; A = alkylene, (CH2)p-Q; p = 1-2; Q = phenylene, thienylene; X = O, CO, ester, amide, amino, etc.; Y = alkylene; B = carboxy, sulfo, phosphoryloxy, hydroxy, amino, heterocyclic group, etc.; R8 = CO, ester, amino, amide, SO2, etc.; R9 = H, alkyl], and pharmaceutically acceptable salt, solvate or pro-drug thereof, were prepared for their use as vascular damaging agents in a warm blooded animal. Thus, reaction between glutaric anhydride and N-acetylpiperazine yielded 5-(4-acetylpiperazin-1-yl)-5-oxopentanoic acid which on condensation with N-acetyl colchinol afforded colchinol derivative II

(R = 4-acetylpiperazin-1-yl). The prepared colchinol derivs. were tested against s.c. CaNT tumors.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:475616 HCAPLUS

DOCUMENT NUMBER: 133:89673

TITLE: Preparation of colchinol derivatives for use as

vascular damaging agents

INVENTOR(S): Davis, Peter David; Arnould, Jean-Claude;

Boyle, Francis Thomas

PATENT ASSIGNEE(S): Angiogene Pharmaceuticals Ltd., UK

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.				KINI)	DATE				LICAT				D	ATE	
WO	2000	0405:	 29		A1	-	2000	0713			1999-				1	 9991	224
	W:	ΑE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG	, BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD	, GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC	, LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL	, PT,	RO,	RŲ,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG	US,	UΖ,	VN,	YU,	ZA,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM								
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		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG				
CA	2355	302			AA		2000	0713		CA	1999-	2355	302		1	9991	224
EP	1140	745			A1		2001	1010		ΕP	1999-	9624	68		1	9991	224
EP	1140	745			В1		2003	1022									
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	•											
	9916				Α		2001	1204			1999-					9991	224
JP	2002						2002	1015		JP	2000-	5922	41		1	9991	224
AU	7608	30			В2		2003	0522			2000-		_			9991	
AT	2525	29			E		2003	1115			1999-					9991	
NZ	5123	98			Α		2003	1128			1999-				_	9991	
PT	1140	745			Т		2004				1999-					9991	
	2211				Т3		2004				1999-					9991	
	2001				Α		2002				2001-				_	0010	
	2001				Α		2001	0905			2001-					0010	
PRIORIT	Y APP	LN.	INFO	.:						1999-							
										WO	1999-	GB44	36	1	W 1	9991	224

OTHER SOURCE(S): MARPAT 133:89673

GI

$$R^{3}O$$
 $R^{2}O$
 R^{4}
 R^{5}
 R^{6}
 R^{6}

AB Colchinol derivs., such as I [X = CO, CS, C:NOH, CHR7, etc.; R1, R2, R3 = H, phosphate, sulfate, alkyl, etc.; R4, R5, R6 = H, OH, NO2, NH2, phosphate, phosphonate, halogen, carboxy, carbamoyl, acyl, etc.; R7 = H, OH, alkoxy, amino, acylamino, etc.] were prepared and formulated for use as vascular damaging agents in the treatment of a number of disease states including cancer and rheumatoid arthritis. Thus, colchinol derivative II [R5 = OCO(CH2)2NHCOC2NH2] was prepared starting from N-acetylcolchinol, β-alanine Et ester hydrochloride, and N-(tert-butoxycarbonyl)glycine. Pharmaceutical compns. containing the prepared colchinol derivs. were also presented.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 9 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:282206 HCAPLUS

DOCUMENT NUMBER:

130:325147

TITLE:

Imidazole amine derivatives and their use as farnesyl

protein transferase inhibitors

INVENTOR(S): Arnould, Jean-Claude

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.

SOURCE:

PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	K										D	ATE		
												-		
WO 992	0612		A1	19990	1429	M	VO 1	998-0	GB31	15		1	9981	019
W:	AL, AM,	AT, A	J, AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
	DK, EE,	ES, F	I, GB,	GE,	GH,	GM,	HR,	HU,	ID,	ΙL,	IS,	JP,	ΚE,	KG,
	KP, KR,	KZ, L	С, LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
	NO, NZ,	PL, P	г, RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,
	UA, UG,	US, U	z, VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
RW	: GH, GM,	KE, L	s, MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
	FI, FR,	GB, G	R, IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
	CM, GA,	GN, G	W, ML,	MR,	ΝE,	SN,	TD,	TG						
AU 989	4529		A1	19990	510	P	\U 1	998-9	94529	9		1:	9981	019
EP 102	5089		A1	20000	809	E	EP 1:	998-9	94769	92		1:	9981	019
R:	AT, BE,	CH, D	E, DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
	IE, SI,	LT, L	V, FI,	RO										
JP 200	1520222		Г2	20013	L030	J	JP 2	000-9	51699	54		1:	9981	19
US 641	0539		31	20020	0625	τ	JS 2	000-	5094	76		2	0000	324
PRIORITY AP	PLN. INFO).:				E	EP 1:	997-4	1025	03	7	A 1:	9971	022
						E	EP 1:	997-4	10250)4	7	A 1:	9971	022
				W	VO 1	998-0	3B31	15	7	W 1	9981	119		

OTHER SOURCE(S): MARPAT 130:325147

GΙ

$$Ar^{1}$$
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{1}
 R^{2}
 R^{1}
 $R^{$

The invention relates to compds. I [Ar1 = certain (un)substituted AΒ 1H-imidazol-1-yl, -2-yl, or -5-yl subunits; R1 = H, C1-4 alkyl or alkanoyl; R12, R13 = H or C1-4 alkyl; Ar2 = Ph or heteroaryl; p = 0 or 1; Ar3 = (un)substituted Ph or certain 6-membered N heterocycles with 1 or 2 N atoms, and bearing groups R2 and -(CH2)nR3 which are attached to ring carbon atoms; R2 = CONHCR7R8COR9 or γ -butyrolacton-2-ylaminocarbonyl; n = 0, 1, or 2; R3 = Ph or heteroaryl; R7 = H or C1-4 alkyl; R8 = (CH2) qR10 where q = 0-4 and R10 = OH, alkylsulfanyl, alkoxy, (un) substituted carbamoyl, Ph, thienyl, etc.; R9 = OH, alkoxy, alkylsulfonylamino, etc.; with provisos] and their pharmaceuticallyacceptable salts, prodrugs, or solvates. Also disclosed are processes for their preparation, their use as therapeutic agents, and pharmaceutical compns. containing them. As inhibitors of the farnesylation of ras proteins by farnesyl protein transferase (FPTase), I are particularly useful in cancer therapy. Over 20 synthetic examples are given. For instance, Me 4-amino-2-(4-fluorophenyl)benzoate underwent imine condensation with 1-(4-fluorophenyl)-2-(imidazol-1-yl)ethanone in the presence of TiCl4, followed by reduction with NaBH3CN (52%), hydrolysis of the Me ester (95%), and amidation with L-methionine Me ester HCl (80%), to give title compound II. Compds. I inhibited FPTase in vitro with IC50 values generally in the range of $0.0005-50 \mu M$.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:282205 HCAPLUS

DOCUMENT NUMBER: 130:325146

TITLE: Imidazole ether derivatives and their use as farnesyl

protein transferase inhibitors

INVENTOR(S): Arnould, Jean-Claude

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

																	DAT	E	
								0429									199	810	19
	W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR	?, E	BY,	CA,	CH,	CN,	CU	, C	Ζ,	DE,
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		KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU	J, I	ĹV,	MD,	MG,	MK,	MN	, M	W,	MX,
								SD,											
		UA,	UG,	US,	UΖ,	VN,	ΥU,	ZW,	AM,	ΑZ	, E	BY,	KG,	ΚZ,	MD,	RÜ	, т	J,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	ŪĠ,	ZW	1, I	AT,	BE,	CH,	CY,	DE	, D	Κ,	ES,
		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NI	, I	PΤ,	SE,	BF,	ВJ,	CF	, C	G,	CI,
								NE,											
AU	9894	530			A1		1999	0510	1	ΑU	199	98-9	9453	0			199	810	19
EP	1025	880			A1		2000	0809	1	ΕP	199	98-9	9476	94			199	810	19
EP	1025	880			B1		2001	0905											
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	≀,]	ΙΤ,	LI,	LU,	NL,	SE	, M	C,	PT,
							RO												
AT	2051	95			E		2001	0915	- 2	ΑT	199	98-9	9476	94			199	810	19
JP	2001	5244	55		T2		2001	1204		JP	200	9-00	5169!	53			199	810	19
ES	2163	295			Т3		2002	0116]	ES	199	98-9	9476	94			199	810	19
PT	1025	880			\mathbf{T}		2002	0130		PT	199	98-9	9476	94			199	810	19
	6342	765			B1			0129						10			200		
US	2002	0523	76		A1		2002	0502	1	US	200	01-9	9559	94			200	109	920
US	2002	0586	65		A1		2002	0516	1	US	200	01-9	9560	05			200	109	920
PRIORITY	Y APP	LN.	INFO	. :										02		Α	199	710)22
									1	ΕP	199	97-4	1025	05		A	199	710)22
									1	WO	199	98-0	3B31	17		W	199	810	19
										US	200	00-5	5092	10		А3	200	003	324
OTHER SO	OURCE	(S):			MAR	PAT	130:	32514	6										

Page 337

$$R^{12}$$
 R^{13} O O Ar^2 $(CH_2)_p$ Ar^3 I

The invention relates to compds. I [wherein Ar1 = (un)substituted AB imidazol-1-yl, -2-yl, or -5-yl; R12 and R13 are independently H or C1-4 alkyl; Ar2 = Ph or heteroaryl; p = 0 or 1; Ar3 = Ph, pyridinyl, pyridazinyl, pyrimidyl or pyrazynyl, with the ring being substituted on ring C atoms by R2 and -(CH2)nR3, and with Ar3 being attached via a ring C atom; R2 = -CONHCR7R8COR9, or a γ -butyrolacton-2-ylaminocarbonyl group; n = 0, 1 or 2; R3 = Ph or heteroaryl] and the pharmaceutically acceptable salts, prodrugs, and solvates thereof. Also disclosed are processes for their preparation, their use as therapeutic agents, and pharmaceutical compns. containing them. As inhibitors of farnesyl protein transferase (FPTase), and particularly as inhibitors of the farnesylation of the protein ras, I are useful in cancer therapy. Approx. 90 compds. I were prepared For instance, 2-(4-fluorophenyl)-4-[[2-(imidazol-1-yl)-1--(4fluorophenyl)ethoxy]methyl]benzoic acid (preparation in 5 steps given) was amidated with L-methionine Me ester hydrochloride using EDC, HOBT, and N-methylmorpholine in CH2Cl2 (75% yield), followed by hydrolysis of the Me ester using aqueous NaOH in MeOH (65%), to give title compound II. As inhibitors of FPTase, I in general had IC50 values in the range of 0.0005 to 50 μ M, and II had an IC50 of 0.001 μ M.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 11 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:527321 HCAPLUS

DOCUMENT NUMBER: 129:161561

TITLE: Preparation of [(imidazolylalkenyl)benzamido]alkanoic

acids and their analogs and derivatives as inhibitors

of farnesyl protein transferase

INVENTOR(S): Arnould, Jean-Claude; Boyle, Francis Thomas;

Davies, Gareth Morse; Wardleworth, James Michael

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca Pharma S.A.

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE:

English

Ι

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

PA'	TENT :	NO.			KINI)	DATE			APP	LICAT	ION I	. 01		Ι	ATE	
	9832									WO	1998-0	GB23	 D		1	9980	127
											, BY,						
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW	, HU,	ID,	IL,	IS,	JP,	KE,	KG,
		ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU	, LV,	MD,	MG,	MK,	MN,	MW,	MX,
		NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG	, SI,	SK,	SL,	TJ,	TM,	TR,	TT,
		UA,	ŪĠ,	US,	UZ,	VN,	ΥU,	ZW,	AM,	ΑZ	, BY,	KG,	ΚZ,	MD,	RŲ,	ТJ,	TM
	RW:										, AT,						
		FR,	GB,	GR,	ΙĒ,	IT,	LU,	MC,	NL,	PT	, SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		GA,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG								
. AU	9857	726			A1		1998	0818		ΑU	1998-	5772	6		1	19980	127
EP										ΕP	1998-	9013	89		1	19980	127
	R:	CH,	DE,	FR,	GB,	ΙT,	LI,	SE									
JP	2001						2001	0710		JР	1998-	5317	47		1	19980	127
	9800				Α			0729			1998-				_	19980	
	6414										1999-					19990	
US	2003	2204	95		A1		2003	1127			2002-					20020	
PRIORIT	Y APP	LN.	INFO	.:							1997-						
											1998-					19980	
										US	1999-	3554	40		A1 :	19990	728
OTHER S GI	OURCE	(S):			MAR	PAT	129:	16156	51								

$$(R^3)_q - B R^1$$

 $T - (CHR^4)_p - C - CH - A - Z - R^2$
 $X Y$

The invention relates to inhibitors of ras farnesylation, having formula I [wherein T = (un) substituted imidazolyl; A, B = aryl, heteroaryl; X, Y = H; or XY = pi bond; R1 = (carboxyalkyl) carbamoyl or derivs., having L or D

II

configuration at the chiral alpha carbon in the corresponding free amino acid; R2 = H, aryl, heteroaryl; Z = bond, CH2, CH2CH2, C:CH2, O, CH2O, or OCH2; and R3 = H, alkyl, halo, OH, alkoxy, alkanoyl, (un)substituted amino, etc.; p, q = 0-3; R4 = H, alkyl], and their pharmaceutically acceptable salts, prodrugs, and solvates. Processes for their preparation, their use as therapeutic agents (especially for cancer), and pharmaceutical compns. containing them are also disclosed. For example, Wittig reaction of [(4-cyanophenyl)methyl]triphenylphosphonium chloride with 2-(imidazol-1-yl)propiophenone, followed by acid hydrolysis of the cyano group, amidation with L-methionine Me ester-HCl, and alkaline ester hydrolysis, gave title compound II. In an assay against farnesylation of Kras using human placental farnesyl protein transferase in vitro, IC50 values ranged from 0.0005 to 50 μM , with that of II being 0.15 μM .

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 12 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:673301 HCAPLUS

DOCUMENT NUMBER: 126:44808

TITLE: Synthesis and antibacterial activity of lipophilic

carbapenems with anti-MRSA activity

AUTHOR(S): Arnould, Jean Claude; Illingworth, Ruth N.;

Nichols, Wright W.; Wilson, R. Geoffrey

CORPORATE SOURCE: Zeneca Pharma Centre Recherches, Reims, 51689, Fr. SOURCE: Bioorganic & Medicinal Chemistry Letters (1996),

6(20), 2449-2454

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of S- and C-linked lipophilic carbapenems was prepared and evaluated for antibacterial activity in vitro and in vivo and for affinity for penicillin-binding protein (PBP) 2' of Staphylococcus aureus. Potent activity in vitro against methicillin-resistant S. aureus and methicillin-resistant coagulase-neg. staphylococci was observed despite IC50 values for PBP2' being higher than the MIC.

L23 ANSWER 13 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:397515 HCAPLUS

DOCUMENT NUMBER: 125:194695

TITLE: Convenient synthesis of aromatic thiols from phenols

AUTHOR(S): Arnould, Jean Claude; Didelot, Myriam; Cadilhac, Caroline; Pasquet, Marie Jeanne

CORPORATE SOURCE: Centre Recherches, Zeneca Pharma, Reims, 51689, Fr.

SOURCE: Tetrahedron Letters (1996), 37(26), 4523-4524

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 125:194695

GΙ

AB Aromatic thiols were prepared from phenols in good yield and under mild conditions by reaction of the corresponding triflates with sodium triisopropylsilanethiolate (NaSTIPS) and subsequent deprotection. For example, the treatment of 4-hydroxyacetophenone with triflic anhydride and sodium triisopropylsilanethiolate followed by deprotection gave the disulfide I. Also, 6-hydroxy-1-indanone was converted into 2,3-dihydro-6-mercapto-1H-inden-1-one.

L23 ANSWER 14 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:256100 HCAPLUS

DOCUMENT NUMBER: 124:316867

TITLE: Carbapenem derivatives containing a bicyclic

substituent

INVENTOR(S): Arnould, Jean-Claude

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 695753	A1	19960207	EP 1995-305428	19950803
R: AT, BE, CH,	DE, DK	, ES, FR, GB,	GR, IE, IT, LI, LU,	MC, NL, PT, SE
US 5607928	Α	19970304	US 1995-508698	19950728
CA 2155493	AA	19960206	CA 1995-2155493	19950804
JP 08059664	A2	19960305	JP 1995-201126	19950807
PRIORITY APPLN. INFO.:			EP 1994-401814	A 19940805
OTHER SOURCE(S):	MARPAT	124:316867		
CT				

$$R^1$$
 R^2
 CH_2XR
 Me
 CH_2O
 CO_2H I
 CO_2Na
 II

AB Bactericidal (no data) carbapenems I [R = aryl, heteroaryl; R1 = CH2OH, CHMeOH, CHMeF; R2 = H, C1-4 alkyl; X = O, S] and pharmaceutically acceptable salts or in vivo hydrolyzable esters thereof, were prepared Thus, (3S,4R,1'R,1''R)-1-(allyloxycarbonyltriphenylphosphoranylidenemethyl)-3-(1-hydroxyethyl)-4-[1-(hydroxymethylcarbonyl)ethyl]azetidin-2-one was treated with 5-hydroxy-1-tetralone, followed by ester hydrolysis to give the carbapenem II.

L23 ANSWER 15 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:190505 HCAPLUS

DOCUMENT NUMBER: 124:275460

TITLE: Effects of annealing in oxygen and nitrogen atmosphere

on float-zone silicon wafers

AUTHOR(S): Gay, N.; Floret, F.; Martinuzzi, S.; Roux, L.;

Arnould, J.; Mathieu, G.

CORPORATE SOURCE: Laboratoire Photoelectricite des semi-conducteurs,

Faculte des Sciences et Techniques, Marseille, 13397,

Fr.

SOURCE: Materials Science & Engineering, B: Solid-State

Materials for Advanced Technology (1996), B36(1-3),

125-08

CODEN: MSBTEK; ISSN: 0921-5107

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

AB Float-zone silicon wafers were submitted to high temperature annealings during long times in oxygen and in nitrogen atmospheric in order to reproduce the same treatments which are necessary to develop power and high voltage transistors or diodes. It is shown by elec. techniques (microwave

transistors or diodes. It is shown by elec. techniques (microwave detected photocond. decay and surface photovoltage) and by revelation techniques (scanning IR microscope, x-ray topog., Fourier transformed IR spectroscopy, chemical etching) that annealings in nitrogen added to

annealings in oxygen have a deleterious effect on the lifetime of minority carriers and can create dislocations and ppts.

L23 ANSWER 16 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:605125 HCAPLUS

DOCUMENT NUMBER: 121:205125

TITLE: Preparation of [[(carboxyheterocyclyl)carbamoyl]pyrrol

idinylthio]carbapenems as antibiotics

INVENTOR(S): Jung, Frederic Henri; Arnould, Jean Claude

PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 581500	A1	19940202	EP 1993-305607	19930716
EP 581500	B1	19980909		
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IE, IT, LI, LU,	MC, NL, PT, SE
CA 2099818	AA	19940122	CA 1993-2099818	19930705
AT 170859	E	19980915	AT 1993-305607	19930716
ES 2121585	Т3	19981201	ES 1993-305607	19930716
JP 06179674	A2	19940628	JP 1993-177903	19930719
US 5441949	Α	19950815	US 1994-307048	19940916
PRIORITY APPLN. INFO.:			EP 1992-402105	A 19920721
			US 1993-86836	B1 19930707

OTHER SOURCE(S): MARPAT 121:205125

GΙ

Ι

Title compds. [I; R1 = MeCH(OH), MeCHF, CH2OH; R2,R3 = H, alkyl; Z = AB (iso)quinolinediyl, quinazolinediyl, quinoxalinediyl, etc.] were prepared Thus, disodium (1R,5S,6S,8R,2'S,4'S)-2-[2-(8-carboxyquinol-6ylcarbamoyl)pyrrolidin-4-ylthio]-6-(1-hydroxyethyl)-1-methylcarbapenem-3carboxylate, prepared in 5 steps from 6-amino-8-carboxyquinoline (preparation given), had MIC of 0.13 and 0.03µg/mL against Staphylococcus aureus Oxford and Escherichia coli DCO, resp.

L23 ANSWER 17 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:80676 HCAPLUS

DOCUMENT NUMBER: 118:80676

New applications of the Mitsunobu reaction in the TITLE:

synthesis of C-2 N-methyl carbapenems

Arnould, J. C.; Landier, F.; Pasquet, M. J. AUTHOR(S):

Cent. Rech., ICI Pharma, Reims, 51100, Fr. CORPORATE SOURCE: Tetrahedron Letters (1992), 33(47), 7133-6 SOURCE:

CODEN: TELEAY; ISSN: 0040-4039

Journal DOCUMENT TYPE: English LANGUAGE:

CASREACT 118:80676 OTHER SOURCE(S):

GI

Me
$$CH_2R$$
 $CO_2CH_2CH=CH_2$

N-Acyl amides and N-acylamino heterocycles reacted regioselectively with AB 2-hydroxymethylcarbapenems I (R = OH, R1 = H, SiMe2CMe3) under Mitsunobu conditions to give I (R = NR2CO2CH2CH:CH2, R2 = 3pyridyl, C6H4CH2NHCO2CH2CH: CH2-4, C6H4CH2OSiMe2CMe3-4, CH2CH2NHCO2CH2CH:CH2, 2-imidazolyl, substituted thiazolyl, pyrimidinyl, CO2CH2CH:CH2).

L23 ANSWER 18 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

1992:511326 HCAPLUS ACCESSION NUMBER:

117:111326 DOCUMENT NUMBER:

Synthesis and antibacterial activity of C-4 TITLE:

substituted monobactams

Arnould, J. C.; Boutron, P.; Pasquet, M. J. AUTHOR(S): Cent. Rech., ICI-Pharma, Reims, 51064, Fr.

CORPORATE SOURCE:

European Journal of Medicinal Chemistry (1992), 27(2), SOURCE:

131-40

CODEN: EJMCA5; ISSN: 0223-5234

Journal DOCUMENT TYPE:

English LANGUAGE:

GT

Monobactams I [R = Me, CMe2CO2H; R1 = OEt, OH, NHCH2CO2H, NHCH2CO2Me, AB NHCH2CN, NHC6H3(OH)2-3,4, 4-methylpiperazino, NHCH2CH2R2; R2 = NH2, 1-methyl-4-pyridiniumylamino, 2-thioxoimidazolidin-1-yl (Q), 3,4-(HO)2C6H3CONH] were prepared from 6-aminopenicillanic acid. R1 = OH, NHCH2CO2H, NHCH2CH2Q) showed good to moderate activity against Gram-neg. bacteria with the exception of Pseudomonas aeruginosa. Introduction of a catechol moiety on the C(4) side chain only slightly improved the activity against P. aeruginosa.

L23 ANSWER 19 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

1992:448157 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 117:48157

TITLE: Synthesis and structure-activity relationships of

cephalosporins with C-3' catechol-containing residues

Arnould, J. C.; Bertrandie, A.; Bird, T. G. AUTHOR(S):

C.; Boucherot, D.; Jung, F.; Lohmann, J. J.; Olivier,

A.; Bailey, J. P.; Bell, W.; Davies, G. M.

CORPORATE SOURCE: Cent. Rech. Chem. Vrilly, ICI Pharma, Reims, 51100,

Fr.

SOURCE: Journal of Medicinal Chemistry (1992), 35(14), 2631-42

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal LANGUAGE: English

GI

$$N$$
 $CCONH$
 S
 N
 CH_2NHCO
 O
 N
 $OCMe_2CO_2H$
 $OCMe_2CO_2H$

Cephalosporins with new catechol substituents at C-3' have been AB synthesized, including novel compds. with C-3' C-C bonds. Many of these compds. have high potency against gram-neg. bacteria, in particular against resistant strains like Pseudomonas aeruginosa. Structure-activity relationships are discussed in terms of their dependence on the pKa of the C-3' catechol and also in terms of steric and conformational factors of the C-3' substituent. The best overall properties were found in compds. with a bulky and/or conformationally restricted acidic C-3' catechol, such as I (R = H, cyano).

L23 ANSWER 20 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:448155 HCAPLUS

DOCUMENT NUMBER:

TITLE: Pharmacokinetics of catechol cephalosporins.

effect of incorporating substituents into the catechol

Ι

moiety on pharmacokinetics in a marmoset model

Bird, T. G. C.; Arnould, J. C.; Bertrandie,

A.; Jung, F. H.

Cent. Rech., ICI PHARMA, Reims, 51064, Fr. CORPORATE SOURCE:

SOURCE:

Journal of Medicinal Chemistry (1992), 35(14), 2643-51

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

LANGUAGE:

AUTHOR (S):

English

GI

Two series of cephalosporins (38 compds.) have been synthesized, bearing AB at C-3' catechols substituted with various electron-withdrawing groups and differing links, and were evaluated for their in vitro antibacterial activity and their pharmacokinetics in marmosets. Compds. bearing an isobutyric oxime substituent, proved to be highly active against Gram-neg. organisms and were especially noteworthy for showing long elimination phase (β) half-lives in marmosets. It was established that introduction of electron-withdrawing substituents greatly increased the β half-lives of compds. (I, R = H, t1/2 = 1.25 h, serum concentration = 27 mg/h per L; I, R

5-Cl, t1/2 = 4.5 h, serum concentration = 638 mg/h per L) and that the nature of

the link also influenced t1/2. Acidities (pKa values) of the substituted catechols were measured, and relationships between the acidities and half-lives were evaluated. Thus it was established that the more acidic catechols gave the longest half-lives (I, R = 2.5-Cl2, t1/2 = 8.2 h, serum concentration = 461 mg/h per L). Further elaboration of the catechol to bicyclic

systems maintained good pharmacokinetics when the pKa was sufficiently

L23 ANSWER 21 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1989:514966 HCAPLUS

DOCUMENT NUMBER:

111:114966

TITLE:

Cephalosporin compounds, process for their preparation

and their pharmaceutical compositions

INVENTOR (S):

Arnould, Jean Claude; Bird, Thomas Geoffrey

Colerick

PATENT ASSIGNEE(S):

ICI-Pharma S. A., Fr.

SOURCE:

Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP 3	304155			A2		1989	0222		ΕP	1988-	3063	55			19880712
EP 3	304155			A3		1990	1031								
EP 3	304155			B1		1995	1115								
	R: AT,	BE,	CH,	DE,	ES,	FR,	GB,	GR,	ΙΊ	C, LI,	LU,	NL,	SE		
AT 3	130299			E		1995	1215		AT	1988-	3063	55			19880712
US S	5013730			Α		1991	0507		US	1988-	2197	79			19880718
JP (01040488			A2		1989	0210		JP	1988-	1819	58			19880722
PRIORITY	APPLN.	INFO	. :						ΕP	1987-	4017	20		Α	19870723
OTHER SOU	URCE(S):			MARF	TA	111:	11496	6							
CT															

AB Title compds. I [A = syn-R2ON (R2 = H, C1-6 alkyl, C3-8 cycloalkyl, C1-3-alkyl-C3-6-cycloalkyl, PhNHCO, PhCH2NHCO, C1-5 cyanoalkyl, 2-amidinoethyl, thietan-3-yl, 2-oxopyrrolidinyl, etc.); R1 = 5-aminoisothiazol-3-yl, 5-amino-1,2,4-thiadiazol-3-yl, 3-aminopyrazol-5-yl, 4-aminopyrimidin-2-yl; R4 = H, MeO, HCONH; R5 = H, C1-4 alkyl, halo-C1-4-alkyl, C3-6 alkenyl, Ph-C1-4-alkyl, 5-6-membered heteroaryl-C1-4-alkyl, etc.; R6 = substituted N-containing heterocyclyl] and their N-oxides, salts, and cations, useful as antibiotics (no data), were prepared To 3-(aminomethyl)-7-[2-(2-aminothiazol-4-yl)-2-[(Z)-1-carboxy-1-methylethoxyimino]acetamido]ceph-3-em-4-carboxylic acid in DMF was added Et3N and 1-(3,4-diacetoxybenzoylmethyl)-4-(methylthio)pyrimidium chloride (preparation given) to give 7-[(2-aminothiazol-4-yl)-2-[(Z)-1-carboxy-1-methylethoxyimino]acetamido]-3-[N-[1-(3,4-diacetoxybenzoylmethyl)-4-pyrimidino]aminomethyl]ceph-3-em-4-carboxylic acid.

L23 ANSWER 22 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

Ι

ACCESSION NUMBER: 1989:514965 HCAPLUS

DOCUMENT NUMBER: 111:114965

TITLE: Preparation of (carboxamidomethyl)cephemcarboxylic

acids as antibiotics

INVENTOR(S): Arnould, Jean Claude; Jung, Frederick Henri;

Boucherot, Dominique; Strawson, Colin John; Davies,

David Huw

PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK; ICI-Pharma S. A.

SOURCE: Eur. Pat. Appl., 78 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304158	A1	19890222	EP 1988-306420	19880713
EP 304158	B1	19940622		

R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

HU	47942	A2	19890428	HU	1988-3692		19880715
HU	201949	В	19910128				
FI	8803439	Α	19890124	FΙ	1988-3439		19880720
ZA	8805271	Α	19890329	ZA	1988-5271		19880720
DK	8804148	Α	19890124	DK	1988-4148		19880722
NO	8803275	Α	19890124	NO	1988-3275		19880722
AU	8819762	A1	19890127	ΑU	1988-19762		19880722
JP	01093592	A2	19890412	JP	1988-182006		19880722
CN	1031378	Α	19890301	CN	1988-106393		19880723
US	5019570	Α	19910528	US	1988-223988		19880725
US	5232918	A	19930803	US	1991-653149		19910211
US	5371220	A	19941206	US	1992-886392		19920521
PRIORITY	Y APPLN. INFO.:			ΕP	1987-401718	Α	19870723
				US	1988-223988	A3	19880725
				US	1991-653149	A3	19910211

OTHER SOURCE(S): MARPAT 111:114965

GI For diagram(s), see printed CA Issue.

Cephalosporins having Q as a 3-position substituent [R1 = H, (substituted) AB C1-6 alkyl, etc.; Het = 5- or 6-membered heterocyclic ring Q1, Q2; A = CH, N: B = O, S, etc.; 1 or 2 of D, E, F, and G = N, the remainder = CH; or Het = pyrazinone, pyridinone, etc.; Het is fused by any 2 adjacent C atoms to the benzene ring and is bonded via a C atom to the CH2NR1CO group; R2, R3 = OH, in vivo hydrolyzable ester thereof; R3 is ortho to R2] were prepared as antibiotics. Reaction of 6,7-bis(phenylacetoxy)-1,4-dihydro-1ethyl-4-oxoquinoline-3-carbonyl chloride with 3-(aminomethyl)-7-[2-(2amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1-methylethoxy)imino]]acetamido]ceph-3-em-4-carboxylic acid in DMF containing Et3N, followed by deprotection and workup, gave 7-[2-(2-amino-4-thiazolyl)-2-[(Z)-[(1-carboxy-1methylethoxy)imino]]acetamido]-3-[(1,4-dihydro-1-ethyl-6,7-dihydroxy-4oxoquinolin-3-carboxamido) methyl]ceph-3-em-4-carboxylic acid (I). I had min. inhibitory concns. of 0.008 µg/mL and 16 µg/mL, resp., against Escherichia coli DCO and Staphylococcus aureus 147 N.

L23 ANSWER 23 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1988:492660 HCAPLUS

DOCUMENT NUMBER:

109:92660

TITLE:

Preparation of aminomethylcephem derivatives as

antibiotics

INVENTOR(S):

Arnould, Jean Claude; Lohmann, Jean Jacques;

Pasquet, Georges

PATENT ASSIGNEE(S):

ICI-Pharma S. A., Fr.

SOURCE:

Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 225182	A2	19870610	EP 1986-309279	19861127
EP 225182 EP 225182	A3 B1	19881214 19930210		
R: AT, BE, CH,		, FR, GB,	GR, IT, LI, LU, NL, SE	
ZA 8608506	Α	19870729	ZA 1986-8506	19861107
AU 8665145	A1	19870604	AU 1986-65145	19861114
AU 585091	B2	19890608		
HU 43078	A2	19870928	HU 1986-4885	19861126
HU 196813	В	19890130		
DK 8605717	A	19870528	DK 1986-5717	19861127

FI 8604836	Α	19870528	FI	1986-4836		19861127
JP 62155286	A2	19870710	JP	1986-280947		19861127
AT 85616	E	19930215	AT	1986-309279		19861127
US 5013731	Α	19910507	US	1990-512069		19900419
PRIORITY APPLN. INFO.:			EP	1985-402331	Α	19851127
			US	1986-936721	B1	19861125
			EP	1986-309279	A	19861127

GI

AB The title compds. I [X = S, O, CH2, SO (R or S configuration); R1 =(substituted) 2-aminothiazol-4-yl, 2-aminooxazol-4-yl, 5-aminoisothiazol-3-yl, 5-amino-1,2,4-thiadiazol-3-yl, 3-aminopyrazol-5-yl, 3-aminopyrazol-4-yl, 2-aminopyrimidin-5-yl, 2-aminopyrid-6-yl, 4-aminopyrimidin-2-yl, 2-amino-1,3,4-thiadiazol-5-yl, 5-amino-1-methyl-1,2,4-triazol-3-yl; R50 = chloromethylene, :NOR2 wherein R2 = H, (substituted) C1-6 alkyl, C3-8 cycloalkyl, etc.; R3 = H, MeO; R4 = H, C1-4 alkyl, halo(C1-4)alkyl, hydroxy(C1-4)alkyl, etc.; R5 = aromatic heterocyclic fused ring system linked via C], useful as antibiotics, were prepared via II and III. A mixture of 3-ethylaminomethyl-7-[2-(2-aminothiazol-4-yl)-2-((Z)-1-carboxy-1-methylethoxyimino)acetamido]ceph-3-em-4carboxylic acid and 1,7-dimethyl-4-methylthiopyrazolo[3,4-d]pyrimidine in DMF containing Et3N was heated at 50° for 3 h to give IV. I are said to have in vitro MIC50 values of <4 µg/mL against Staphylococcus aureus.

L23 ANSWER 24 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1984:406818 HCAPLUS

DOCUMENT NUMBER: 101:6818

TITLE: Halophenyl glyceride esters

Arnould, Jean Claude; Evans, John Raymond; INVENTOR(S):

Jones, Geraint; Thomson, David Summers

Imperial Chemical Industries PLC, UK; ICI-Pharma S. A. PATENT ASSIGNEE(S):

Eur. Pat. Appl., 33 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 99177 EP 99177	A1 B1	19840125 19860827	EP 1983-303339	19830609
R: AT, BE, CH,	DE, FR	, GB, IT, LI	, LU, NL, SE	
US 4587262	Α	19860506		19830606
ZA 8304135	A	19840425	ZA 1983-4135	19830607
AT 21686	E	19860915	AT 1983-303339	19830609
AU 8315698	A 1	19851003	AU 1983-15698	19830610
AU 562207	B2	19870604		
IL 68950	A1	19880531	IL 1983-68950	19830610
HU 31053	0	19840428	HU 1983-2121	19830615
HU 191531	В	19870330		
FI 8302227	Α	19831219	FI 1983-2227	19830617
NO 8302203	A	19831219	NO 1983-2203	19830617
DD 210029	A5	19840530	DD 1983-252126	19830617
ES 523376	A1	19841001	ES 1983-523376	19830617
CS 241061	B2	19860313	CS 1983-4456	19830617
CS 241088	B2	19860313	CS 1984-3943	19830617
CA 1221983	A1	19870519	CA 1983-430604	19830617
ES 530744	A1	19850616	ES 1984-530744	19840316
ES 530744	A5	19850715		
ES 530745	A1	19851101	ES 1984-530745	19840316
ES 530745	A5	19851128		
PRIORITY APPLN. INFO.:			EP 1982-401119	A 19820618
			EP 1983-303339	A 19830609
GI				

$$O_2CZCO_2CH (CH_2O_2CR^1) CH_2O_2CR^2$$
 $R \longrightarrow R^4$

Phenoxyphenyl alkanedioates I (R and R4 are Cl, Br; R1 and R2 are alkyl, AΒ alkenyl; R3 = H, Cl, Br; Z = alkylene, alkylalkylene), which were prepared, exhibited bactericidal activity and they are useful in the treatment of acne. Glutamic anhydride was treated with triclosan, the monoester product was converted to the resp. acid chloride, and the latter was treated with [Me(CH2)6CO2CH2]2CHOH and pyridine to give I [Z = (CH2)3, R = R3 = R4 = C1, R1 = R2 = Me(CH2)6.

Ι

L23 ANSWER 25 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1983:88353 HCAPLUS

DOCUMENT NUMBER:

98:88353

TITLE: Highly stereoselective synthesis and rearrangement of

 β -amino α -bromo chalcones

AUTHOR(S): Arnould, J. C.; Feigenbaum, A.; Henin, F. CORPORATE SOURCE: Lab. Photochim., UER, Reims, 51062, Fr.

SOURCE: Journal of Chemical Education (1983), 60(1), 82

CODEN: JCEDA8; ISSN: 0021-9584

DOCUMENT TYPE: Journal LANGUAGE: English

AB An experiment involving a series of easy steps illustrating important stereoselective reactions in organic chemical and suitable for advanced

students

is described. The reactions involve the bromination of a chalcone, the conversion of the brominated chalcone to a bromopiperidinodiphenylpropanon e, and conversion of this product to α -piperidinochalcone.

L23 ANSWER 26 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:586962 HCAPLUS

DOCUMENT NUMBER: 95:186962

TITLE: Photochemical reactivity of 2-dialkylamino-2-

cyclohexenones and the corresponding ammonium salts

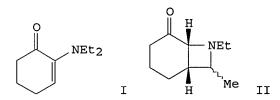
AUTHOR(S): Arnould, J. C.; Cossy, J.; Pete, J. P. CORPORATE SOURCE: Lab. Photochim., UER Sci., Reims, 51062, Fr.

SOURCE: Tetrahedron (1981), 37(10), 1921-6

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: French

GI



AB Irradiation of 2-(dialkylamino)-2-cyclohexenones gave α -ketoazetidines. E.g., cyclohexenone I on irradiation in Et20 for 1 h gave 65% of an epimeric mixture of azetidines II. Irradiation of the corresponding ammonium salts in hydroxylic solvents led only to adduct formation.

L23 ANSWER 27 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:639103 HCAPLUS

DOCUMENT NUMBER: 93:239103

TITLE: Photochemical reactivity of α -aminoenones:

cyclization and new type of reaction to

α-sulfonamidocyclohexenones

AUTHOR(S): Arnould, J. C.; Cossy, J.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., Unite Enseign. Rech. Sci., Reims,

51062, Fr.

SOURCE: Tetrahedron (1980), 36(11), 1585-92

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: French

OTHER SOURCE(S): CASREACT 93:239103

GI

The photochem. behavior of 2-alkylamino-2-cyclohexenones depends on the AΒ N-substituents. 2-Methanesulfonamido-2-cyclohexenone gave only α -oxoazetidines, but desulfonation and aryl migration processes compete in the irradiation of the corresponding 2-arenesulfonamido compds. The main reactions of the corresponding 2-anilino and 2-benzoylamido compds. were divinylamine and photo-Fries rearrangement, resp. Thus, cyclohexenone I (R = R1 = Me) was irradiated in Et2O for 2 h to give 75% oxoazetidine II (R2 = Me, R3 = H). However, I (R = Et, R1 = p-MeC6H4) on irradiation in EtOH for 3 h gave 30% oxoazetidine II (R2 = p-MeC6H4, R3 = $\alpha\text{-Me})$ and 25% cyclohexenone III.

L23 ANSWER 28 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:445524 HCAPLUS

DOCUMENT NUMBER: 93:45524

TITLE: Photolysis of conjugated heterosubstituted linear

Arnould, J. C.; Enger, A.; Feigenbaum, A.; AUTHOR(S):

Pete, J. P.

Lab. Photochim., UER Sci., Reims, 51062, Fr. CORPORATE SOURCE:

Tetrahedron (1979), 35(21), 2501-2 SOURCE:

CODEN: TETRAB; ISSN: 0040-4020

Journal DOCUMENT TYPE:

French LANGUAGE: GΙ

AΒ Photoenolization of Me2C:CRCOMe (R = OMe, piperidino) is preferred to H abstraction $\boldsymbol{\alpha}$ to the heteroatom, whereas similar photolysis of Eand/or Z-PhCH:CRCOPh (R = OMe, NEt2, piperidino, morpholino) gave oxetanol

I and isoquinolines II [R1 = Et, R2 = Me; R1R2 = (CH2)4, CH2CH2OCH2], resp. The differences in the photoreactivity of these mols. are discussed and the conformational control of the H γ abstraction process by the excited CO function is analyzed.

L23 ANSWER 29 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1979:9896 HCAPLUS

DOCUMENT NUMBER: 90:9896

TITLE: Effect of heat treatment on the structural evolution

of iron-carbon-chromium-vanadium alloys Schissler, Jean Marie; Arnould, Jean;

Parent-Simonin, Simone

CORPORATE SOURCE: Fr.

AUTHOR (S):

SOURCE: Fonderie (Paris) (1978), 380, 209-23

CODEN: FONDAP; ISSN: 0015-6094

DOCUMENT TYPE: Journal LANGUAGE: French

AB Austenitization at moderate and elevated temps. was studied. The austenitization at moderate temperature consisted of heating to Ac3 + 50 to 100° and quenching to form martensite. This is followed by either tempering at 250° or hardening by precipitation of secondary carbides at 550°. The high-temperature austenitization was done at >1100° followed by quenching. Structures of tempered martensite and austenite were studied by dilatometric anal., microprobe anal., optical and electron microscopy, and electron diffraction.

L23 ANSWER 30 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1978:583648 HCAPLUS

DOCUMENT NUMBER: 89:183648

TITLE: Chromium-vanadium white cast irons. Their value for

wear resistance

AUTHOR(S): Parent-Simonin, Simone; Arnould, Jean;

Schissler, Jean Marie

CORPORATE SOURCE: Cent. Tech. Ind. Fonderie, Paris, Fr. SOURCE: Fonderie (Paris) (1978), 33(375), 43-53

CODEN: FONDAP; ISSN: 0015-6094

DOCUMENT TYPE: Journal LANGUAGE: French

AB A study was performed on 12 varieties of cast iron with high V content accompanied by Cr (1, 5, 15%) and with or without Ni. The mech. properties and wear resistance were determined after casting and after heat treatment. With grade 3 (Cr 15%, V 8.5%, and C 1.96%) in the as-cast state, high mech. properties were obtained with excellent friction behavior. The grades with Cr 15%, V 6%, and Ni 0.8% had performances equal to those of cast iron 15-3(A2), whose qualities are well known for resistance to abrasive wear. If the conditions of use necessitated a simultaneous resistance to wear by impact and abrasive friction the grades with high V are indicated. The presence of Cr does not appear indispensable but a little Ni or Mn is necessary.

L23 ANSWER 31 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1977:139454 HCAPLUS

DOCUMENT NUMBER: 86:139454

TITLE: Photolysis of 2(N-alkyl-arylsulfonylamido)

cyclohexenone. An unusual and useful desulfonation

reaction

AUTHOR(S): Arnould, Jean C.; Cossy, Janine; Pete, Jean

Р.

CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr. SOURCE: Tetrahedron Letters (1976), (43), 3919-22

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

GI

 $\begin{array}{c|c}
 & O \\
 & NRSO_2R^1
\end{array}$ I $\begin{array}{c|c}
 & NHR \\
 & R^1
\end{array}$ II

AB The title compds. (I; R = Et, PhCH2, CH2:CHCH2, Me2CH, R1 = C6H4Me $\dot{-}4$; R = Et, Me2CH, R1 = α -, β -C10H7; R = Me2CH, R1 = Ph) underwent photochem. desulfonation and rearrangement to give 10-70% aminoarylcyclohexenones II. A mechanistic scheme, involving radical intermediates, is described.

L23 ANSWER 32 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1976:580745 HCAPLUS

DOCUMENT NUMBER: 85:180745

TITLE: Study of the decomposition of post-bainitic austenite

in iron-carbon-silicon alloys of 1% carbon and 4%

silicon during isothermal holding at 420°C.

Effect of 1% addition of manganese

AUTHOR(S): Schissler, J. M.; Arnould, J.; Metauer, G.

CORPORATE SOURCE: Lab. Metall., CNRS, Nancy, Fr.

SOURCE: Memoires Scientifiques de la Revue de Metallurgie

(1975), 72(11), 779-92

CODEN: MRMTAU; ISSN: 0025-9128

DOCUMENT TYPE: Journal LANGUAGE: French

AB In an Fe alloy [51668-81-6] containing 1.15% C and 3.9% Si, the bainitic transformation at 420° proceeded by 2 sep. stages. The initial γ -phase transformed to a lenticular ferrite [12427-24-6] (α -phase) with Widmanstaetten structure in the residual austenite [12244-31-4] matrix enriched by .apprx.2% C. The 2nd step consisted of the transformation of the matrix to α -phase, an aged carbide 1st proposed by Konoval (Nature 184, 1959, 1862), and a new Si carbide having orthorhombic structure. The addition of Mn destroyed the inhibiting action of Si in the formation of carbides at 420°. The alloy no longer formed post-bainitic austenite but ferrite phase and another new orthorhombic Si carbide. The effect of Mn disappeared when the holding

L23 ANSWER 33 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:563965 HCAPLUS

DOCUMENT NUMBER: 83:163965

TITLE: Photochemistry of α -dialkylamino enones. I.

temperature of bainite [12427-23-5] was decreased to 330°.

New oxidative cyclization of chalcone derivatives

AUTHOR(S): Arnould, J. C.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr. SOURCE: Tetrahedron Letters (1975), (29), 2459-62

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Photolysis of (E) - or (Z) - PhCH:C(NRCH2R1)COPh [R = Et, R1 = Me; RR1 = (CH2)4, (CH2)2OCH2] gave the isoquinolines I together with chalcone.

L23 ANSWER 34 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:563919 HCAPLUS

DOCUMENT NUMBER: 83:163919

TITLE: Photochemistry of α -dialkylamino enones. II.

Photocyclization of (dialkylamino) cyclohexenones and

p-tolylsulfonylalkylaminocyclohexenones

AUTHOR(S): Arnould, J. C.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., U.E.R. Sci., Reims, Fr. SOURCE: Tetrahedron Letters (1975), (29), 2463-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Irradiation of 2-piperidino-2-cyclohexenone in Et2O or EtOH at 366 nm gave >30% I. Similar irradiation of the tolylsulfonylaminocyclohexenones II (R = Me, Ph, R1 = H) gave the corresponding azabicyclooctanes III. Photolysis of II (R = CH:CH2, R1 = H) in EtOH gave 40% III (R = CH:CH2) and 35% IV (R = H), whereas II (R = CH:CH2, R1 = Me) gave 25% IV (R = Me) as the only isolable material.

L23 ANSWER 35 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:458208 HCAPLUS

DOCUMENT NUMBER: 83:58208

TITLE: Photolysis of α-alkoxycycloalkanones

AUTHOR(S): Arnould, J. C.; Pete, J. P.

CORPORATE SOURCE: Lab. Photochim., Fac. Sci., Reims, Fr. SOURCE: Tetrahedron (1975), 31(7), 815-23

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Irradiation of α -alkoxycyclohexanones in EtOH gave the corresponding dealkoxylated compound as the predominant product, with ring cleaved esters and oxetanols. E.g., α -methoxycyclohexanone gave 80% cyclohexanone, 4% cyclohexanol, 12% MeO(CH2)5CO2Et and 1% I. Cholestanone II gave 90% III and 10% IV. Similar treatment of α -methoxycyclopentanone gave 32% cyclopentanone and 32% cis- and 20% trans-MeOCH:CH(CH2)2CHO. 2-Methoxyindan-1-one gave 70% indanone and 30% oxetanol V. 2-Methoxynorbornanone on irradiation underwent epimerization. The dealkoxylation occurred by a Norrish Type II mechanism via a cycloalkenol which rearranged to the ketone or underwent cycloaddn. with the departing ketone to give the oxetanol.

L23 ANSWER 36 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1972:525583 HCAPLUS

DOCUMENT NUMBER: 77:125583

TITLE: Photolysis of α -alkoxycyclohexanones

AUTHOR(S): Arnould, J. C.; Pete, J. P.

CORPORATE SOURCE: Dep. Chim., Fac. Sci., Rheims, Fr.

SOURCE: Tetrahedron Letters (1972), (24), 2415-18

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Photolysis of the α -alkoxycyclohexanones (I) (R = Me, Et, Me2CH,

PhCH2) in EtOH gave cyclohexanone as the major product. The acids (II) (R = Me, Et, Me2CH) and compd.III were also isolated. 2-Methoxytetralone was photolyzed in EtOH to give 42% IV, 8% V, and 15% VI.

L23 ANSWER 37 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1954:37310 HCAPLUS

DOCUMENT NUMBER: 48:37310

ORIGINAL REFERENCE NO.: 48:6670f-i,6671a

TITLE: Recent improvements in refractory cements and

hydraulic concretes

AUTHOR(S): Arnould, Jean

SOURCE: Chimie et Industrie (Paris) (1953), 70, 1081-5

CODEN: CHIEAN; ISSN: 0009-4358

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB An account of the use of Ca aluminate hydraulic cement in the preparation of refractory concretes. Depending on conditions to be met, 1 cu. m. may contain 250-500 kg. of aluminous cement, together with "chamotte"

(precalcined clay), containing 25-40% Al203. The composition of the cement

and its

m.p., approx. 1400°, limits its application, but admixts. with carborundum may permit use at this temperature "Super-refractory 250" is a hydraulic cement now in quantity production, containing Al2O3 70-2, CaO 26-9, SiO2 and Fe2O3 0.5-1.0%. Its setting, hardening, and crystallizing properties are comparable to the regular type; the setting time is about 4 hrs., the reaction exothermic. The ratio of cement to mixing water is 1.75-2.2. Slow heating to 600° can be started 24-48 hrs. after setting; then the normal working temperature can be reached. Cement-250 (Seger cone 23) m. In admixt. with pure carborundum it may exceed The cement cannot be used alone because of shrinkage and checking on heating. Loss of water between 500° and 1100° causes a friable condition and prevents its use below 1100°. Above this temperature the constituents recombine and unite with the aggregate. Combinations of cement-250 with white carborundum or pure Al2O3 allows use of working temps. of 1600-1700°. The d. of such concretes is 2.8-3.5. The filter must be refractory, clean, and free from fusible matter (Fe2O3, CaO, SiO2, and alkalies), and be previously calcined at the. working temperature The size distribution should be standardized, not to

30 mm. diameter The quantity is chosen according to the service conditions and for the hardness desired. The more cement the higher the mech. strength; the less cement the more refractory the concrete. Graphs show the shrinkages under load of 2 kg./sq. cm. of various concretes subjected to temps. up to 1800° for periods up to 5 hrs. These are approx. 4-5% for mixts. at 300 kg./cu. m. The cement-250 mixts. with carborundum resist thermal shocks because of their low coefficient of expansion, 2.5-5.0 + 10-6 between 0° and 1400°. Values of the coefficient of thermal conductivity are given as 0.79-1.15 cal./sq. m./m./hr./°C. for cement-250 containing chamotte and carborundum, resp. Other values found for the carborundum mixture, graphed for temps. 200-1400°, are 1.6-2.6.

L23 ANSWER 38 OF 38 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1926:13757 HCAPLUS

DOCUMENT NUMBER: 20:13757

ORIGINAL REFERENCE NO.: 20:1701g-i,1702a-b

TITLE: A refractory hydraulic cement

AUTHOR(S): Arnould, J.

SOURCE: Chimie et Industrie (Paris) (1926), 15, 184-8

CODEN: CHIEAN; ISSN: 0009-4358

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

A mixture of fused cement ("electric" or "aluminous" cement), MgO calcined at 1000° and water glass gives a good cement which stands up at fairly high temps., but which unfortunately sets too rapidly. A 1:2 mixture of fused cement and of calcined (above 1070°) and ground bauxite constitutes a true hydraulic cement, which, on mixing with 22-30% H2O, begins to set in 1 hr. and is completely set in 4-6 hrs. It hardens very rapidly, and after 3 days has a crushing strength of 145 kg. per cm.2 and a tensile strength of 21 kg. per cm.2 It can be made into concrete by using 1, 2 or 3 parts of broken-up old refractory bricks (screened, or preferably washed, to remove dust) to 3 parts of cement, which is used and handled the same as ordinary portland cement concrete. Both the cement (fused cement-bauxite mixture) and the concrete after setting soften at 1350-1400° and m. at about 1600°. The cement has a crushing strength of 20 kg. up to 1200-50°, which drops to 2 kg. at 1300-50°; above this it becomes quite soft, but does not flow. The crushing strength curve at high temps. lies between the corresponding curves of bauxite and of carborundum. Both the cement and the concrete have a very small shrinkage, 1-1.5% at 1350°, and as low as 0.5% in some cases. They are remarkably resistant to sudden changes in temps. and do not crack on rapid cooling from 1380° to 20°. Strength tests on the cold cement after heating to various temps. showed a certain degree of friability (probably due to elimination of H2O of constitution), which appeared at about 800°, reached a maximum at 1000-1200°, and disappeared at about 1300°. The friability decreases with the proportion of bauxite in the mixture Friability in the concrete can be completely eliminated by heating once above 1250°. The addition of broken refractory in the concrete decreases the shrinkage, increases the strength, prevents cracking of the concrete, and cheapens the product, but increases the friability. BeO gives the same results as Al2O3, which confirms the place assigned to it in the table of elements, but is of no practical interest. Presence of up to 3% TiO2 in the bauxite causes no trouble. Using an aluminous cement prepared by clinkering instead of fusion raises the m. p. of the cement-bauxite mixture by about 50°. The friability can be reduced, and even eliminated completely, by addition of a litle powdered flint or powdered Na silicate (of low alkalinity and consequently non-hygroscopic); but this lowers the m. and softening points.

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